

10/569,873

Case/Application number: **10/569,873** **PALM**
Priority App. Filing Date: **08/29/2003**
Format for Search Results: **EMAIL**

Meaning of unusual acronyms or initials:

Identify the novelty:

Additional Comments:

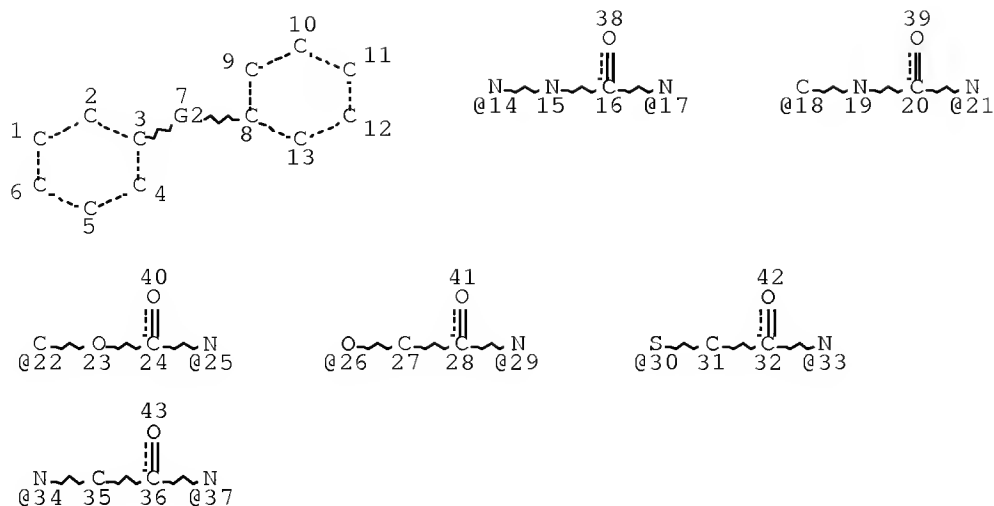
Please search compounds of claim 1. Need ASAP please (after final). Thank you!

Follow-up search with limitations on R3.

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=> d que stat 19

L5 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

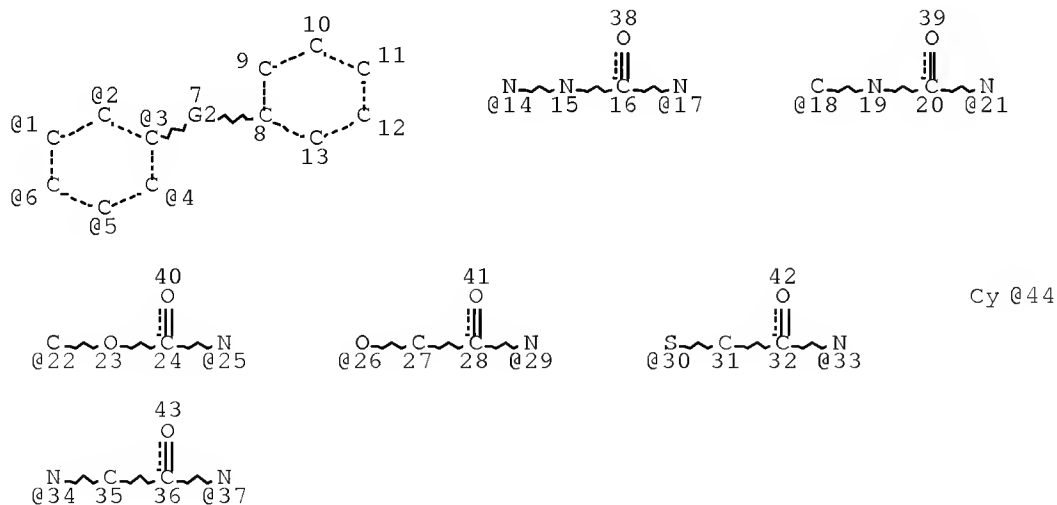
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 (402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

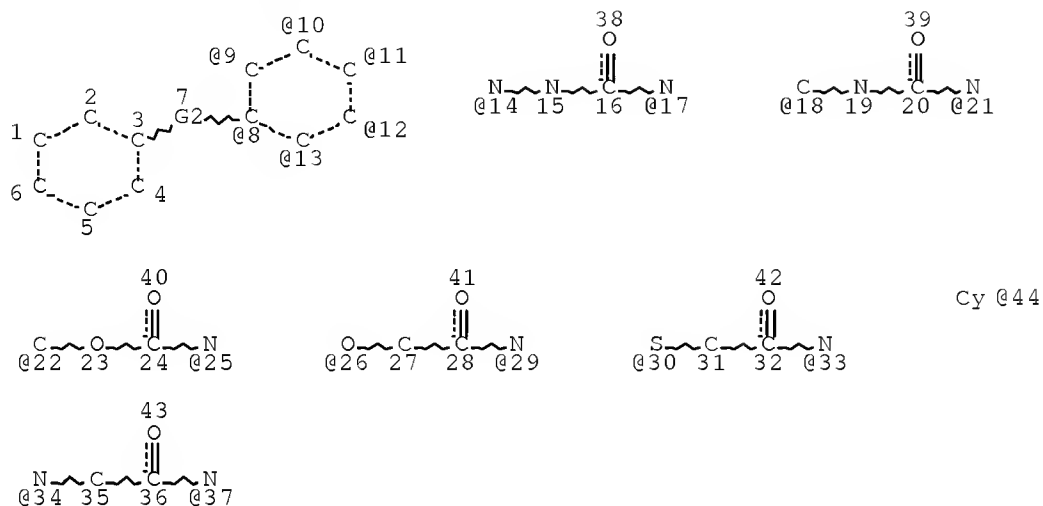
NODE ATTRIBUTES:

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DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 44
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8
VPA 44-9/10/11/12/13/8 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 44
DEFAULT ECLEVEL IS LIMITED

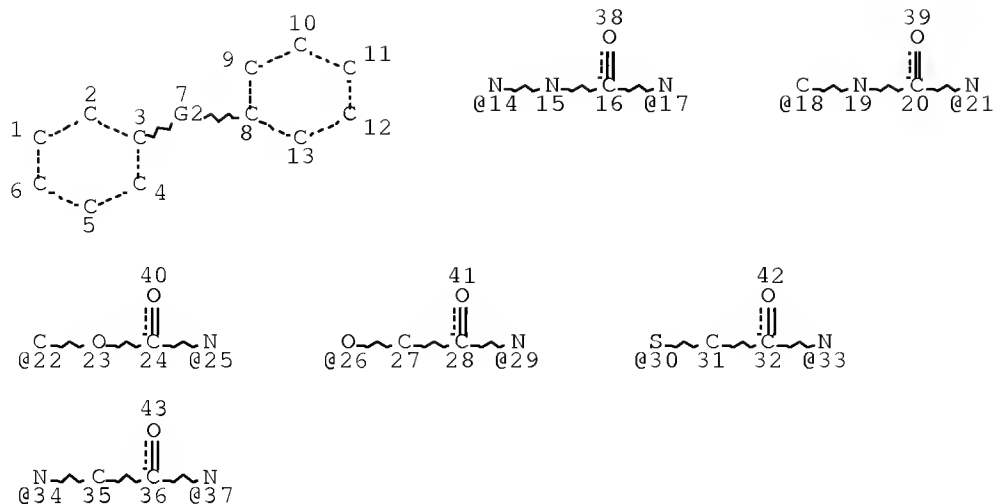
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

100.0% PROCESSED 402314 ITERATIONS 33651 ANSWERS
SEARCH TIME: 00.00.43

=> d que stat l21
L5 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

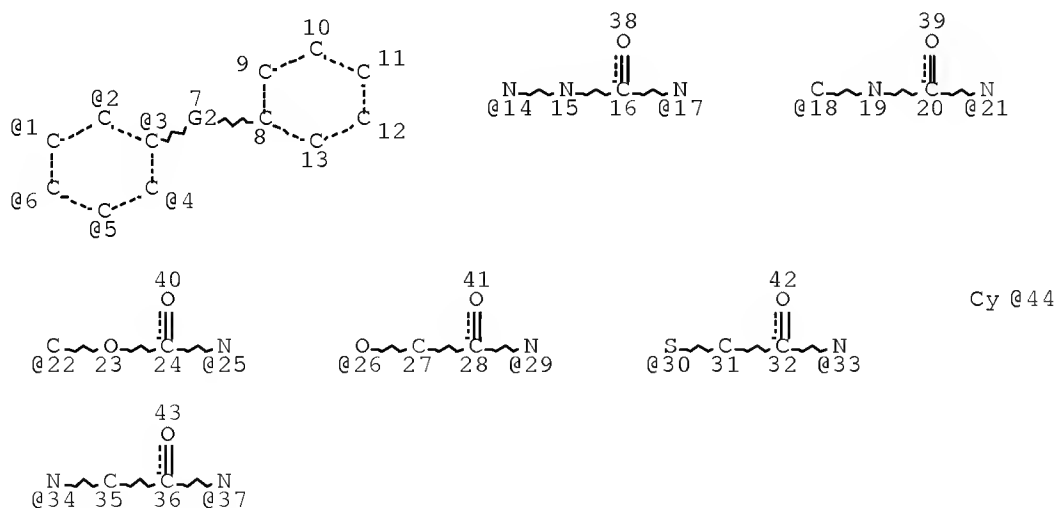
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 (402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

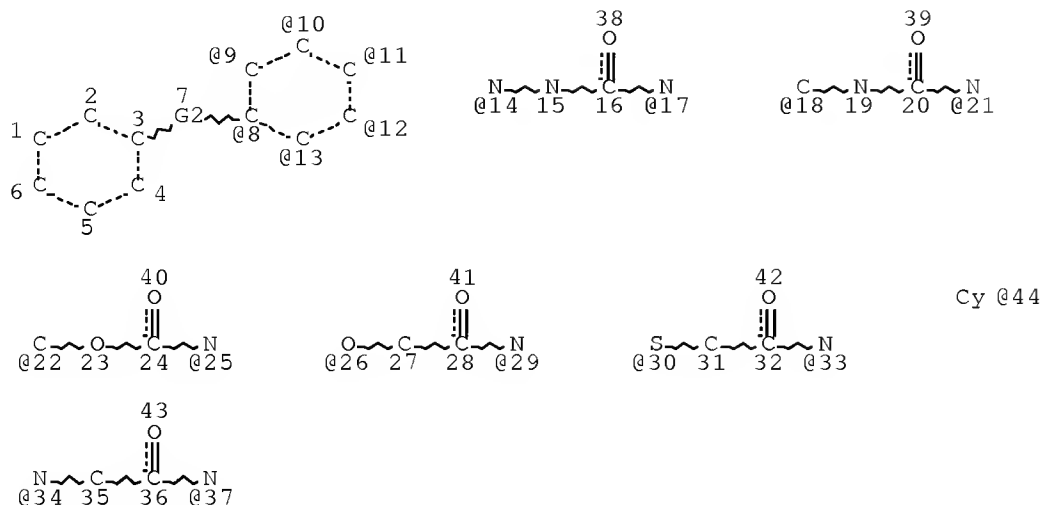
GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

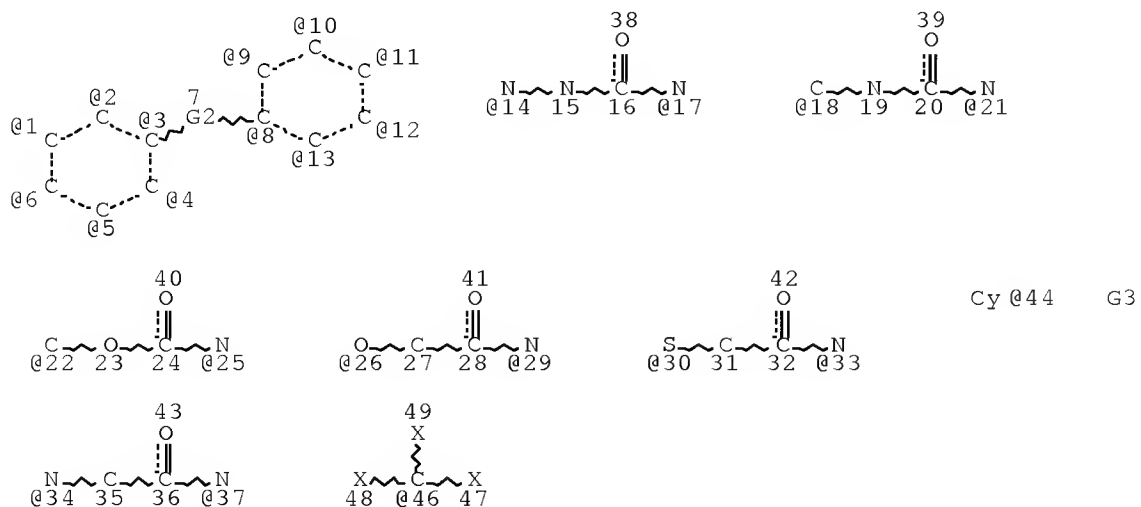
STEREO ATTRIBUTES: NONE
L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8
VPA 44-9/10/11/12/13/8 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 44
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)
L18 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-1/2/3/4/5/6 U

VPA 45-8/9/10/11/12/13 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

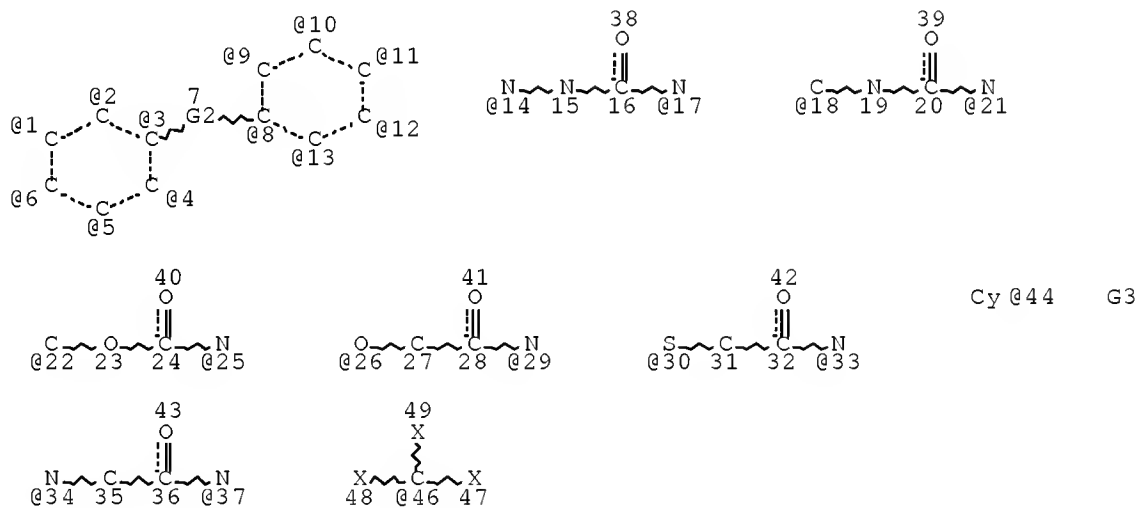
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L19 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-8/9/10/11/12/13 U

VPA 45-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

10/569,873

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)

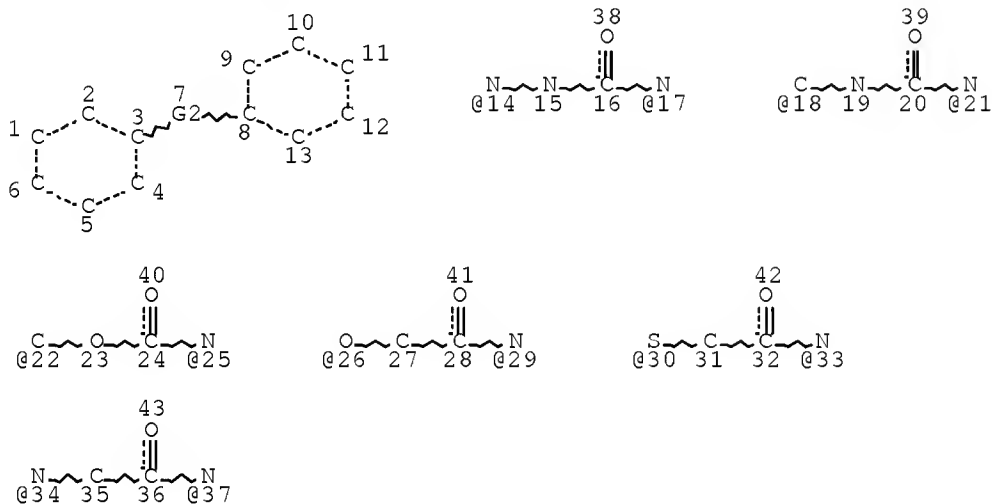
100.0% PROCESSED 33651 ITERATIONS

9722 ANSWERS

SEARCH TIME: 00.00.03

=> d que stat 136

L5 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

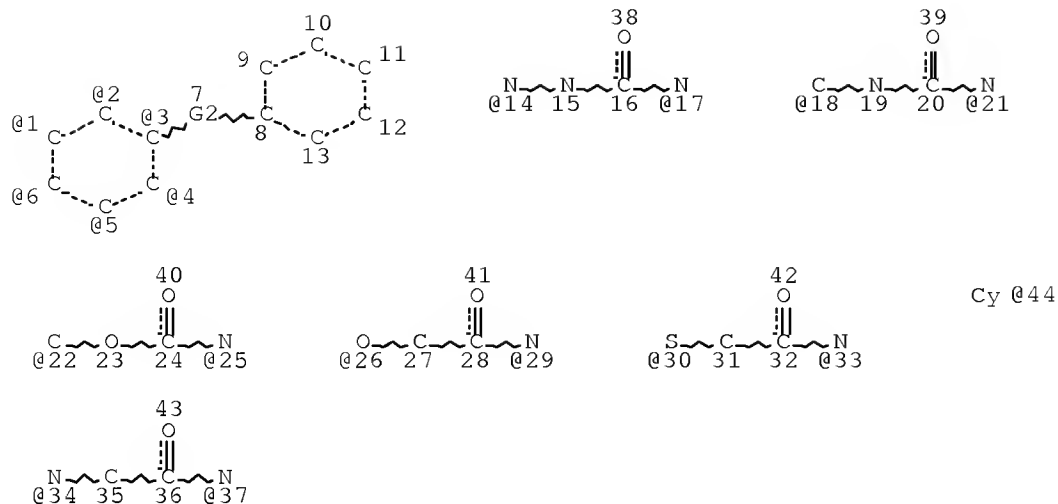
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 (402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

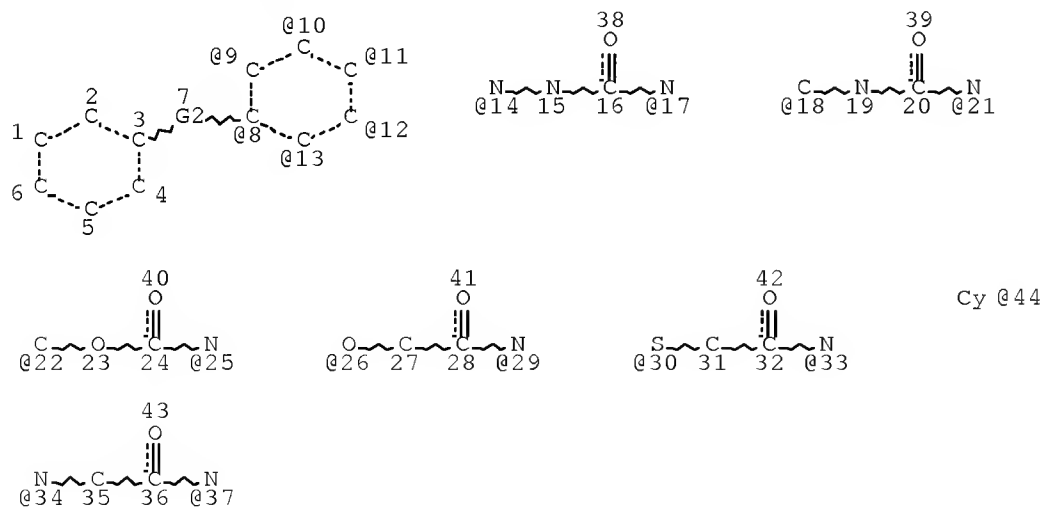
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-9/10/11/12/13/8 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

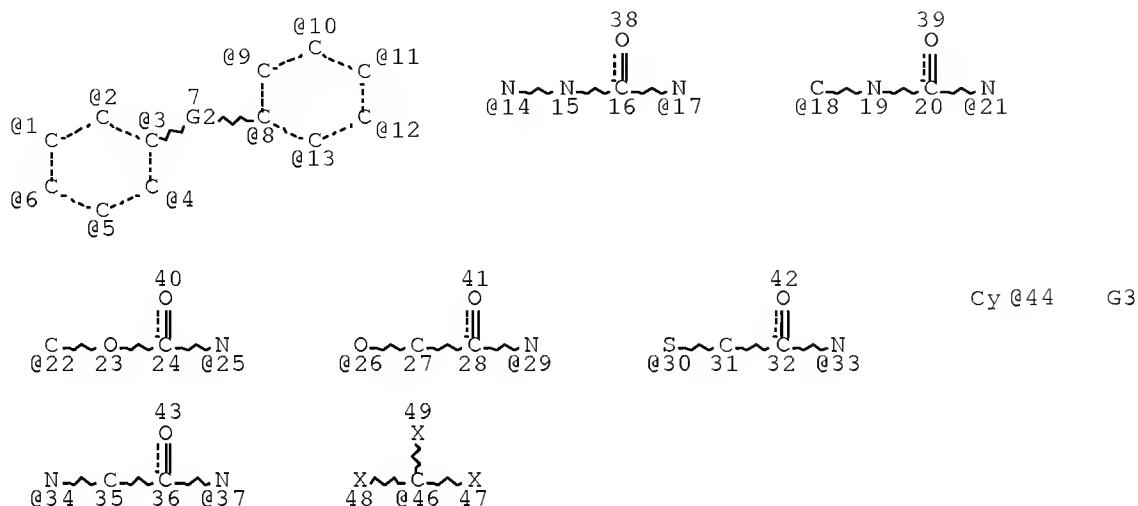
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

L18 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-1/2/3/4/5/6 U

VPA 45-8/9/10/11/12/13 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

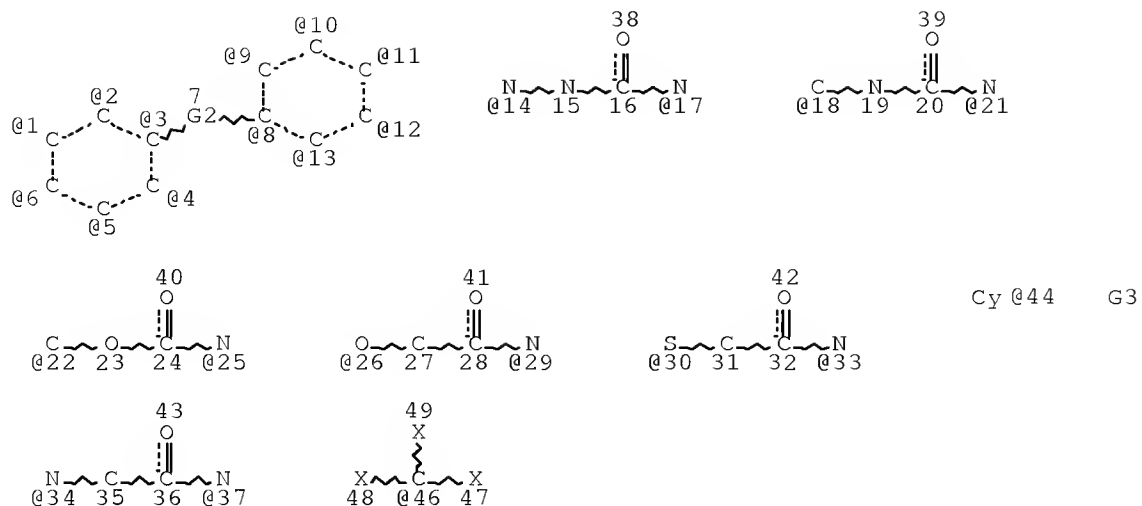
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L19 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-8/9/10/11/12/13 U

VPA 45-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

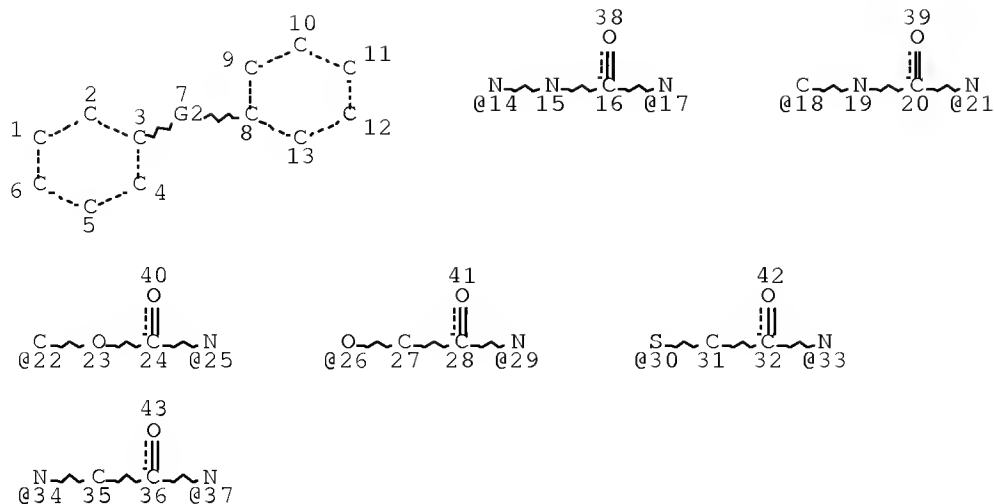
NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)

L22 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

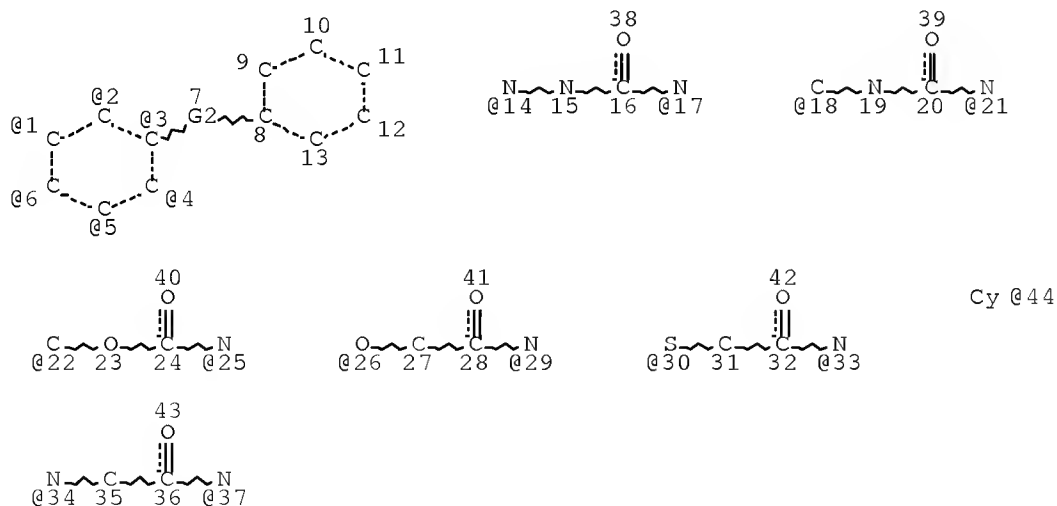
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L23 (402314)SEA FILE=REGISTRY SSS FUL L22

L24 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

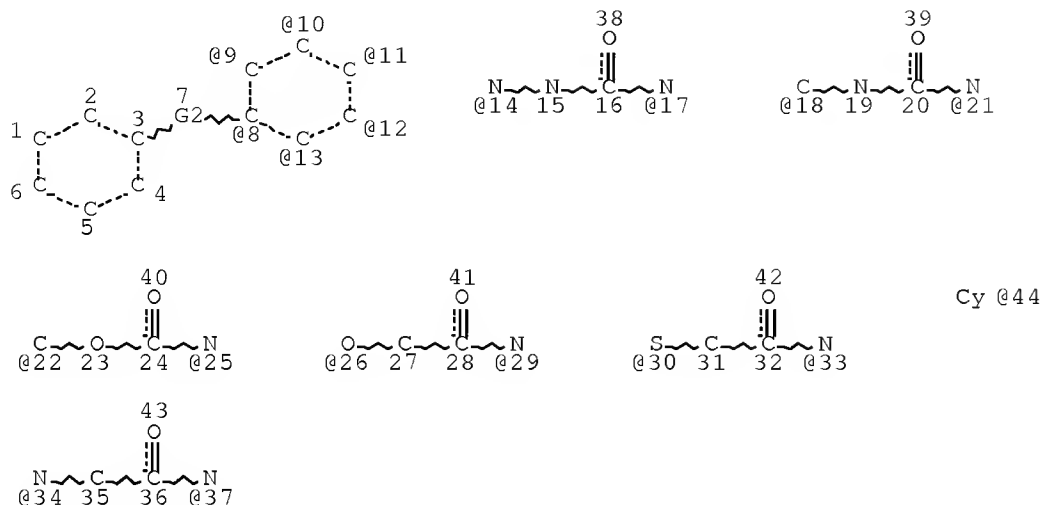
GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L25 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-9/10/11/12/13/8 U

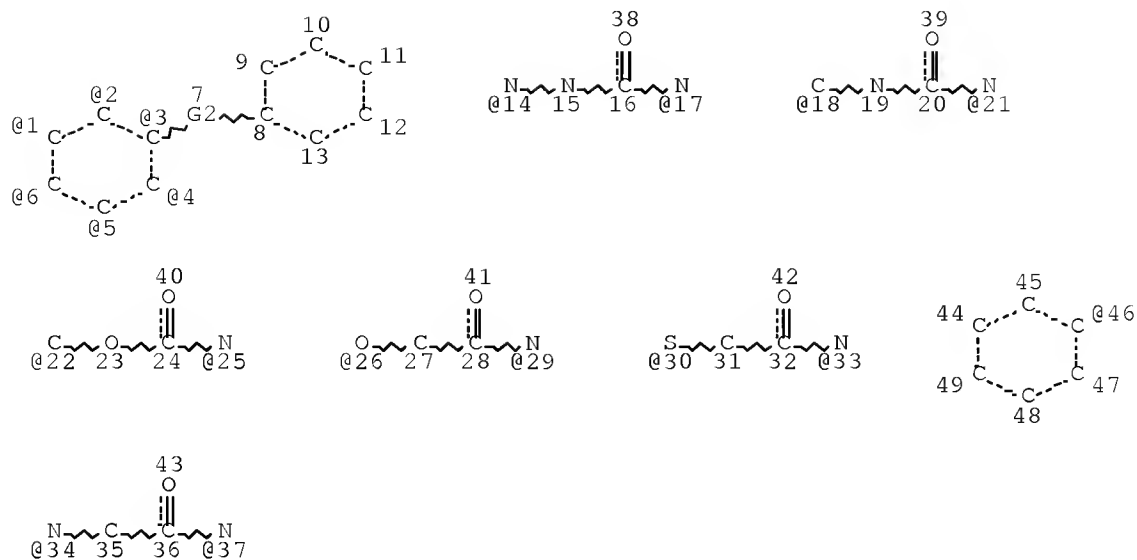
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 44
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L26 (33651)SEA FILE=REGISTRY SUB=L23 SSS FUL (L24 OR L25)
L27 QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS
L28 (21400)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L27
L29 QUE SPE=ON ABB=ON PLU=ON 2 6/SZS
L30 (3263)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L29
L31 (113)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND NCNCNC/ESS
L32 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 46-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

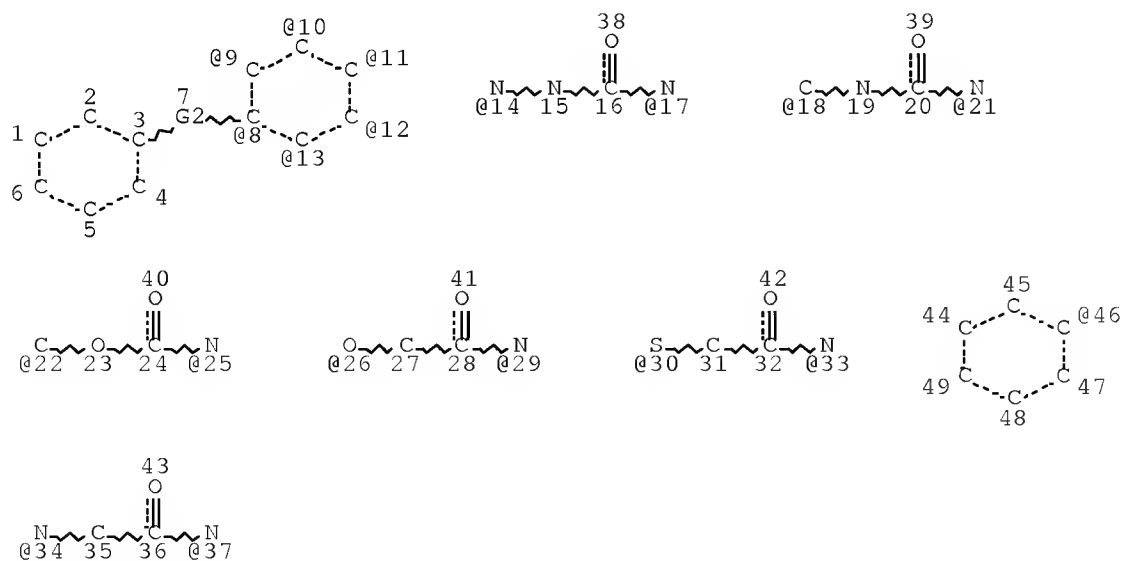
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L33 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 46-8/9/10/11/12/13 U

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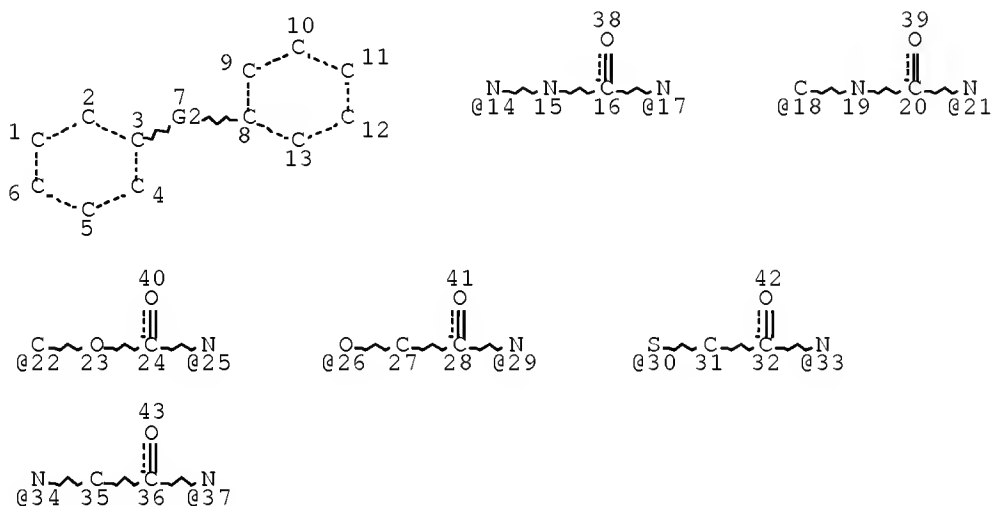
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L34 (7261)SEA FILE=REGISTRY SUB=L26 SSS FUL (L32 OR L33)
L35 29198 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L28 OR L30 OR L31 OR
L34
L36 8396 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L21 AND L35

=> d que stat l117
L5 STR



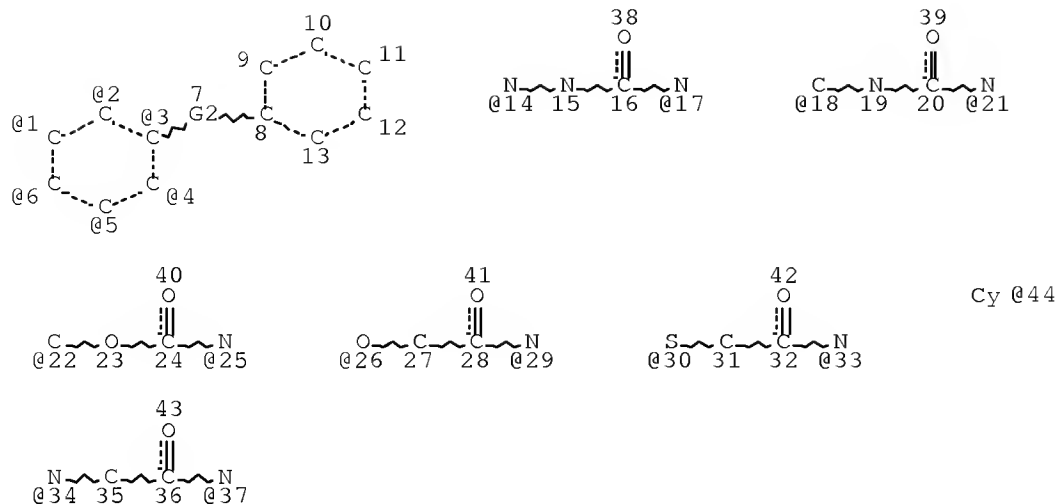
VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 (402314)SEA FILE=REGISTRY SSS FUL L5
L7 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

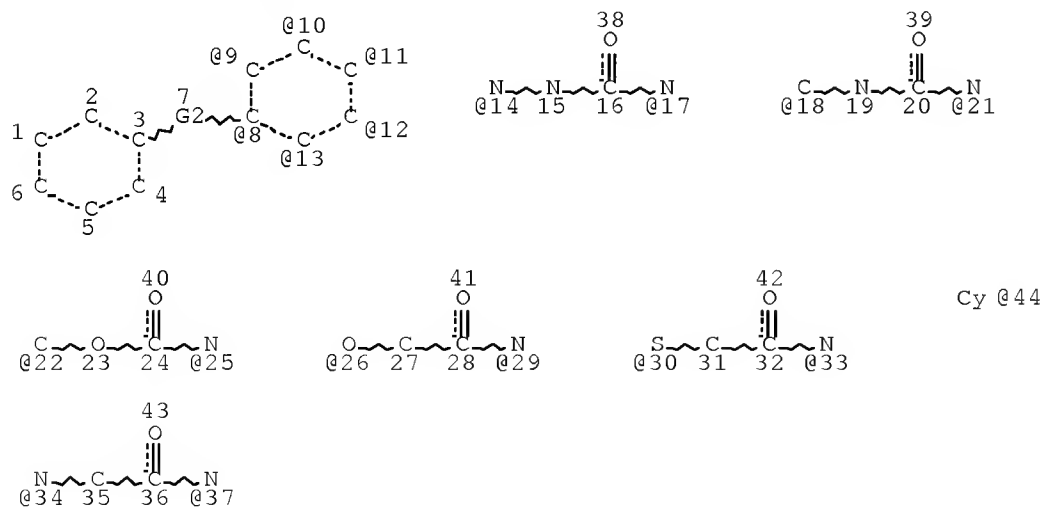
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-9/10/11/12/13/8 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

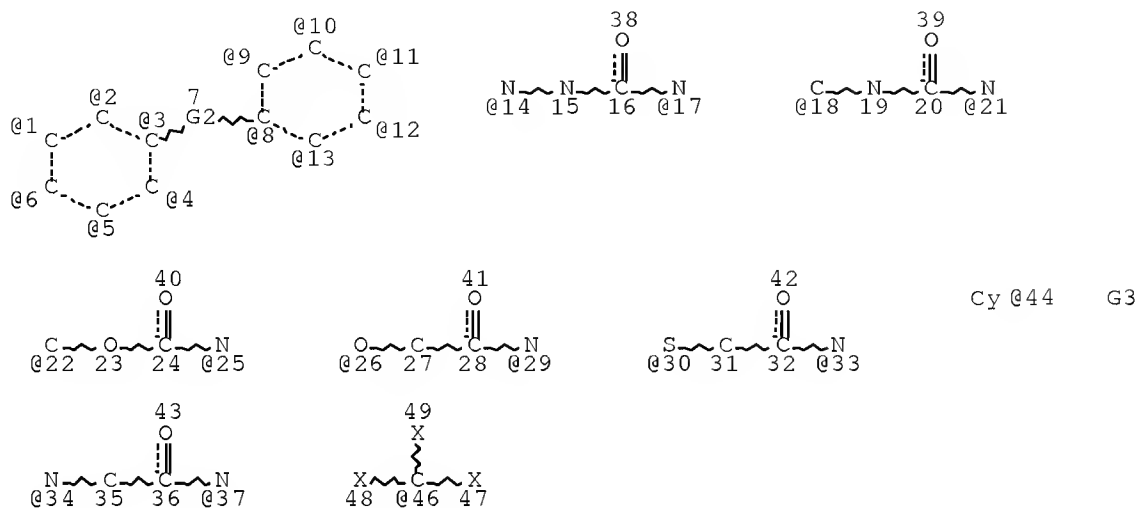
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

L18 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-1/2/3/4/5/6 U

VPA 45-8/9/10/11/12/13 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

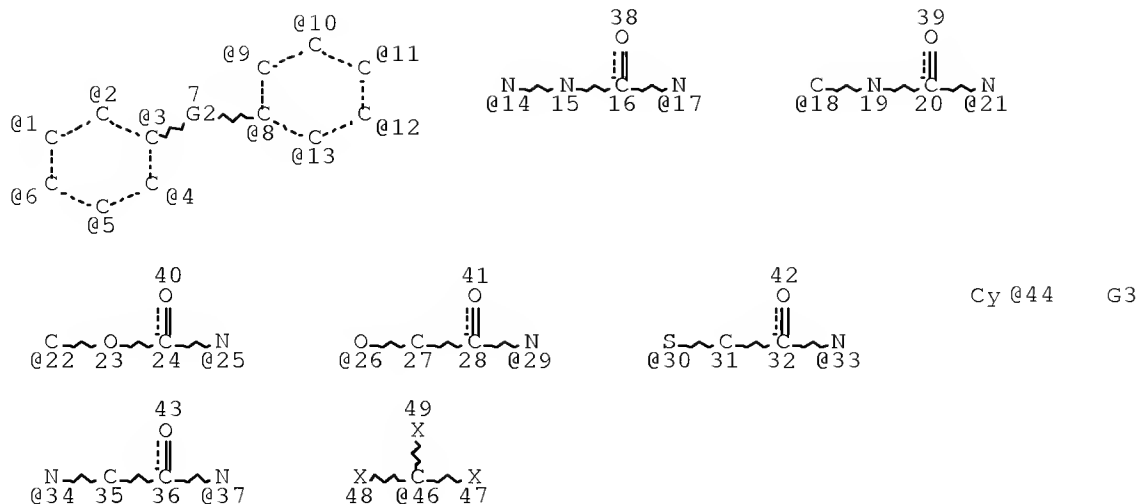
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L19 STR



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Page 1-B

VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-8/9/10/11/12/13 U

VPA 45-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)

L117 3003 SEA FILE=REGISTRY SUB=L21 SSS FUL L18

100.0% PROCESSED 9722 ITERATIONS

3003 ANSWERS

SEARCH TIME: 00.00.01

=> d que nos 1125

L1 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON US2007-569873/APPS

L3 TRANSFER PLU=ON L1 1- RN : 322 TERMS

L4 322 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L3

L5 STR

L6 (402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR

L8 STR

L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

L18 STR

L19 STR
 L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)
 L22 STR
 L23 (402314) SEA FILE=REGISTRY SSS FUL L22
 L24 STR
 L25 STR
 L26 (33651) SEA FILE=REGISTRY SUB=L23 SSS FUL (L24 OR L25)
 L27 QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS
 L28 (21400) SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L27
 L29 QUE SPE=ON ABB=ON PLU=ON 2 6/SZS
 L30 (3263) SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L29
 L31 (113) SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND NCNCNC/ESS
 L32 STR
 L33 STR
 L34 (7261) SEA FILE=REGISTRY SUB=L26 SSS FUL (L32 OR L33)
 L35 29198 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L28 OR L30 OR L31 OR
 L34
 L36 8396 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L21 AND L35
 L37 88 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L4 AND L36
 L53 QUE SPE=ON ABB=ON PLU=ON CHENG, W?/AU,AUTH
 L54 QUE SPE=ON ABB=ON PLU=ON CO, E?/AU,AUTH
 L55 QUE SPE=ON ABB=ON PLU=ON WANG-CO, E?/AU,AUTH
 L56 QUE SPE=ON ABB=ON PLU=ON WANG CO, E?/AU,AUTH
 L57 QUE SPE=ON ABB=ON PLU=ON WANGCO, E?/AU,AUTH
 L58 QUE SPE=ON ABB=ON PLU=ON KIM, M?/AU,AUTH
 L59 QUE SPE=ON ABB=ON PLU=ON KLEIN, R?/AU,AUTH
 L60 QUE SPE=ON ABB=ON PLU=ON LE, D?/AU,AUTH
 L61 QUE SPE=ON ABB=ON PLU=ON TSUHAKO, A?/AU,AUTH
 L62 QUE SPE=ON ABB=ON PLU=ON LEW, A?/AU,AUTH
 L63 QUE SPE=ON ABB=ON PLU=ON LEW-TSUHAKO, A?/AU,AUTH
 L64 QUE SPE=ON ABB=ON PLU=ON LEWTSUHAKO, A?/AU,AUTH
 L65 QUE SPE=ON ABB=ON PLU=ON NUSS, J?/AU,AUTH
 L66 QUE SPE=ON ABB=ON PLU=ON XU, W?/AU,AUTH
 L67 QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, W?/AU,AUTH
 L68 QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, B?/AU,AUTH
 L84 QUE SPE=ON ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<20
 04 OR MY<2004 OR REVIEW/DT
 L85 359 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L36
 L86 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L85 AND (L53 OR L54
 OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63
 OR L64 OR L65 OR L66 OR L67 OR L68)
 L87 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L1 AND L86
 L88 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L1 NOT L86
 L89 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L86 OR L87 OR L88)
 L90 358 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L85 NOT L89
 L91 229 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L90 AND L84
 L92 QUE SPE=ON ABB=ON PLU=ON C(1W)KIT
 L93 QUE SPE=ON ABB=ON PLU=ON STEM(1W)CELL
 L94 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND (L92 OR L93)
 L95 197 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L85 (L) (THU OR PKT OR
 PAC OR DMA) /RL
 L96 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND L95
 L97 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L94 OR L96
 L98 QUE SPE=ON ABB=ON PLU=ON "C-KIT (PROTEIN)" +PFT,OLD,NE
 W,NT/CT
 L99 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND L98
 L100 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L97 OR L99
 L101 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37
 L102 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L101 AND (L53 OR L54
 OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63

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OR L64 OR L65 OR L66 OR L67 OR L68)
L103      1 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L89 OR L102
L104     90 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  (L100 OR L101)
L105     89 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L104 NOT L103
L106     88 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L105 AND L84
L107      TRANSFER PLU=ON  L106 1- RN HIT :      471 TERMS
L108     471 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L107
L109     459 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L108 NOT ETHANEDIAMID
E/CNS
L110     115 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L109
L111     98 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L91 AND L110
L112     86 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L106 AND L111
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OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63
OR L64 OR L65 OR L66 OR L67 OR L68)
L114     86 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L112 NOT L113
L115     86 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L114 AND L84
L117    3003 SEA FILE=REGISTRY SUB=L21 SSS FUL L18
L118     183 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L117
L119      2 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L118 AND (L53 OR L54
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OR L64 OR L65 OR L66 OR L67 OR L68)
L120      1 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L1 AND L119
L121      0 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L1 NOT L119
L122      2 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  (L119 OR L120 OR
L121)
L123     181 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L118 NOT L122
L124     117 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L123 AND L84
L125     36 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L115 AND L124

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L125 ANSWER 1 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1106595 HCAPLUS Full-text

DOCUMENT NUMBER: 149:307851

TITLE: Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D., Jr.; Caldwell, John; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo, Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 702 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--|----------|-----------------|--------------|
| WO 2008103351 | A2 | 20080828 | WO 2008-XA2182 | 20080220 |
| WO 2008103351 | A3 | 20090723 | | |
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| US 20080200445 | A1 | 20080821 | US 2007-710582 | 20070223 <-- |
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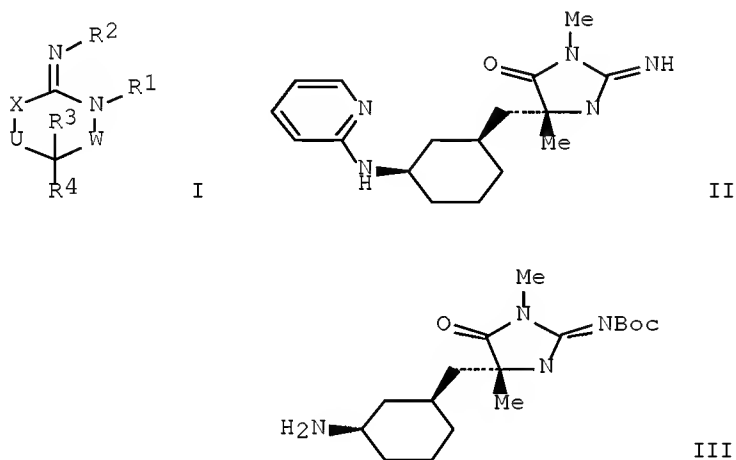
PRIORITY APPLN. INFO.:

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

ED Entered STN: 12 Sep 2008

GI



AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or CR6R7; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting Human Immunodeficiency Virus, plasmepsin, cathepsin D, and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 63

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease
 inhibitors for treating various diseases)

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RL: FAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl protease

inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
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inhibitors for treating various diseases)

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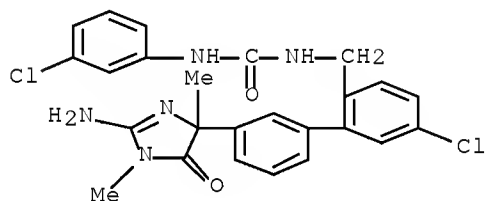
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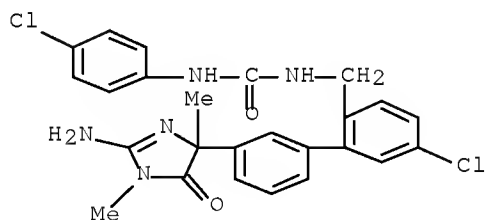
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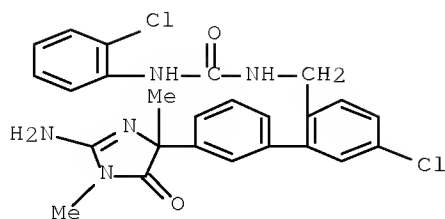
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L125 ANSWER 2 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:1042502 HCAPLUS Full-text
 DOCUMENT NUMBER: 149:307845
 TITLE: Preparation of imidazolidin-2-imines and their analogs
 as aspartyl protease inhibitors for treating various
 diseases
 INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye,
 Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;
 Smith, Elizabeth M.; Stamford, Andrew; Greenlee,
 William J.; Mazzola, Robert D., Jr.; Caldwell, John;
 Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng;
 Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li,
 Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo,
 Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.;
 Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong;
 Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi;
 Qu, Chuanxing; Shao, Yuefei
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.
 SOURCE: PCT Int. Appl., 702 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2008103351 | A2 | 20080828 | WO 2008-US2182 | 20080220 |
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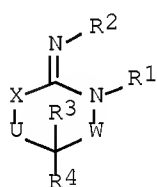
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

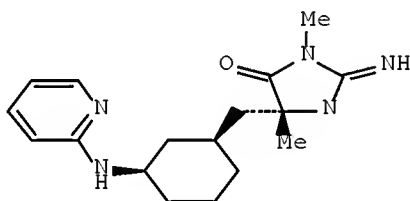
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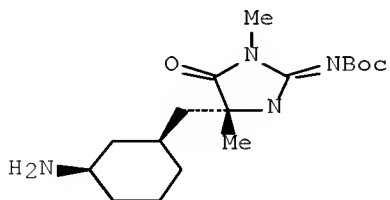
GI



I



II



III

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or CR6R7; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and

in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting Human Immunodeficiency Virus, plasmepsin, cathepsin D, and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 63

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| 1049652-41-6P | 1049652-43-8P | 1049652-44-9P | 1049652-45-0P |
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
protease

inhibitors for treating various diseases)

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| | 1049669-98-8P | 1049669-99-9P | 1049670-00-9P | 1049670-02-1P |

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| 1049670-81-6P | 1049670-82-7P | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease
 inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease

inhibitors for treating various diseases)

IT 1049647-76-8P 1049652-40-5P 1049669-70-6P
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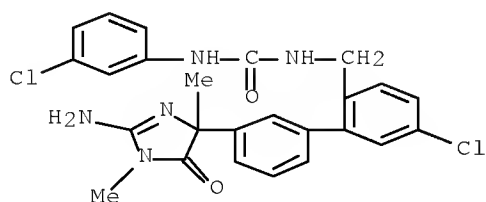
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
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(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease

inhibitors for treating various diseases)

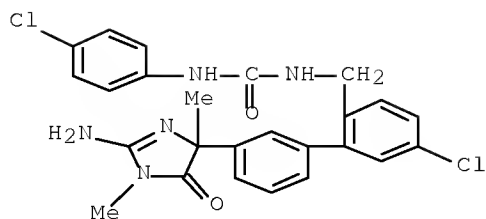
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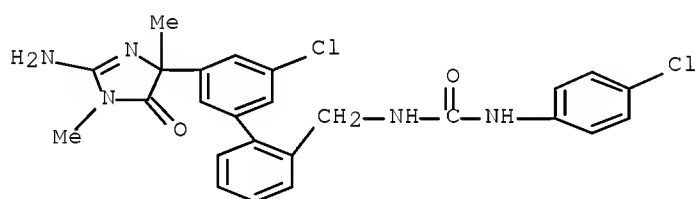
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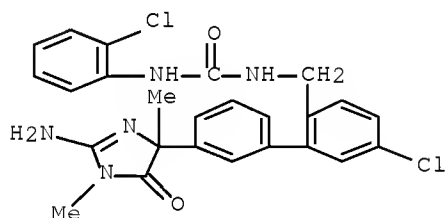
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CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5'-chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)



RN 1049686-97-6 HCAPLUS

CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5'-chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(2-chlorophenyl)- (CA INDEX NAME)



L125 ANSWER 3 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1011066 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:307842

TITLE: Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey O.; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D.; Caldwell, John P.; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Guo, Tao; Le, Thuy X. E.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang;

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Tadesse, Dawit; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Lai, Gaifa; Duo, Jingqi; Qu, Chuanxing; Shao, Yuefei
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug Discovery, Inc.
 SOURCE: U.S. Pat. Appl. Publ., 1209pp., Cont.-in-part of U.S. Ser. No. 149,027.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|--------------|
| US 20080200445 | A1 | 20080821 | US 2007-710582 | 20070223 <-- |
| US 20070072852 | A1 | 20070329 | US 2004-10772 | 20041213 <-- |
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| EP 2153832 | A2 | 20100217 | EP 2009-174520 | 20041213 <-- |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |
| CN 101671307 | A | 20100317 | CN 2009-10163552 | 20041213 <-- |
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| US 7700603 | B2 | 20100420 | | |
| AU 2005317204 | A1 | 20060622 | AU 2005-317204 | 20050609 |
| CA 2591033 | A1 | 20060622 | CA 2005-2591033 | 20050609 |
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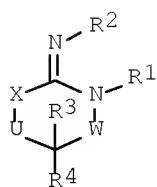
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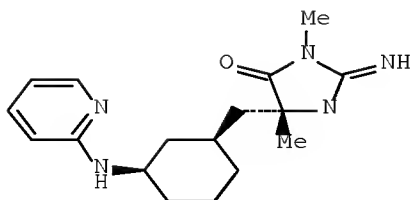
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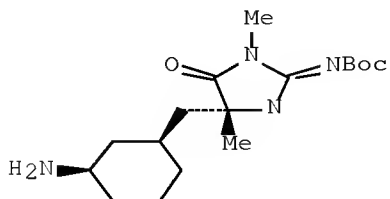
GI



I



II



III

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR₅ or CR₆R₇; U = a bond, S(O), SO₂, C(O), etc.; R₁, R₂, R₅ = H, alkyl, cycloalkyl, etc.; R₃, R₄, R₆, R₇ = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M₁ agonist or M₂ antagonist.

INCL 514210020; 514222200; 514229200; 514235800; 514249000; 514272000; 514313000; 514318000; 514326000; 514341000

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7, 63

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease

inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease

inhibitors for treating various diseases)

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RL: FAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease

inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
 protease
 inhibitors for treating various diseases)

IT 1049647-76-8P 1049652-40-5P 1049669-70-6P

10/569,873

1049686-97-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

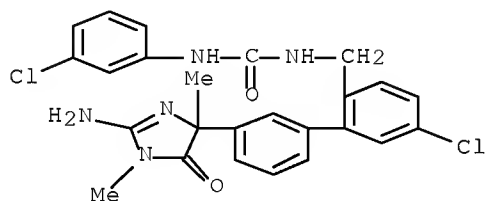
(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl
protease

inhibitors for treating various diseases)

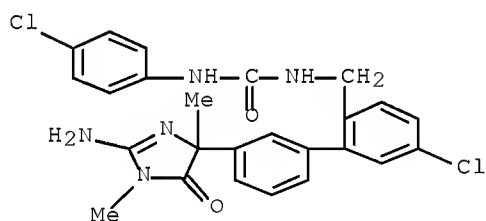
RN 1049647-76-8 HCAPLUS

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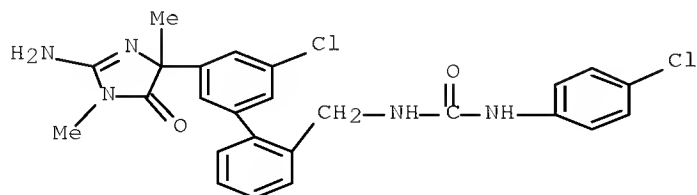
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CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5-
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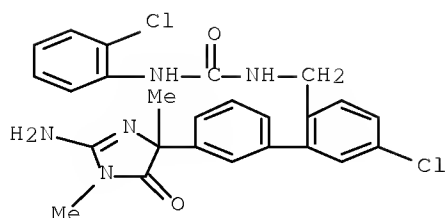
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CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5'-
chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)



RN 1049686-97-6 HCAPLUS

CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5-
chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(2-chlorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS
RECORD (14 CITINGS)

L125 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:527397 HCAPLUS Full-text

DOCUMENT NUMBER: 143:78096

TITLE: Preparation of quinolines useful in treating LXR
(liver X receptor)-mediated diseases

INVENTOR(S): Collini, Michael D.; Singhaus, Robert R.; Hu, Baihua;
Jetter, James W.; Morris, Robert L.; Kaufman, David
H.; Miller, Christopher P.; Ullrich, John W.; Unwalla,
Rayomand J.; Wrobel, Jay E.; Quinet, Elaine; Nambi,
Ponnal; Bernotas, Ronald C.; Elloso, Merle

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 169 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|--------------|
| US 20050131014 | A1 | 20050616 | US 2004-10236 | 20041210 <-- |
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| CA 2547518 | A1 | 20050630 | CA 2004-2547518 | 20041210 <-- |
| WO 2005058834 | A2 | 20050630 | WO 2004-US41399 | 20041210 <-- |
| WO 2005058834 | A3 | 20051117 | | |
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| BR 2004017543 | A | 20070327 | BR 2004-17543 | 20041210 <-- |

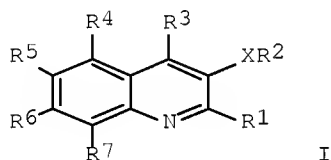
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| JP 2007516258 | T | 20070621 | JP 2006-544016 | 20041210 <-- |
| IN 2006KN01443 | A | 20070504 | IN 2006-KN1443 | 20060529 <-- |
| NO 2006002561 | A | 20060908 | NO 2006-2561 | 20060602 <-- |
| MX 2006006533 | A | 20060731 | MX 2006-6533 | 20060608 <-- |
| ZA 2006004775 | A | 20081126 | ZA 2006-4775 | 20060609 <-- |
| KR 2007001922 | A | 20070104 | KR 2006-714042 | 20060712 <-- |
| PRIORITY APPLN. INFO.: | | | US 2003-529009P | P 20031212 <-- |
| | | | US 2004-600296P | P 20040810 |
| | | | WO 2004-US41399 | W 20041210 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:78096; MARPAT 143:78096

ED Entered STN: 19 Jun 2005

GI



AB This invention provides quinolines of formula I (R1 = H or C1-C3 alkyl; X1 = a bond or an appropriate group to link R2 which is an optionally substituted heterocycle; X2 = a bond or CH2; R3 = optionally substituted Ph, naphthyl, or heterocycle; R4, R5, and R6 = H or F, R7 = H, C1-C4 alkyl, C1-C4 perfluoroalkyl, halogen, NO2, CN, optionally substituted phenyl) that are useful in the treatment or inhibition of LXR mediated diseases (no data). The LXR mediated diseases specifically claimed are, for example, atherosclerosis, Alzheimer's disease, dementia, diabetes, multiple sclerosis, and thyroiditis. Pharmaceutical compns. containing the compds. of the invention and synthetic procedures for preparing them are also claimed.

IC ICM A61K031-4709

ICS C07D041-02

INCL 514311000; 514314000; 546153000; 546167000

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

IT 854771-17-8P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,4-Dichlorobenzyl)Amine 854771-18-9P,
[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Fluorobenzyl)Amine 854771-19-0P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Difluorobenzyl)Amine 854771-20-3P,
[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3,6-Trichlorobenzyl)Amine 854771-21-4P,
2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Fluorophenol 854771-22-5P, 4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-2-Ethoxyphenol 854771-23-6P,
[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Dihydro-1,4-Benzodioxin-6-ylmethyl)Amine 854771-24-7P,
[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Fluoro-6-Methoxybenzyl)Amine 854771-25-8P,
3-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Benzene-1,2-Diol 854771-26-9P,
2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-6-Fluorophenol 854771-27-0P, 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-

4-yl]Phenyl]Amino]Methyl]-6-Ethoxyphenol 854771-28-1P,
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 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Ethyl-1H-Indol-6-yl)Methyl]Amine 854771-38-3P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Methyl-1H-Indol-5-yl)Methyl]Amine 854771-39-4P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Methyl-1H-Indol-7-yl)Methyl]Amine 854771-40-7P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1H-Indol-7-ylmethyl)Amine 854771-41-8P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1H-Indol-4-ylmethyl)Amine 854771-42-9P, 2-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-2-Methylpropanoic Acid 854771-43-0P, 2-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Propanoic Acid 854771-44-1P 854771-45-2P,
 3-Benzyl-4-[3-[5-Chloro-2-(Trifluoromethyl)Benzyl]Oxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854771-46-3P,
 3-Benzyl-4-[3-[5-Fluoro-2-(Trifluoromethyl)Benzyl]Oxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854771-47-4P,
 [4-[(1S)-1-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Ethyl]Phenyl]Acetic Acid 854771-48-5P,
 [4-[(1R)-1-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Ethyl]Phenyl]Acetic Acid 854771-49-6P,
 5-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]Oxy]-1H-Indole-2-Carboxylic Acid 854771-50-9P, N-[3-[3-(2-Methylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-N'-Phenylurea 854771-51-0P,
 N-(2-Chlorophenyl)-N'-[3-[3-(2-Methylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-52-1P, N-(2-Fluorophenyl)-N'-[3-[3-(2-Methylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-53-2P,
 N-(2-Chlorophenyl)-N'-[3-[3-(2-Trifluoromethylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-54-3P,
 [4-[3-(Benzylthio)Phenyl]-8-(Trifluoromethyl)Quinolin-3-yl]phenylmethanone 854771-55-4P, 3-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Phenyl]Propanoic Acid 854771-57-6P 854771-58-7P,
 N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-N'-(2-Chlorophenyl)-N'-Cyanoguanidine 854771-59-8P,
 N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-N'-(2-Fluorophenyl)Guanidine 854771-61-2P, 2-Chlorophenyl [3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Carbamate 854771-62-3P 854771-63-4P, [2-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]Oxy]Phenyl]-1,3-Oxazol-4-yl]Acetic Acid ~~854771-64-5P~~, N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]-N'-(2-Fluorophenyl)Urea 854771-65-6P,
 4-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Amino]Phenyl]-8-(Trifluoromethyl)Quinoline-3-Carbonitrile 854771-67-8P,
 [4-[[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Phenyl]Acetic Acid 854771-68-9P,
 N-(2-Chlorophenyl)-N'-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-70-3P, [4-[[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-

4-yl]Phenoxy]Methyl]Phenyl]Acetic Acid 854771-71-4P,
 [4-[[[4-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Acetyl]Oxy]Methyl]Phenyl]Acetic Acid
 854771-73-6P, Ethyl 3-[[[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Carbonyl]Amino]Benzoate 854771-74-7P,
 3-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Quinazoline-2,4(1H,3H)-Dione 854771-75-8P, 3-[[[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Carbonyl]Amino]Benzoic Acid
 854771-77-0P, [4-[[[3-[3-(Aminocarbonyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Phenyl]Acetic Acid 854771-78-1P,
 [4-[[[3-[3-(Aminocarbonyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Acetic Acid 854771-79-2P,
 [4-[[[4-[3-[3-(Aminocarbonyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Acetyl]Oxy]Methyl]Phenyl]Acetic Acid
 854771-82-7P, Ethyl 4-[3-[[[2-Chlorophenyl]Amino]Carbonyl]Amino]Phenyl]-8-(Trifluoromethyl)Quinoline-3-Carboxylate 854771-83-8P,
 4-[3-[2-Fluorobenzyl]Oxy]Phenyl]-8-(Trifluoromethyl)Quinoline-3-Carboxylic Acid 854771-84-9P 854771-86-1P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-[4-(Carboxymethyl)Phenyl]Propanoic Acid
 854771-87-2P 854771-88-3P, 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-(4-tert-Butylphenyl)Propanoic Acid 854771-89-4P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-(4-Nitrophenyl)Propanoic Acid 854771-90-7P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-Biphenyl-4-ylpropanoic Acid 854771-91-8P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-Phenylpropanoic Acid 854771-92-9P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3,3-Diphenylpropanoic Acid 854771-94-1P,
 [4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Sulfonyl]Methyl]Phenyl]Acetic Acid 854771-95-2P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Dimethoxybenzyl)Amine 854771-96-3P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,5-Dichlorobenzyl)Amine 854771-97-4P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Phenoxybenzyl)Amine 854771-98-5P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,5-Dimethoxybenzyl)Amine 854771-99-6P,
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Chlorophenol 854772-00-2P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3,4-Dimethoxybenzyl)Amine 854772-01-3P,
 3-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Nitrophenol 854772-02-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](4,5-Dimethoxy-2-Nitrobenzyl)Amine 854772-03-5P,
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Bromophenol 854772-04-6P, 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-5-Methoxyphenol 854772-05-7P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][4-(Dimethylamino)-1-Naphthyl]Methyl]Amine 854772-06-8P,
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Methoxyphenol 854772-07-9P, 4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Benzene-1,2-Diol 854772-08-0P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Pent-4-enoic Acid 854772-09-1P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-4-Hexynoic Acid 854772-10-4P,
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-

yl]Phenoxy]Methyl]Phenyl]-4-Heptynoic Acid 854772-11-5P 854772-12-6P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Fluoro-3-
 Methoxybenzyl)Amine 854772-13-7P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][3-
 (Trifluoromethyl)Benzyl]Amine 854772-14-8P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](5-Fluoro-2-
 Methoxybenzyl)Amine 854772-15-9P,
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-
 Iodophenol 854772-16-0P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-
 yl]Phenyl](3,4-Diethoxybenzyl)Amine 854772-17-1P,
 N-[2-(Benzyloxy)-3-Methoxybenzyl]-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-
 4-yl]Aniline 854772-18-2P, N,N-Dibenzyl-3-[3-Benzyl-8-
 (Trifluoromethyl)Quinolin-4-yl]Aniline 854772-19-3P,
 [3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]bis(3-
 methylbenzyl)Amine 854772-20-6P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Bis(2-Ethoxy-3-
 Methoxybenzyl)Amine 854772-21-7P,
 N-Benzyl-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Aniline
 854772-22-8P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-
 Methoxybenzyl)Amine 854772-23-9P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](4-
 Methoxybenzyl)Amine 854772-24-0P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Ethoxy-3-
 Methoxybenzyl)Amine 854772-25-1P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Chloro-4-
 Fluorobenzyl)Amine 854772-26-2P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Chloro-4-
 Methoxybenzyl)Amine 854772-27-3P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Chloro-2-
 Fluorobenzyl)Amine 854772-28-4P,
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-
 (Trifluoromethoxy)Phenol 854772-29-5P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][5-Chloro-2-
 (Trifluoromethyl)Benzyl]Amine 854772-30-8P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][5-Fluoro-2-
 (Trifluoromethyl)Benzyl]Amine 854772-31-9P,
 3-Benzyl-4-[3-[(2,5-Dimethylphenoxy)Methyl]Phenyl]-8-
 (Trifluoromethyl)Quinoline 854772-32-0P,
 3-Benzyl-4-[3-[(2-Fluoro-3-(Trifluoromethyl)Phenoxy]Methyl]Phenyl]-8-
 (Trifluoromethyl)Quinoline 854772-33-1P,
 3-Benzyl-4-[3-[(2,3-Dimethylphenoxy)Methyl]Phenyl]-8-
 (Trifluoromethyl)Quinoline 854772-34-2P,
 3-Benzyl-4-[3-[(2-Chloro-3-(Trifluoromethyl)Phenoxy]Methyl]Phenyl]-8-
 (Trifluoromethyl)Quinoline 854772-35-3P,
 3-Benzyl-4-[3-[(1-Methyl-1H-Pyrrol-2-yl)Methoxy]Phenyl]-8-
 (Trifluoromethyl)Quinoline 854772-36-4P, Methyl
 [5-[[4-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]-1-Methyl-
 1H-Pyrrol-2-yl]Acetate 854772-37-5P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-
 Thienylmethyl)Amine 854772-38-6P,
 [2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-3-
 Thienyl]Acetic Acid 854772-39-7P,
 [5-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-2-
 Thienyl]Acetic Acid 854772-42-2P,
 5-[4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-
 yl]Phenyl]Amino]Methyl]Benzyl]-1,3-Thiazolidine-2,4-Dione 854772-43-3P,
 5-[4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-
 yl]Phenyl]Amino]Methyl]Benzyl]-2-Thioxo-1,3-Thiazolidin-4-one
 854772-44-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(4-
 Fluorobiphenyl-3-yl)Methyl]Amine 854772-48-8P 854772-49-9P,

[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(3-Fluoro-4'-Methoxybiphenyl-4-yl)Methyl]Amine 854772-50-2P,
 3'-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4'-Fluorobiphenyl-4-ol 854772-51-3P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Methylbenzyl)Amine 854772-52-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,4-Dimethylbenzyl)Amine 854772-53-5P,
 N-[(1-Acetyl-1H-Indol-3-yl)Methyl]-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Aniline 854772-54-6P, N,N-Bis[(1-acetyl-1H-indol-3-yl)methyl]-3-[3-benzyl-8-(trifluoromethyl)Quinolin-4-yl]Aniline 854772-55-7P,
 3-Benzyl-4-[3-[(1-Methyl-1H-Indol-3-yl)Methoxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854772-56-8P,
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][2-Fluoro-5-(1H-pyrrol-2-yl)benzyl]amine 854772-57-9P,
 3-Benzyl-4-[3-[(1-Methyl-1H-Indol-7-yl)Methoxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854772-59-1P,
 3-Benzyl-4-(3-Phenylethynyl-Phenyl)-8-Trifluoromethyl-Quinoline 854772-60-4P, [4-[2-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Ethyl]Phenyl]Acetic Acid 854772-62-6P, Ethyl 3-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoate 854772-63-7P, 3-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoic acid 854772-64-8P, Methyl 4-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoate 854772-65-9P, 4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoic acid 854772-67-1P,
 3-[4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoic acid 854772-68-2P, Methyl 3-[4-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoate 854772-69-3P,
 [3-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]acetic acid 854772-70-6P,
 3-[3-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoic acid 854772-71-7P, Methyl 3-[3-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoate 854772-72-8P,
 3-Benzyl-4-[3-[(2-fluorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-73-9P,
 3-Benzyl-4-[3-[(2-chlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-74-0P,
 3-Benzyl-4-[3-[(4-bromophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-75-1P, 3-Benzyl-4-[3-[(2,5-dichlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-76-2P,
 3-Benzyl-4-[3-[(2,4-dichlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-77-3P,
 3-Benzyl-4-[3-[(3,4-dichlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-79-5P, Methyl 4-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Benzoate 854772-80-8P, Ethyl [3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Acetate 854772-81-9P,
 3-[3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Propanoic acid methyl ester 854772-82-0P, Methyl [3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenoxy]Acetate 854772-83-1P 854772-84-2P,
 3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Benzoic Acid 854772-85-3P,
 4-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Benzoic Acid 854772-86-4P,
 [3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Acetic Acid 854772-87-5P,

3-[3-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Propanoic Acid 854772-88-6P,
 3-[3-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenoxy]Acetic Acid 854772-89-7P,
 4-[3-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenoxy]Acetic Acid 854772-90-0P,
 3-[3-(8-Chloro-3-Methylquinolin-4-yl)Phenoxy]-N-Ethylbenzamide 854772-92-2P,
 2-[3-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Phenyl]Propan-2-ol 854772-93-3P 854772-94-4P
 854772-95-5P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]Benzamide 854772-96-6P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-(2-Hydroxyethyl)Benzamide 854772-97-7P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-Methylbenzamide 854772-98-8P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-Ethylbenzamide 854772-99-9P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-Cyclopropylbenzamide 854773-00-5P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-isopropylbenzamide 854773-01-6P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N,N-Diethylbenzamide 854773-02-7P,
 3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amine 854773-03-8P,
 3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]3-(Piperidin-1-ylcarbonyl)Phenyl]Amine 854773-04-9P,
 3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]3-(Morpholin-4-ylcarbonyl)Phenyl]Amine 854773-05-0P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]-5-Bromobenzonitrile 854773-06-1P,
 3-Benzyl-4-[3-[3-Bromo-5-(Trifluoromethyl)Phenoxy]Phenyl]-8-Chloroquinoline 854773-07-2P,
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]-5-Fluorobenzonitrile 854773-08-3P,
 3-Benzyl-4-[3-(3-Bromo-5-Chlorophenoxy)Phenyl]-8-Chloroquinoline 854773-09-4P,
 N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-1H-Imidazole-1-Carboximidamide 854773-13-0P 854773-14-1P 854773-15-2P,
 N-[4-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Benzoyl]Glycine 854773-16-3P 854773-17-4P,
 3-[3-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Phenyl]Propanoic Acid 854773-19-6P,
 4'-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-1,1'-Biphenyl-3-yl]Acetic Acid 854773-20-9P,
 4'-[[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-1,1'-Biphenyl-3-yl]Acetic Acid 854773-21-0P,
 4-[4-[2-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Ethyl]Piperidin-1-yl]Benzoic Acid 854773-22-1P,
 4-[3-(8-Chloro-3-Phenylquinolin-4-yl)Phenoxy]Methyl]Phenyl]Acetic Acid 854773-23-2P,
 4-[3-(8-Chloro-3-Methylquinolin-4-yl)Phenoxy]Methyl]Phenyl]Acetic Acid 854773-24-3P,
 4-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]Methyl]Phenyl]Acetic Acid 854773-27-6P,
 2-[4-[3-(8-Chloro-3-Phenylquinolin-4-yl)Phenoxy]Methyl]Phenyl]-2-Methylpropanoic Acid 854773-28-7P,
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(drug candidate; preparation of quinolines useful in treating LXR (liver X receptor)-mediated diseases)

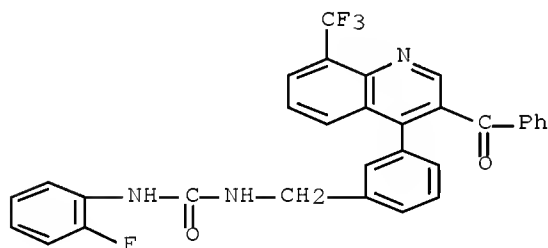
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
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(drug candidate; preparation of quinolines useful in treating LXR (liver X receptor)-mediated diseases)

RN 854771-64-5 HCAPLUS

CN Urea, N-[[3-[3-benzoyl-8-(trifluoromethyl)-4-quinolinyl]phenyl]methyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
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L125 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:232568 HCAPLUS Full-text

DOCUMENT NUMBER: 142:291383

TITLE: Nitrosated and nitrosylated cardiovascular compounds, compositions, and methods of therapeutic use

INVENTOR(S): Garvey, David S.; Letts, Gordon L.; Worcel, Manuel

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2005023182 | A2 | 20050317 | WO 2004-US26910 | 20040820 <-- |
| WO 2005023182 | A3 | 20061019 | | |
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| US 20070238740 | A1 | 20071011 | US 2006-568819 | 20061103 <-- |
| PRIORITY APPLN. INFO.: | | | US 2003-498309P | P 20030828 <-- |
| | | | US 2004-535542P | P 20040112 |
| | | | WO 2004-US26910 | W 20040820 |
| | | | WO 2004-US26911 | W 20040820 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:291383

ED Entered STN: 17 Mar 2005

AB The invention provides nitrosated and/or nitrosylated cardiovascular compds. or pharmaceutically acceptable salts thereof, and compns. comprising at least one nitrosated and/or nitrosylated cardiovascular compound, and, optionally, at least one nitric oxide donor and/or at least one therapeutic agent. The invention also provides compns. and kits comprising at least one

cardiovascular compound of the invention that is optionally nitrosated and/or nitrosylated and, optionally, at least one nitric oxide donor compound and/or at least one therapeutic agent. The invention also provides methods for (a) treating cardiovascular diseases; (b) treating renovascular diseases; (c) treating diabetes; (d) treating diseases resulting from oxidative stress; (e) treating endothelial dysfunctions; (f) treating diseases caused by endothelial dysfunctions; (g) treating cirrhosis; (h) treating pre-eclampsia; (j) treating osteoporosis; and (k) treating nephropathy. The nitrosated and/or nitrosylated cardiovascular compds. are preferably nitrosated and/or nitrosylated aldosterone antagonists, nitrosated and/or nitrosylated angiotensin II antagonists, nitrosated and/or nitrosylated calcium channel blockers, nitrosated and/or nitrosylated endothelin antagonists, nitrosated and/or nitrosylated hydralazine compds., nitrosated and/or nitrosylated neutral endopeptidase inhibitors and nitrosated and/or nitrosylated renin inhibitors.

IC ICM A61K

CC 1-8 (Pharmacology)

Section cross-reference(s): 63

IT 52-01-7, Spironolactone 58-93-5, Hydrochlorothiazide 77-36-1, Chlorthalidone 86-54-4D, Hydralazine, compds. 127-07-1D, Hydroxyurea, derivs. 304-20-1, Hydralazine hydrochloride 318-98-9, Propranolol hydrochloride 396-01-0, Triamterene 497-27-8D, Furoxan, derivs. 2016-88-8, Amiloride hydrochloride 7803-49-8D, Hydroxylamine, derivs. 13115-21-4D, N-Hydroxyguanidine, derivs. 26921-17-5, Timolol maleate 56392-17-7, Metoprolol tartrate 62571-86-2, Captopril 72956-09-3, Carvedilol 76095-16-4, Enalapril maleate 76547-98-3, Lisinopril 82586-52-5, Moexipril hydrochloride 82586-55-8, Quinapril hydrochloride 86541-74-4, Benazepril hydrochloride 87679-37-6, Trandolapril 87679-71-8, Trandolaprilat 88889-14-9, Fosinopril sodium 104344-23-2, Bisoprolol fumarate 107724-20-9, Eplerenone 114798-26-4D, Losartan, nitrosated/nitrosylated derivs. 114798-27-5D, nitrosated/nitrosylated derivs. 114798-28-6D, nitrosated/nitrosylated derivs. 114798-29-7D, nitrosated/nitrosylated derivs. 124749-82-2D, nitrosated/nitrosylated derivs. 124749-84-4D, nitrosated/nitrosylated derivs. 124750-88-5D, nitrosated/nitrosylated derivs. 124750-91-0D, nitrosated/nitrosylated derivs. 124750-92-1D, nitrosated/nitrosylated derivs. 124750-93-2D, nitrosated/nitrosylated derivs. 124750-99-8, Losartan potassium 133040-01-4D, Eprosartan, nitrosated/nitrosylated derivs. 133240-46-7D, nitrosated/nitrosylated derivs. 135070-05-2D, nitrosated/nitrosylated derivs. 137862-53-4, Valsartan 137862-53-4D, Valsartan, nitrosated/nitrosylated derivs. 137882-98-5D, Abitesartan, nitrosated/nitrosylated derivs. 138402-11-6, Irbesartan 138402-11-6D, Irbesartan, nitrosated/nitrosylated derivs. 139481-59-7D, Candesartan, nitrosated/nitrosylated derivs. 139958-16-0D, nitrosated/nitrosylated derivs. 141309-82-2D, nitrosated/nitrosylated derivs. 144143-96-4, Eprosartan mesylate 144689-24-7D, Olmesartan, nitrosated/nitrosylated derivs. 144689-63-4, Olmesartan medoxomil 144701-48-4, Telmisartan 144701-48-4D, Telmisartan, nitrosated/nitrosylated derivs. 145040-37-5, Candesartan cilexetil 145160-84-5D, nitrosated/nitrosylated derivs. 145216-43-9D, Forasartan, nitrosated/nitrosylated derivs. 145733-36-4D, Tasosartan, nitrosated/nitrosylated derivs. 145781-32-4D, Zolasartan, nitrosated/nitrosylated derivs. 146623-69-0D, Sapisartan, nitrosated/nitrosylated derivs. 147403-03-0D, nitrosated/nitrosylated derivs. 148504-51-2D, Ripisartan, nitrosated/nitrosylated derivs. 148564-47-0D, Milfasartan, nitrosated/nitrosylated derivs. 149968-26-3D, Elisartan, nitrosated/nitrosylated derivs. 153235-15-5D, Fonsartan, nitrosated/nitrosylated derivs. 153806-29-2D, nitrosated/nitrosylated derivs. 154749-99-2D, nitrosated/nitrosylated derivs. 155884-08-5D, nitrosated/nitrosylated derivs. 155918-60-8D, nitrosated/nitrosylated derivs. 155918-61-9D, nitrosated/nitrosylated derivs. 156001-18-2D,

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RL: PAC (Pharmacological activity); THU (Therapeutic
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(nitrosated and nitrosylated cardiovascular compds., compns., and
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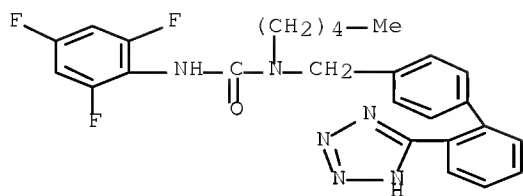
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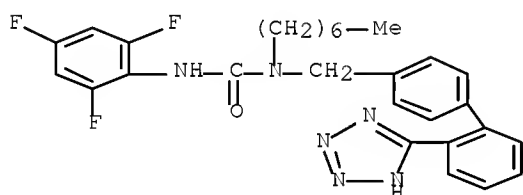
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CN Urea, N-pentyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-
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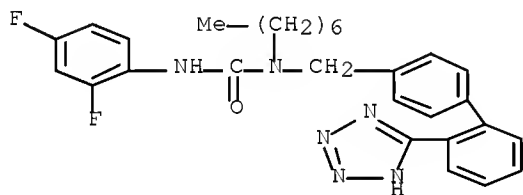
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RN 439904-57-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-heptyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



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L125 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:158627 HCAPLUS Full-text

DOCUMENT NUMBER: 142:261304

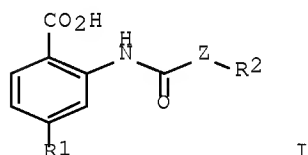
TITLE: Preparation of anthranilic acid derivatives as selective agonists of the nicotinic acid receptor HM74A

INVENTOR(S): Campbell, Mathew; Hatley, Richard Jonathan; Heer, Jag Paul; Mason, Andrew McMurtrie; Nicholson, Neville Hubert; Pinto, Ivan Leo; Rahman, Shahzad Sharooq; Smith, Ian Edward David

10/569,873

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2005016870 | A1 | 20050224 | WO 2004-GB3528 | 20040813 <-- |
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| EP 1670749 | A1 | 20060621 | EP 2004-768088 | 20040813 <-- |
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| JP 2007502264 | T | 20070208 | JP 2006-523062 | 20040813 <-- |
| PRIORITY APPLN. INFO.: | | | GB 2003-19124 | A 20030814 <-- |
| | | | WO 2004-GB3528 | W 20040813 |
| OTHER SOURCE(S): CASREACT 142:261304; MARPAT 142:261304 | | | | |
| ED Entered STN: 24 Feb 2005 | | | | |
| GI | | | | |



AB Therapeutically active anthranilic acid derivs. I [R1 = H, halo, alkyl; R2 = 5-6 membered aryl, heteroaryl, heterocyclyl, alicyclic ring; Z = (CH2)_q, CH:CH, (CH2)_nO, etc.; q = 1-4; n = 2-4], processes for the preparation of said compds. I, pharmaceutical formulations containing the active compds. and the use of the compds. in therapy, particularly in the treatment of diseases in which under-activation of the HM74A receptor contributes to the disease or in which activation of the receptor will be beneficial, are disclosed. Over sixty compds. I were prepared E.g., a 3-step synthesis of I [R1 = H; R2 = 3'-methoxybiphenyl; Z = CH2O], starting from Me anthranilate, was given. The compds. I showed EC50 of 5.0 or greater and efficacy of 30% or greater in HM74A in-vitro assays.

IC ICM C07C235-38
 ICS C07D307-52; C07D213-65; C07D231-12; C07D271-06; C07D333-24;
 A61K031-4412; A61P009-10

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

IT 69764-13-2P 178271-22-2P 195393-51-2P 217655-56-6P 233693-99-7P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of anthranilic acid derivs. as selective agonists of the
 nicotinic acid receptor HM74A for treating lipid metabolic diseases)

IT ~~845890-09-7P~~

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

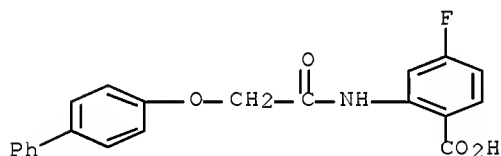
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of anthranilic acid derivs. as selective agonists of the
 nicotinic acid receptor HM74A for treating lipid metabolic diseases)

RN 845890-09-7 HCAPLUS

CN Benzoic acid, 2-[[2-([1,1'-biphenyl]-4-yloxy)acetyl]amino]-4-fluoro- (CA
 INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS
 RECORD (18 CITINGS)
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:566609 HCAPLUS Full-text

DOCUMENT NUMBER: 141:123608

TITLE: Preparation of pyrrolopyridinones as mitogen activated
 protein kinase-activated protein kinase-2 inhibiting
 compounds

INVENTOR(S): Anderson, David R.; Mahoney, Matthew W.; Phillion,
 Dennis P.; Rogers, Thomas E.; Meyers, Marvin J.; Poda,
 Gennadiy; Hegde, Shridhar G.; Singh, Megh; Reitz,
 David B.; Wu, Kun K.; Buchler, Ingrid P.; Xie, Jin;
 Vernier, William F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 573 pp.

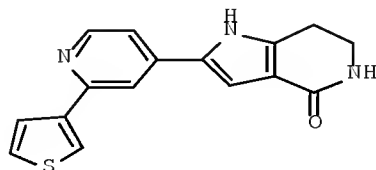
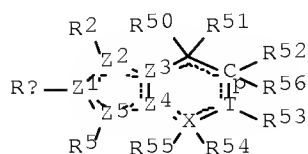
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

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| WO 2004058762 | A1 | 20040715 | WO 2003-US40811 | 20031219 <-- |
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| AU 2003297431 | A1 | 20040722 | AU 2003-297431 | 20031219 <-- |
| US 20040152739 | A1 | 20040805 | US 2003-742494 | 20031219 <-- |
| US 20040209897 | A1 | 20041021 | US 2003-742072 | 20031219 <-- |
| EP 1572693 | A1 | 20050914 | EP 2003-814268 | 20031219 <-- |
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| BR 2003017430 | A | 20051025 | BR 2003-17430 | 20031219 <-- |
| CN 1747949 | A | 20060315 | CN 2003-80109626 | 20031219 <-- |
| JP 2006514043 | T | 20060427 | JP 2004-563888 | 20031219 <-- |
| ZA 2005004898 | A | 20061129 | ZA 2005-4898 | 20031219 <-- |
| MX 2005006569 | A | 20050922 | MX 2005-6569 | 20050617 <-- |
| US 20080113971 | A1 | 20080515 | US 2007-958229 | 20071217 <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-434962P | P 20021220 <-- |
| | | | US 2003-742494 | A1 20031219 <-- |
| | | | WO 2003-US40811 | W 20031219 <-- |

OTHER SOURCE(S): MARPAT 141:123608
 ED Entered STN: 15 Jul 2004
 GI



AB The title compds. [I; Z1, Z3, Z4 = C, N; Z2, Z5 = C, N, S, O, and join together with Z1, Z3 and Z4 to form a ring that is selected from a pyrrole, furan, thiophene, oxazole, thiazole, triazole, and imidazole; when either Z2, or Z5 = O or S, it has no substituent group; when Z1-Z5 form an imidazole ring, Z1 = C and if Z2 and Z5 = N, one is unsubstituted and Z3 and Z4 = C, if Z3 and Z5 = N, Z5 is unsubstituted and Z2 and Z4 = C, and if Z2 and Z4 = N, Z2 is unsubstituted and Z3 and Z5 = C; when Z1-Z5 form an oxazole or thiazole ring, Z1, Z3 and Z4 = C and one of Z2 and Z5 = N that is unsubstituted; when Z1-Z5 form a triazole ring, Z2 and Z5 = N that is unsubstituted; T = C, N; p = 0-3; X = C, S; Ra = (un)substituted 5-6 membered hetero(aryl) or partially unsatd. 5-6 membered ring; R2, R5, R50-R53, R56 = absent, H, alkyl, aryl, etc.; R54, R55 = oxo, absent] which inhibit mitogen activated protein kinase-activated protein kinase-2 (MK-2), were prepared Thus, reacting 2-(2-chloropyridin-4-yl)-1,5,6,7-tetrahydro-4H-pyrrolo[3,2-c]pyridin-4-one (preparation given) with 3-thiopheneboronic acid in the presence of Cs2CO3, Pd(PPh3)4 in DMF afforded 57% II.TFA. The compds. I were tested for MK-2 inhibition activity (biol. data given for over 800 compds). Methods of using compds. I for the inhibition of MK-2, and for the prevention or treatment of a disease or disorder that is mediated by TNF α , are described, where the method involves administering to the subject an MK-2 inhibiting compound I. Therapeutic compns., pharmaceutical compns. and kits which contain the present MK-2 inhibiting compds. I are also described. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IC ICM C07D471-06

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

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| 724732-10-9P | | | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

IT 724730-57-8P 724730-68-1P

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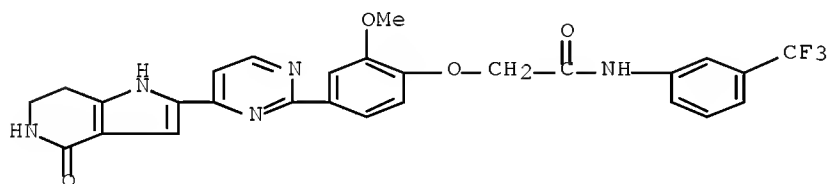
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

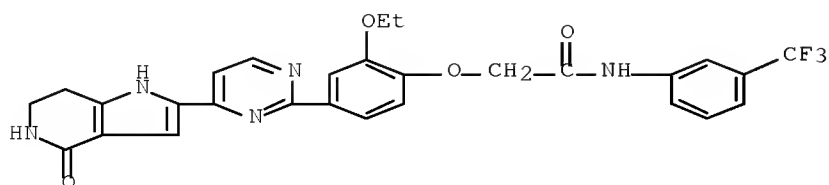
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CN Acetamide, 2-[2-methoxy-4-[4-(4,5,6,7-tetrahydro-4-oxo-1H-pyrrolo[3,2-c]pyridin-2-yl)-2-pyrimidinyl]phenoxy]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 724730-68-1 HCAPLUS

CN Acetamide, 2-[2-ethoxy-4-[4-(4,5,6,7-tetrahydro-4-oxo-1H-pyrrolo[3,2-c]pyridin-2-yl)-2-pyrimidinyl]phenoxy]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L125 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:493691 HCAPLUS Full-text

DOCUMENT NUMBER: 141:54347

TITLE: A preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors, useful for the treatment of HIV-1

INVENTOR(S): Simoneau, Bruno; Thavonekham, Bounkham; Landry, Serge; O'Meara, Jeffrey; Yoakim, Christiane; Faucher, Anne-Marie

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

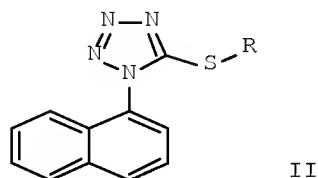
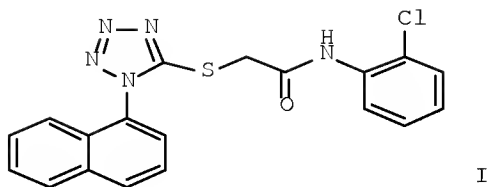
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| WO 2004050643 | A2 | 20040617 | WO 2003-CA1870 | 20031201 <-- |
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| EP 1569919 | A2 | 20050907 | EP 2003-779603 | 20031201 <-- |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
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| NO 2005002712 | A | 20050627 | NO 2005-2712 | 20050606 <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-430796P | P 20021204 <-- |
| | | | WO 2003-CA1870 | W 20031201 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:54347

ED Entered STN: 18 Jun 2004

GI



AB The invention relates to heterocyclic compds. of formula Ar1-X-W-Ar2 [wherein: Ar1 is (un)substituted 5- or 6-membered aromatic heterocycle containing N, O, or S; Ar2 is (un)substituted Ph or pyridine derivative; X is a heteroatom (O, S, S(O), or SO2, etc.), a valence bond or an optionally substituted divalent methylene, etc.; W is a divalent alkylene or (un)substituted alkyleneamido, amido, or oxy radicals, etc.], useful for the treatment of HIV-1. The invention compds. were screened in reverse transcriptase assays (enzymic assay, P24 cellular assay, and C8166 HIV-1 Luciferase assay). The compds. have inhibitory activity against Wild Type (WT) and single or double mutant strains of HIV. For instance, tetrazole derivative I (WT IC50 < 50 nM; K103N/Y181C EC50 > 100 nM) was prepared via heterocyclization of 1-naphthalenylisothiocyanate with NaN3, acetylation of the obtained tetrazolethione derivative II (R = H), and subsequent amidation of the obtained carboxylic acid II (R = CH2CO2H) by o-chloroaniline (example 1, entry 208).

IC ICM C07D257-00

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

| | | | | | |
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| | 327047-29-0P | 333353-64-3P | 485371-83-3P | 634168-75-5P | 685505-58-2P |
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| 705970-00-9P | 705970-01-0P | 705970-02-1P | 705970-03-2P | 705970-04-3P |
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| 705971-52-4P | | | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors)

IT 705969-15-9P 705970-72-5P 705970-73-6P
705970-80-5P 705970-82-7P 705970-83-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

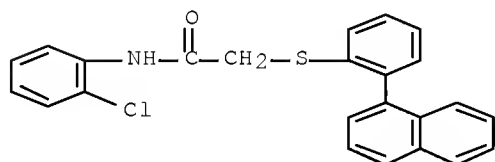
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors)

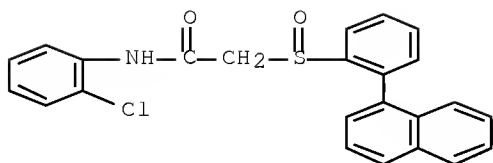
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CN Acetamide, N-(2-chlorophenyl)-2-[[2-(1-naphthalenyl)phenyl]thio]- (CA INDEX NAME)



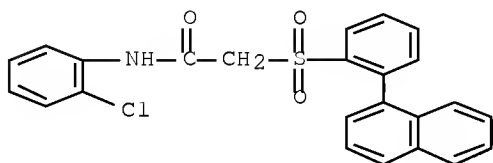
RN 705970-72-5 HCAPLUS

CN Acetamide, N-(2-chlorophenyl)-2-[[2-(1-naphthalenyl)phenyl]sulfinyl]- (CA INDEX NAME)



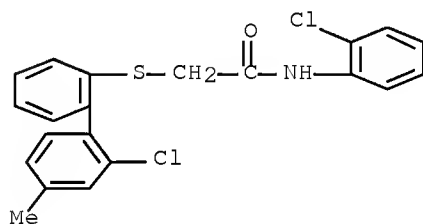
RN 705970-73-6 HCAPLUS

CN Acetamide, N-(2-chlorophenyl)-2-[[2-(1-naphthalenyl)phenyl]sulfonyl]- (CA INDEX NAME)



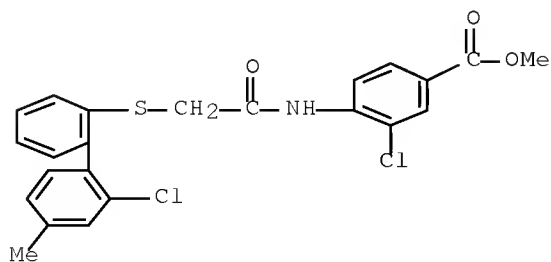
RN 705970-80-5 HCAPLUS

CN Acetamide, 2-[(2'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)thio]-N-(2-chlorophenyl)- (CA INDEX NAME)

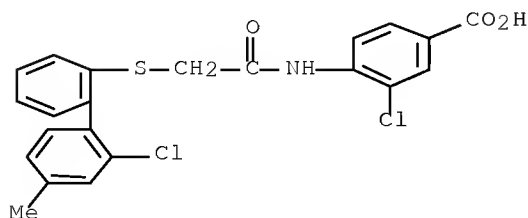


RN 705970-82-7 HCAPLUS

CN Benzoic acid, 3-chloro-4-[[2-[(2'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)thio]acetyl]amino]-, methyl ester (CA INDEX NAME)



RN 705970-83-8 HCAPLUS
 CN Benzoic acid, 3-chloro-4-[[2-[(2'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)thio]acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:390211 HCAPLUS Full-text

DOCUMENT NUMBER: 140:406638

TITLE: Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.

INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R. H.; Lenter, Martin; Wieland, Heike-Andrea

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.

SOURCE: PCT Int. Appl., 276 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|------------------|--------------|
| WO 2004039764 | A1 | 20040513 | WO 2003-EP11933 | 20031028 <-- |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
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| EP 1558567 | B1 | 20090624 | | |

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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| HR 2005000383 | A2 | 20060831 | HR 2005-383 | 20050429 <-- |
| PRIORITY APPLN. INFO.: | | | DE 2002-10250743 | A 20021031 <-- |
| | | | US 2003-456482P | P 20030321 <-- |
| | | | WO 2003-EP11933 | W 20031028 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:406638

ED Entered STN: 13 May 2004

AB R1R2NXYZNR3COWABb [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N, CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, CO, imino; W = CR6aR6bO, CR7a:CR7c, etc.; Z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b = H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific exceptions], were prepared for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 78% Me [2-[2-chloro-4-[2-(2,4-dichlorophenoxy)acetylaminolphenoxylethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.

IC ICM C07C233-29

ICS C07C235-24; C07C237-04; C07C255-60; C07D207-08; C07D207-20;
C07D209-08; C07D211-62; C07D213-30; C07D213-56; C07D295-08;
C07D295-12; C07D307-42; C07D333-16; A61K031-16; A61K031-33;
A61P003-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

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| IT 742085-44-5 | 1026711-83-0 | 1064158-95-7 | 1064158-96-8 | 1064158-97-9 |
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| 1064160-13-9 | 1064160-15-1 | 1064160-16-2 | <u>1064160-17-3</u> | |
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| 1064160-95-7 | 1064160-98-0 | 1064160-99-1 | 1064161-00-7 | 1064161-01-8 |
| 1064161-03-0 | 1064161-04-1 | 1064161-05-2 | 1064161-06-3 | |

RL: PRPH (Prophetic)

(Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.)

| | | | | | |
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| | 689299-81-8P | 689299-82-9P | 689299-83-0P | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(claimed compound; preparation of arylamides as melanin concentrating hormone (MCH)

receptor antagonists)

| | | | | | |
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| IT | 689300-99-0P | 689301-00-6P | 689301-01-7P | 689301-02-8P | 689301-03-9P |
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

| | | | |
|----|---------------------|---------------------|---------------------|
| IT | <u>1064160-17-3</u> | <u>1064160-18-4</u> | <u>1064160-20-8</u> |
| | <u>1064160-21-9</u> | <u>1064160-37-7</u> | <u>1064160-38-8</u> |
| | <u>1064160-39-9</u> | <u>1064160-40-2</u> | |

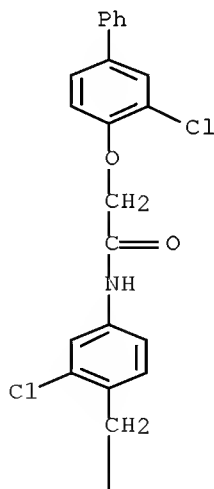
RL: PRPH (Prophetic)

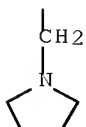
(Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.)

RN 1064160-17-3 HCAPLUS

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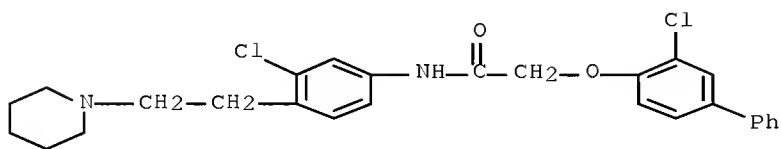
PAGE 1-A





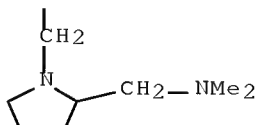
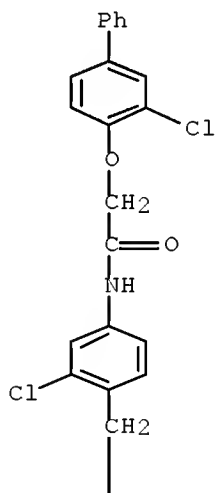
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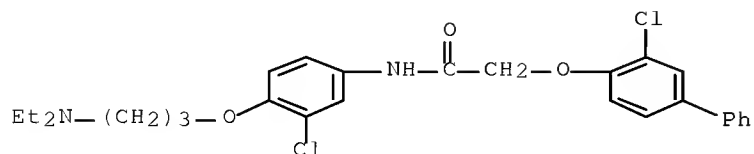
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CN INDEX NAME NOT YET ASSIGNED



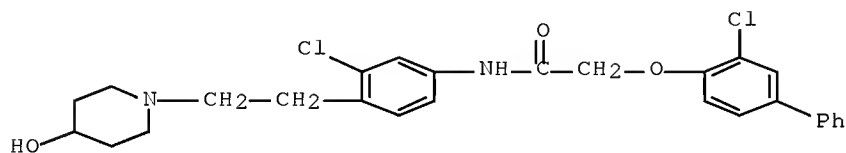
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RN 1064160-37-7 HCAPLUS

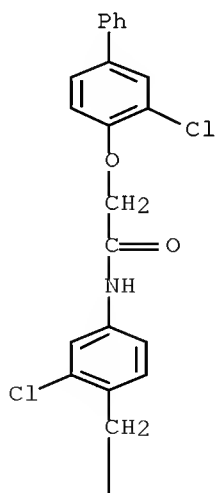
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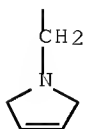


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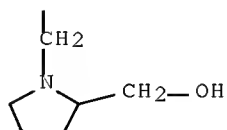
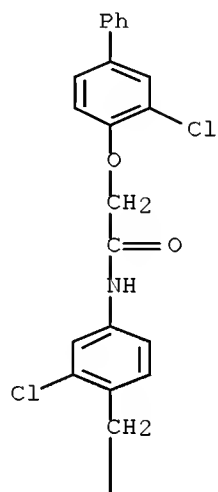
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PAGE 1-A

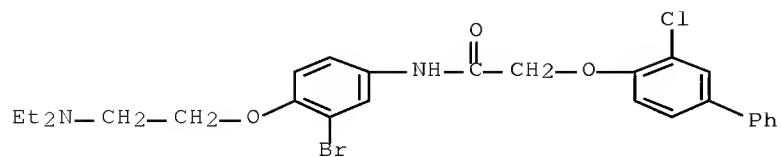




RN 1064160-39-9 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1064160-40-2 HCAPLUS
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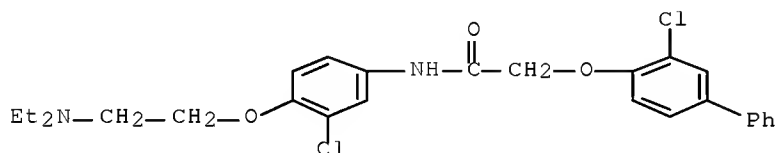
IT 689299-42-1P 689299-55-6P 689299-56-7P
689299-57-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(claimed compound; preparation of arylamides as melanin concentrating
hormone (MCH)
receptor antagonists)

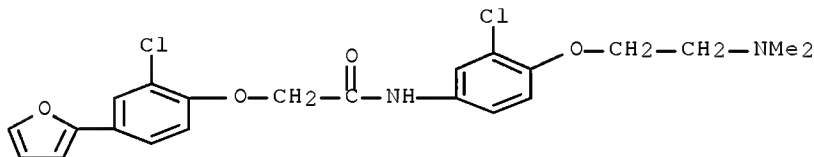
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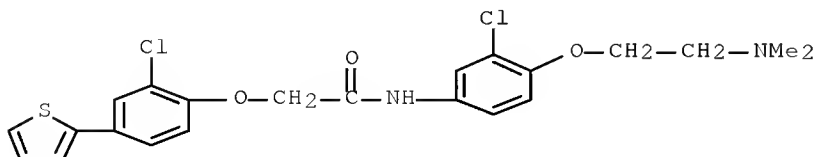
RN 689299-55-6 HCAPLUS

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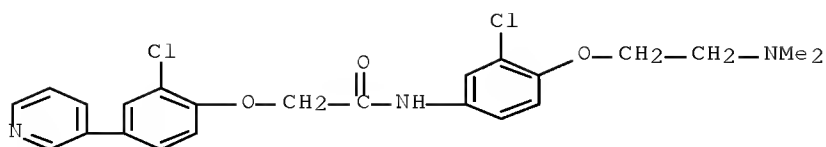
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RN 689299-57-8 HCAPLUS

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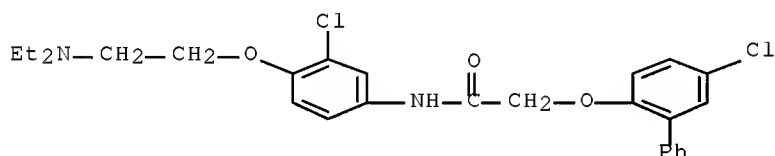
IT 689301-37-9P 689301-93-7P 689301-94-8P
689301-95-9P 689301-96-0P 689301-97-1P
689302-14-5P 689302-15-6P 689302-16-7P
689302-19-0P 689302-20-3P 689302-21-4P
689302-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(preparation of arylamides as melanin concentrating hormone (MCH) receptor
antagonists)

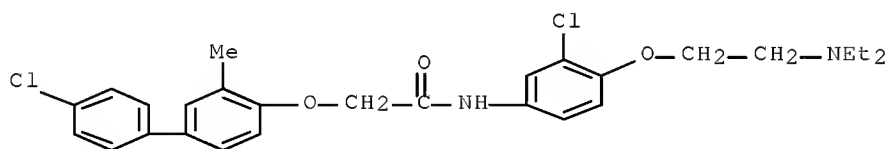
RN 689301-37-9 HCAPLUS

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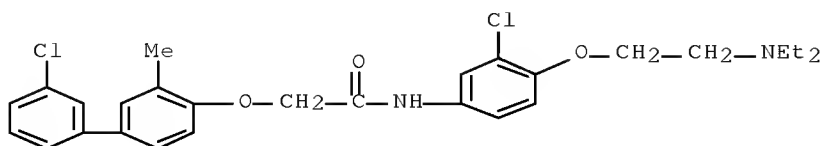
RN 689301-93-7 HCAPLUS

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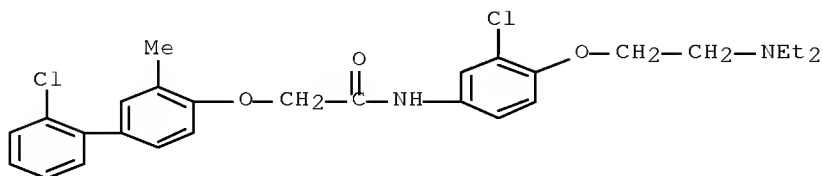
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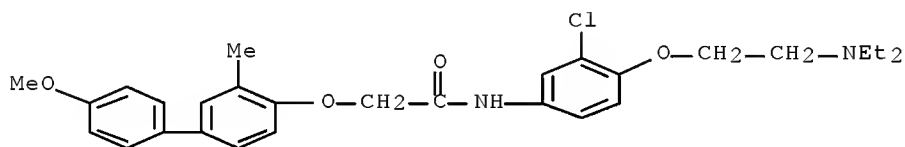
RN 689301-95-9 HCAPLUS

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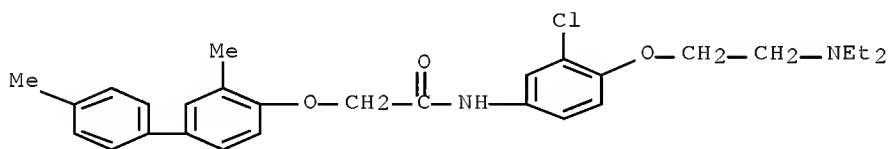
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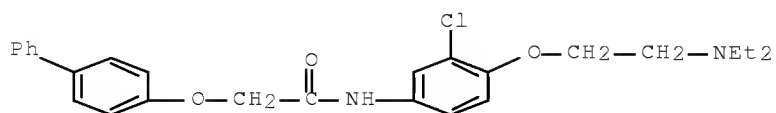
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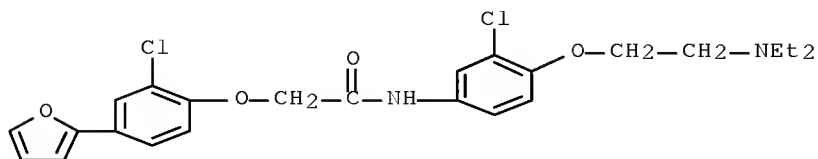
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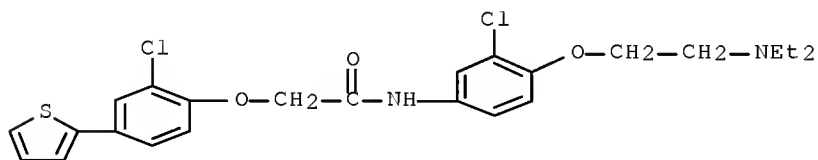
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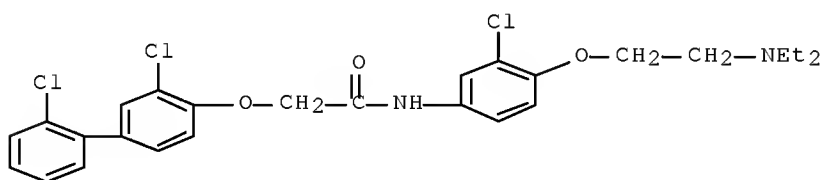
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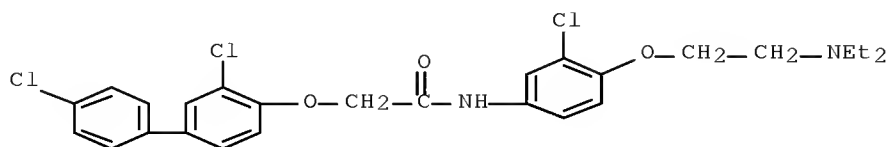
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CN Acetamide, N-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]-2-[(2',3-dichloro[1,1'-biphenyl]-4-yl)oxy]- (CA INDEX NAME)



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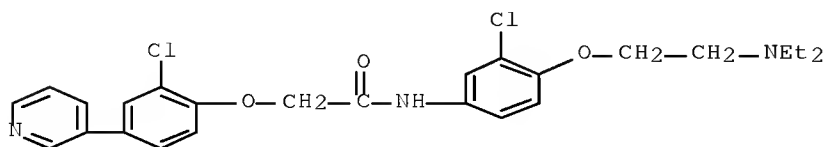
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10/569,873

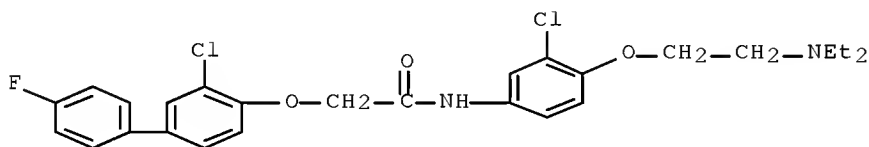
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OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:220337 HCAPLUS Full-text

DOCUMENT NUMBER: 140:270878

TITLE: Kinase-modulating
6-aryl-imidazo[1,2-a]pyrazin-8-ylamines, method of their preparation, and method of their use, e.g., against cancer cells

INVENTOR(S): Desimone, Robert W.; Pippin, Douglas A.; Darrow, James W.; Mitchell, Scott A.; Currie, Kevin S.

PATENT ASSIGNEE(S): Cellular Genomics, Inc., USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2004022562 | A1 | 20040318 | WO 2003-US28329 | 20030909 <-- |
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10/569,873

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US 20040067951 A1 20040408 US 2003-658121 20030909 <--
US 7312341 B2 20071225

PRIORITY APPLN. INFO.: US 2002-409161P P 20020909 <--
WO 2003-US28329 W 20030909 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:270878

ED Entered STN: 19 Mar 2004

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, cycloalkylmethyl, (hetero)(cyclo)alkyl, sulfonamide, alkoxy, alkoxyalkoxy, alkoxyalkyl, (di)(alkyl)amino(alkyl), (un)substituted Ph or heteroaryl; R2 = (hetero)(cyclo)alkyl, cycloalkylmethyl, alkoxy, alkoxyalkoxy, alkoxyalkyl, (di)(alkyl)amino(alkyl), (un)substituted Ph, heteroaryl, phenoxyphenyl, phenyl- or heteroarylpiperazine; R3 = H, CO₂H or esters, (hetero)(cyclo)alkyl, (un)substituted Ph, heteroaryl, phenoxyphenyl, phenyl- or heteroarylpiperazine; R4 = H, (hetero)(cyclo)alkyl, alkoxyalkyl, (un)substituted Ph, heteroaryl, phenoxyphenyl, phenyl- or heteroarylpiperazine; X = N or CH; Z1 = bond, CO, (un)substituted CH₂, CH₂CH₂, CONH; Z2 = bond, CO, (un)substituted CH₂NHCONH, NHCONHCH₂, CH₂, CH₂CH₂, CONH, NHCO, NHCONH, SO₂NH, NHSO₂; some substituents may be linked; with provisos] and their pharmaceutically acceptable salts, hydrates, solvates, crystal forms, diastereomers, prodrugs, or mixts., are disclosed. Compds. I are of particular utility in the treatment of kinase-implicated disorders. A list of 91 invention compds. is given in examples, and the compds. are individually claimed. A general preparatory method starting from 3,5-dibromo-2-aminopyrazine is given; the steps include (among others) cyclocondensation with α -bromo aldehydes, monoaminolysis of the resultant 6,8-dibromoimidazopyrazines, Pd-catalyzed arylation of the obtained 8-amino-6-bromoimidazopyrazines, and reaction of 6-(aminophenyl)imidazolpyrazines with Ph isocyanate derivs. to form ureas. An exemplary invention compound is II. In tests against human cancer cell lines, including one over-expressing transfected human myrAKT-1 kinase gene (AKT-1 kinase), exemplified compds. I had IC₅₀ values \leq 25 μ M.

IC ICM C07D487-04

ICS C07D519-00; A61K031-4985; A61P035-02; A61P037-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 618454-80-1P, 1-(4-Chlorophenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 618454-86-7P, 1-(4-Chlorophenyl)-3-[3-[8-(4-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618454-91-4P, 1-(4-Chlorophenyl)-3-[3-[8-(3-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618455-30-4P, 4-[6-[3-[3-(4-Chlorophenyl)ureido]phenyl]imidazo[1,2-a]pyrazin-8-ylamino]benzoic acid ethyl ester 618455-54-2P, 1-[4-[8-(2-Methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-phenylurea 618455-60-0P, (2-Methoxybenzyl)[6-[3-(4-methoxybenzylamino)phenyl]imidazo[1,2-a]pyrazin-8-yl]amine 618455-66-6P, 1-(2-Chlorophenyl)-3-[4-[8-(2-methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618455-69-9P, 1-[4-[8-(2-Methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxyphenyl)urea 618455-71-3P,

1-[4-[8-(2-Methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-methoxyphenyl)urea 618455-73-5P,
 4-[6-[4-(Piperidine-1-carbonyl)phenyl]imidazo[1,2-a]pyrazin-8-ylamino]benzoic acid ethyl ester 618455-75-7P,
 4-[6-[3-[3-(2-Methylsulfanylphenyl)ureido]phenyl]imidazo[1,2-a]pyrazin-8-ylamino]benzoic acid ethyl ester 618455-77-9P,
 [4-[8-(4-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]piperidin-1-ylmethanone 618455-84-8P, 1-(3-Chloro-4-fluorophenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 618455-86-0P,
 1-[3-(8-Phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]-3-(3-trifluoromethylphenyl)urea 618455-88-2P,
 1-(2-Chloro-5-trifluoromethylphenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 618455-91-7P,
 1-[3-[8-(4-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 618455-94-0P,
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-(3-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618455-97-3P,
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 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-(2-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-56-9P,
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-chlorophenyl)urea 673856-57-0P,
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-chlorophenyl)urea 673856-58-1P,
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 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-60-5P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-61-6P,
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-trifluoromethylphenyl)urea 673856-62-7P,
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-trifluoromethoxyphenyl)urea 673856-63-8P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methylsulfanylphenyl)urea 673856-64-9P,
 1-[3-[8-[Methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methylsulfanylphenyl)urea 673856-65-0P,
 1-(4-Chlorophenyl)-3-[3-[8-[methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-66-1P,
 1-[3-[8-[Methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-67-2P, 1-(4-Chlorophenyl)-3-[3-[8-(3,4-dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-68-3P,
 1-[3-[8-(3,4-Dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methylsulfanylphenyl)urea 673856-69-4P,
 1-[3-[8-(3,4-Dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-70-7P,
 1-[3-[8-(3,4-Dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxyphenyl)urea 673856-71-8P,
 8-[(4-Chlorobenzyl)methylamino]-6-[3-[3-(2-trifluoromethylphenyl)ureido]phenyl]imidazo[1,2-a]pyrazine-3-carboxylic acid ethyl ester 673856-72-9P, 8-[(4-Chlorobenzyl)methylamino]-6-[3-(3-o-tolylureido)phenyl]imidazo[1,2-a]pyrazine-3-carboxylic acid ethyl ester 673856-73-0P, 8-[(4-Chlorobenzyl)methylamino]-6-[3-[3-(4-chlorophenyl)ureido]phenyl]imidazo[1,2-a]pyrazine-3-carboxylic acid ethyl ester 673856-74-1P, 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-75-2P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-chloro-4-fluorophenyl)urea 673856-76-3P,

1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(4-chlorophenyl)urea ~~673856-77-4P~~,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(3-chloro-4-fluorophenyl)urea 673856-78-5P,
 1-[3-[8-[(4-Chlorobenzyl)ethylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-79-6P, 1-[3-[8-[(4-Chlorobenzyl)ethylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-80-9P,
 1-[3-[8-[(4-Chlorobenzyl)ethylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-chloro-4-fluorophenyl)urea 673856-81-0P,
 1-[3-[8-[(4-Chlorobenzyl)propylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-82-1P, 1-[3-[8-[(4-Chlorobenzyl)propylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-chloro-4-fluorophenyl)urea 673856-83-2P, 1-[3-[8-[Butyl(4-chlorobenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-84-3P, 1-[3-[8-[(4-Chlorobenzyl)propylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-85-4P,
 1-[3-[8-[(4-Chlorobenzyl)propylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673856-86-5P,
 1-[3-[8-[Butyl(4-chlorobenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-87-6P,
 1-[3-[8-[Butyl(4-chlorobenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673856-88-7P,
 1-[3-[8-[Butyl(4-chlorobenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-chloro-4-fluorophenyl)urea 673856-89-8P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673856-90-1P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-91-2P, 1-[3-[8-(Benzylmethylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-92-3P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-trifluoromethoxyphenyl)urea 673856-93-4P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(5-fluoro-2-trifluoromethylphenyl)urea 673856-94-5P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3,5-dichlorophenyl)urea 673856-95-6P,
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 1-[3-[8-[(2-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-97-8P,
 1-[3-[8-[Methyl(pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea ~~673856-98-9P~~,
 1-(4-Chlorobenzyl)-3-[3-[8-[(4-chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-99-0P,
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673857-00-6P,
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-01-7P,
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chloro-3-fluorophenyl)urea 673857-02-8P,
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-[4-(4-methylpiperazin-1-ylmethyl)phenyl]urea 673857-03-9P,
 N-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]benzenesulfonamide 673857-04-0P,
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 [4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-

yl]phenyl]piperidin-1-ylmethanone 673857-08-4P,
 [4-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]piperidin-1-ylmethanone 673857-09-5P,
 3-Methoxy-N-[3-[8-(2-methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]benzamide 673857-10-8P,
 2-Methoxy-N-[3-[8-(2-methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]benzamide 673857-11-9P,
 1-[3-(8-Phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]-3-(4-trifluoromethylphenyl)urea 673857-12-0P,
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[(pyridin-2-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-13-1P,
 1-(4-Chlorophenyl)-3-[3-[8-[(pyridin-3-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-14-2P,
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[(pyridin-3-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-15-3P,
 1-(4-Chlorophenyl)-3-[3-[8-[(pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-16-4P,
 1-[3-[8-[(Pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-17-5P,
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[(pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-18-6P,
 1-[3-[8-(Pyridin-4-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-19-7P 673857-20-0P,
 1-(4-Chlorophenyl)-3-[3-[8-[(pyridin-2-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-21-1P,
 1-[3-[8-[(Pyridin-2-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-22-2P,
 1-(2-Methoxy-6-methylphenyl)-3-[3-[8-(pyridin-4-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-23-3P,
 1-(2-Methoxy-5-methylphenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 673857-24-4P, 1-[3-[8-(3-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxy-5-methylphenyl)urea 673857-25-5P,
 1-[3-[8-(2-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxy-5-methylphenyl)urea 673857-26-6P,
 1-[3-[8-(Pyridin-3-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-27-7P,
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-(pyridin-3-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-29-9DP,
 1-[4-(8-Aminoimidazo[1,2-a]pyrazin-6-yl)phenyl]-3-phenylurea, derivs.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of arylimidazopyrazinylamines as kinase modulators)

IT 673856-76-3P, 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(4-chlorophenyl)urea 673856-77-4P,
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(3-chloro-4-fluorophenyl)urea 673856-98-9P,
 1-(4-Chlorobenzyl)-3-[3-[8-[(4-chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

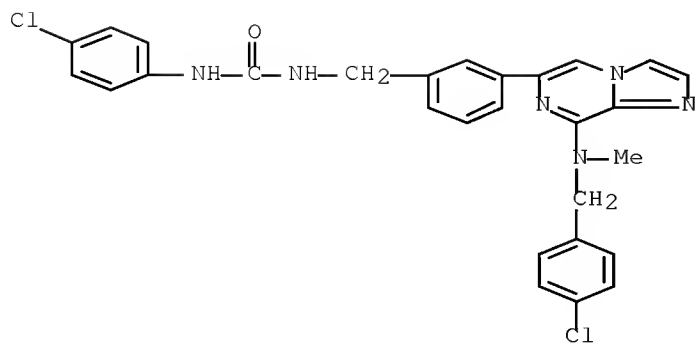
(Preparation); USES (Uses)

(drug candidate; preparation of arylimidazopyrazinylamines as kinase modulators)

RN 673856-76-3 HCAPLUS

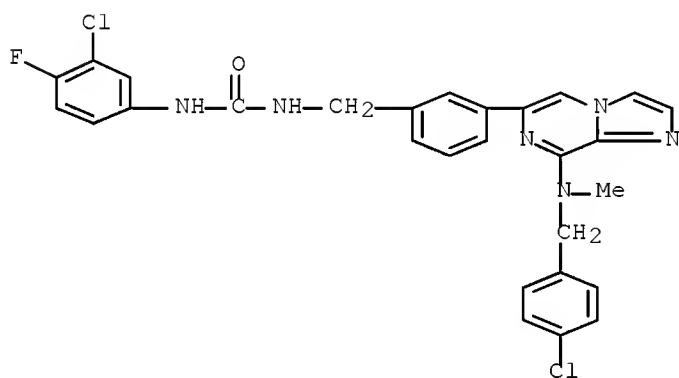
CN Urea, N-(4-chlorophenyl)-N'-[[3-[8-[(4-chlorophenyl)methyl]methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]methyl]-
 (CA INDEX NAME)

10/569,873



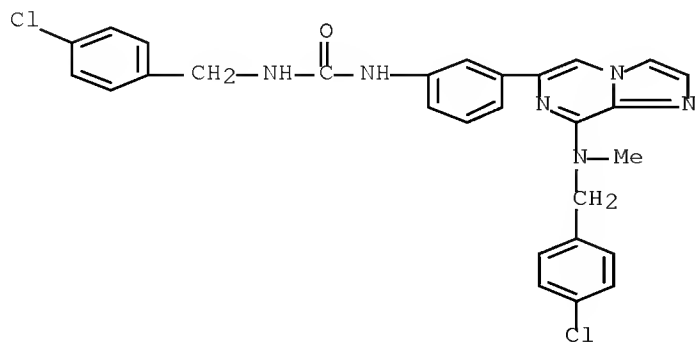
RN 673856-77-4 HCAPLUS

CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[[3-[8-[[4-chlorophenyl)methyl]methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]methyl]- (CA INDEX NAME)



RN 673856-98-9 HCAPLUS

CN Urea, N-[(4-chlorophenyl)methyl]-N'-[3-[8-[[4-chlorophenyl)methyl]methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 11 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:971891 HCAPLUS Full-text
DOCUMENT NUMBER: 140:13098
TITLE: Pharmaceutically active compounds having a tricyclic
pyrazolotriazolopyrimidine ring structure and methods
of use
INVENTOR(S): Baraldi, Pier Giovanni; Borea, Pier Andrea
PATENT ASSIGNEE(S): King Pharmaceuticals Research & Development, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------------|
| WO 2003101455 | A2 | 20031211 | WO 2003-US17313 | 20030530 <-- |
| WO 2003101455 | A3 | 20040521 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2454654 | A1 | 20031211 | CA 2003-2454654 | 20030530 <-- |
| AU 2003245380 | A1 | 20031219 | AU 2003-245380 | 20030530 <-- |
| US 20040039004 | A1 | 20040226 | US 2003-452788 | 20030530 <-- |
| US 7064204 | B2 | 20060620 | | |
| BR 2003004963 | A | 20040928 | BR 2003-4963 | 20030530 <-- |
| EP 1549319 | A2 | 20050706 | EP 2003-739019 | 20030530 <-- |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| JP 2005527635 | T | 20050915 | JP 2004-508812 | 20030530 <-- |
| MX 2004000908 | A | 20040326 | MX 2004-908 | 20040129 <-- |
| ZA 2004000784 | A | 20050503 | ZA 2004-784 | 20040130 <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-384809P | P 20020530 <-- |
| | | | WO 2003-US17313 | W 20030530 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:13098

ED Entered STN: 14 Dec 2003

AB Tricyclic pyrazolotriazolopyrimidines which possess antagonistic activity for adenosine receptors may be useful for modulating biol. function in the nervous, cardiovascular, renal, respiratory and immune systems. General synthetic schemes and examples of formulations for the compds. are presented.

IC ICM A61K031-519

ICS C07D487-14; A61P025-00

CC 1-12 (Pharmacology)

Section cross-reference(s): 28, 63

IT 512845-14-6P 512845-17-9P 512845-20-4P 512845-28-2P 512845-31-7P

10/569,873

512845-34-0P 512846-12-7P 512846-14-9P 512846-18-3P
512846-20-7P 512846-24-1P 512846-26-3P 512846-28-5P 512846-32-1P
512846-34-3P 512846-36-5P 512846-38-7P 631842-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(tricyclic pyrazolotriazolopyrimidines with antagonistic activity for
adenosine receptors)

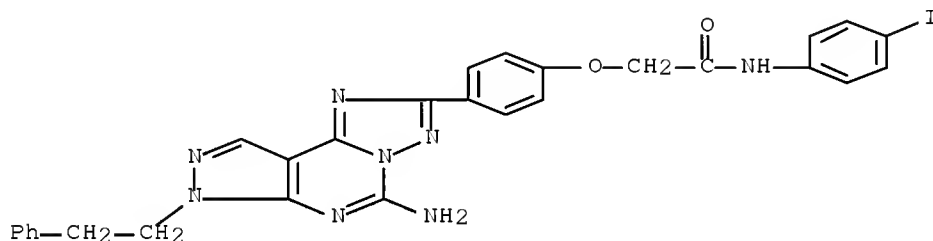
IT 512845-34-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(tricyclic pyrazolotriazolopyrimidines with antagonistic activity for
adenosine receptors)

RN 512845-34-0 HCAPLUS

CN Acetamide, 2-[4-[5-amino-7-(2-phenylethyl)-7H-pyrazolo[4,3-
e][1,2,4]triazolo[1,5-c]pyrimidin-2-yl]phenoxy]-N-(4-iodophenyl)- (CA
INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 12 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:757679 HCAPLUS Full-text

DOCUMENT NUMBER: 139:276825

TITLE: Preparation of 8-arylquinoline PDE4 inhibitors

INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel;
Deschenes, Denis; MacDonald, Dwight; Dube, Laurence

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2003078397 | A1 | 20030925 | WO 2003-CA374 | 20030317 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |

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UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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 AU 2003209896 A1 20030929 AU 2003-209896 20030317 <--
 EP 1487797 A1 20041222 EP 2003-744288 20030317 <--
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 US 20050245513 A1 20051103 US 2004-508261 20040917 <--
 US 7144896 B2 20061205

PRIORITY APPLN. INFO.:

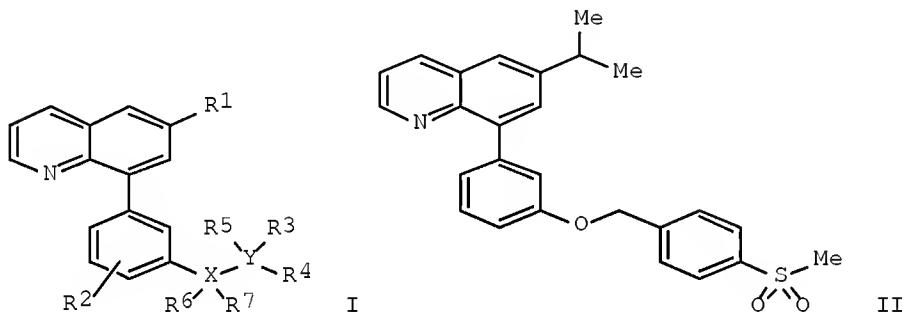
US 2002-365088P P 20020318 <--
 WO 2003-CA374 W 20030317 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:276825

ED Entered STN: 26 Sep 2003

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AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO₂H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl, quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO₂, O, or CO; wherein when Y = S, SO₂, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC₅₀ values ranging from 80 μ M to 0.029 μ M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor α (TNF- α) and leukotriene B₄ (LTB₄) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant reduction in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC₅₀ values ranging from 150 nM to

0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

- IC ICM C07D215-04
ICS C07D215-12; C07D215-14; C07D401-06; C07D409-14; C07D401-12;
C07D417-12; C07D409-12; C07D401-14; C07D413-12; C07D487-04;
A61K031-47; A61K031-4709; A61P011-00; A61P025-00
- CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
- IT 605683-58-7P, 6-Isopropyl-8-[3-[[4-(methanesulfonyl)benzyl]oxy]phenyl]quinoline 605683-59-8P,
2-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzonitrile
605683-60-1P, 3-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzonitrile
605683-61-2P, 4-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzonitrile
605683-62-3P, 8-[3-[[2-(Benzenesulfonylmethyl)benzyl]oxy]phenyl]-6-isopropylquinoline 605683-63-4P,
6-Isopropyl-8-[3-(4-trifluoromethoxybenzyloxy)phenyl]quinoline
605683-64-5P, 6-Isopropyl-8-[3-[[3-(trifluoromethylsulfanyl)benzyl]oxy]phenyl]quinoline 605683-65-6P,
6-Isopropyl-8-[3-[[4-([1,2,3]thiadiazol-4-yl)benzyl]oxy]phenyl]quinoline
605683-67-8P, 4-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzoic acid
605683-68-9P, 2-[4-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]phenyl]propan-2-ol 605683-70-3P,
8-[3-Fluoro-5-[[4-(methanesulfonyl)benzyl]oxy]phenyl]-6-isopropylquinoline
605683-71-4P, 8-[3-(Benzyloxy)phenyl]-6-isopropylquinoline 605683-73-6P,
1-[3-(6-Isopropylquinolin-8-yl)phenoxy]-2-methyl-1-phenylpropan-2-ol
605683-74-7P, 1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenoxy]-1-[4-(methanesulfonyl)phenyl]-2-methylpropan-2-ol
605683-75-8P, 8-[3-(Benzylsulfanyl)phenyl]-6-isopropylquinoline
605683-76-9P, 4-Azido-3-iodo-N-[3-[6-[(pyridin-4-yl)methyl]quinolin-8-yl]phenyl]benzamide 605683-78-1P,
N-[3-[6-[(1-Oxopyridin-4-yl)methyl]quinolin-8-yl]phenyl]benzamide
605683-80-5P, Thiophene-2-sulfonic acid
N-[3-[6-[(pyridin-4-yl)methyl]quinolin-8-yl]phenyl]amide 605683-81-6P,
N-[3-[6-[(1-Oxopyridin-4-yl)methyl]quinolin-8-yl]phenyl]benzenesulfonamide
605683-83-8P, Benzenesulfonic acid
3-[6-(1-hydroxy-1-methylethyl)quinolin-8-yl]phenyl ester 605683-86-1P,
4-Fluoro-N-[3-(6-isopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]benzenesulfonamide 605683-87-2P,
(Cyclopropylmethyl)[3-(6-isopropylquinolin-8-yl)benzyl][4-(methanesulfonyl)phenyl]amine 605683-88-3P, Propane-2-sulfonic acid
N-[3-(6-isopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide
605683-89-4P, N-[3-(6-Cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]-1-phenylmethanesulfonamide 605683-90-7P,
2-Phenylethanesulfonic acid N-[3-(6-cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-91-8P, Thiophene-2-sulfonic acid
N-[3-(6-cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-92-9P, Butane-1-sulfonic acid
N-[3-(6-cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-93-0P,
5-Methylisoxazole-3-carboxylic acid
N-[3-[6-(cyanodimethylmethyl)quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-94-1P,
2-[8-[3-[[4-Fluorobenzyl]4-(methanesulfonyl)phenyl]amino]methyl]phenyl]quinolin-6-yl]-2-methylpropionitrile 605683-96-3P,
[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl][4-(methanesulfonyl)phenyl]carbamic acid isopropyl ester 605683-97-4P,
[[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl][4-(methanesulfonyl)phenyl]amino]acetic acid 605683-98-5P,

N-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605683-99-6P,
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 1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-1-[4-(methanesulfonyl)phenyl]-3-phenylurea 605684-02-4P,
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-03-5P,
 Cyclopropanecarboxylic acid N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]amide 605684-04-6P, 2,2,2-Trifluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]acetamide 605684-05-7P,
 5-Methylisoxazole-3-carboxylic acid
 N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]amide 605684-09-1P,
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]acetamide 605684-10-4P,
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-2,4-difluorobenzamide 605684-11-5P,
 4-(1-Hydroxy-1-methylethyl)-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-12-6P,
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]nicotinamide 605684-13-7P,
 4-Fluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-3-trifluoromethylbenzamide 605684-14-8P, 2,4,6-Trifluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-15-9P,
 2-Chloro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-4-nitrobenzamide 605684-16-0P, 3-Isopropyl-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea ~~605684-18-2P~~, 3-(2-Chlorophenyl)-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea 605684-19-3P, 3,4-Dichloro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzenesulfonamide 605684-20-6P,
 1-[2-Fluoro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea 605684-21-7P,
 N-[2-Fluoro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-23-9P,
 N-[1-[2-Chloro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-24-0P,
 1-[2-Chloro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea 605684-25-1P,
 4-Fluoro-3-(6-isopropylquinolin-8-yl)-N-(1-methyl-4-oxo-4,5-dihydro-1H-imidazol-2-yl)benzamide 605684-26-2P,
 4-[[3-(6-Isopropylquinolin-8-yl)benzyl]oxy]benzonitrile 605684-27-3P,
 6-Isopropyl-8-[3-[[4-(methanesulfonyl)phenoxy]methyl]phenyl]quinoline 605684-28-4P, 2-[4-[[3-(6-Isopropylquinolin-8-yl)benzyl]oxy]phenyl]propan-2-ol 605684-30-8P, 1-[5-(Methanesulfonyl)-2-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]ethanol 605684-31-9P,
 1-[2-Hydroxy-4-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]ethanone 605684-32-0P,
 1-[2-Hydroxy-4-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]-3-propylphenyl]ethanone 605684-36-4P,

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 2'-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]biphenyl-2-ol 605684-38-6P,
 8-[3-(2-Benzylphenoxy)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-39-7P,
 3-[2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]-1-phenylpropenone 605684-40-0P,
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[4-methyl-2-[(piperidin-1-yl)methyl]phenoxy]methyl]phenyl]quinoline 605684-41-1P,
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8-[3-[[(3-Chlorophenyl)sulfanyl]methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-70-6P,
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[(6-nitrobenzothiazol-2-yl)sulfanyl]methyl]phenyl]quinoline 605684-71-7P,
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 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[(pyridin-4-yl)sulfanyl]methyl]phenyl]quinoline 605684-73-9P,
 8-[3-[[(2,6-Dichlorophenyl)sulfanyl]methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-74-0P,
 8-[3-[[(2-Chlorophenyl)sulfanyl]methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-75-1P,
 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]-3H-quinazolin-4-one 605684-76-2P,
 4-Amino-2-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]pyrimidine-5-carboxylic acid methyl ester 605684-79-5P, N-(3,5-Dichloro-1-oxopyridin-4-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-82-0P,
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-[3-(methanesulfonyl)phenyl]benzamide 605684-83-1P,
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-85-3P,
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(1-oxopyridin-3-yl)benzamide 605684-86-4P, 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(5-methylthiazol-2-yl)benzamide 605684-87-5P, 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(quinolin-3-yl)benzamide 605684-88-6P,
 N-[6-(Methanesulfonyl)benzothiazol-2-yl]-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-89-7P,
 N-(5-Cyclopropyl-[1,3,4]thiadiazol-2-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-90-0P,
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 N-(5-Bromothiazol-2-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-97-7P,
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

IT 605684-19-2P, 3-(2-Chlorophenyl)-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

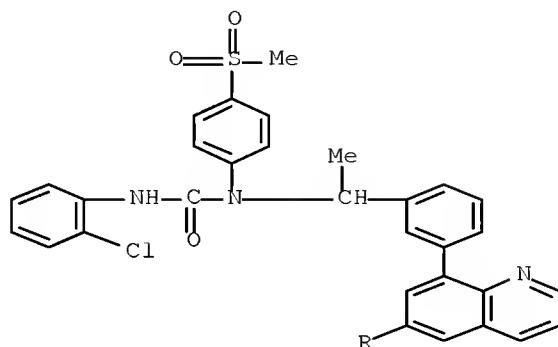
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(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

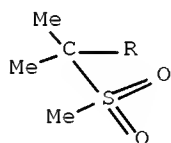
RN 605684-18-2 HCAPLUS

CN Urea, N'-(2-chlorophenyl)-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:757507 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:281235

TITLE: HMG-CoA reductase inhibitor combination with ACAT inhibitors in treating or preventing Alzheimers disease

INVENTOR(S): Cai, Tian-quan; Chao, Yu-sheng

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

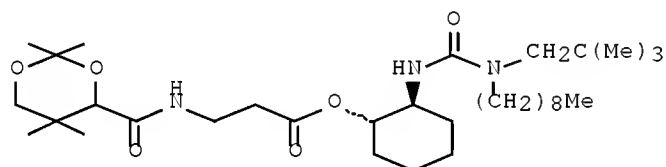
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

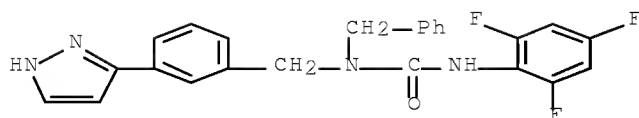
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2003077896 | A1 | 20030925 | WO 2003-US7038 | 20030307 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

10/569,873

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2478184 A1 20030925 CA 2003-2478184 20030307 <--
AU 2003218004 A1 20030929 AU 2003-218004 20030307 <--
EP 1485077 A1 20041215 EP 2003-713983 20030307 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 20050107461 A1 20050519 US 2004-507048 20040908 <--
PRIORITY APPLN. INFO.: US 2002-363442P P 20020312 <--
WO 2003-US7038 W 20030307 <--
ED Entered STN: 26 Sep 2003
GI



AB The instant invention provides a drug combination comprised of an HMG-CoA reductase inhibitor in combination with an ACAT inhibitor, which is useful for treating or preventing Alzheimers disease. Example HMG-CoA reductase inhibitors include the statins and an example ACAT inhibitor is I.
IC ICM A61K031-16
ICS A61K031-18; A61K031-35; A61K031-40; A61K031-405; A61K031-435
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 144289-00-9 147511-69-1, Pitavastatin 147538-81-6 162320-85-6 166518-60-1 179054-18-3 182255-50-1 287714-41-4, Rosuvastatin 332342-32-2 332342-33-3 332342-34-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (HMG-CoA reductase inhibitor combination with ACAT inhibitors in treating or preventing Alzheimers disease)
IT 179054-18-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (HMG-CoA reductase inhibitor combination with ACAT inhibitors in treating or preventing Alzheimers disease)
RN 179054-18-3 HCAPLUS
CN Urea, N-(phenylmethyl)-N-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:559037 HCAPLUS Full-text
DOCUMENT NUMBER: 139:117338
TITLE: Preparation of biaryl compounds and their use as
inhibitors for formation and secretion of amyloid
 β proteins, and activators for secretion of
soluble amyloid precursor protein α
INVENTOR(S): Uchikawa, Osamu; Aso, Kazuyoshi; Miyamoto, Masaomi;
Takahashi, Hideki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 53 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| JP 2003206280 | A | 20030722 | JP 2001-401232 | 20011228 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2001-401232 | 20011228 <-- |

OTHER SOURCE(S): MARPAT 139:117338

ED Entered STN: 22 Jul 2003

AB (R2Z)NR3C6H4BXYR1 [the benzene ring may be further substituted; B =
(un)substituted benzene ring, (un)substituted pyridine ring; X = CONR4,
SO2NR4, CH2NR4, NH, O, CO2, CH:CH, bond, etc.; n = 0-2; Y = C1-12 spacer,
bond; XY or XR1 ma be bonded to form ring; Z = CONH, CSNH, CO, SO2, bond; R1 =
(un)substituted amino, (un)substituted heterocyclyl; R2-R4 = H,
(un)substituted hydrocarblyl, (un)substituted heterocyclyl; R2R3 may be bonded
to form ring] or their salts, useful for treatment of nerve disorders, such as
Alzheimer's disease, etc., are prepared Thus, treatment of 506 mg 4-
H2NO2SC6H4CH2CH2NHCH2-3-C6H4C6H4-3-CONHCH2CH2R (R = pyrrolidin-1-yl) with
PhCH2CH2NCO gave 169 mg of the corresponding urethane derivative, which at 1
 μ M completely inhibited formation and secretion of amyloid β protein (1-40)
and (1-42), and significantly increased secretion of soluble amyloid precursor
protein α in human neuroblastoma IMR-32 cell.

IC ICM C07D213-81

ICS A61K031-40; A61K031-4439; A61K031-4453; A61K031-455; A61K031-5377;
A61K031-551; A61P025-00; A61P025-14; A61P025-18; A61P025-28;
A61P043-00; C07D213-82; C07D295-08; C07D295-12; C07D295-14;
C07D401-06; C07D401-12

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 25, 63

| | | | | |
|-----------------|--------------|--------------|--------------|--------------|
| IT 386290-84-2P | 386290-86-4P | 386290-88-6P | 386297-57-0P | 564477-29-8P |
| 564477-30-1P | 564477-31-2P | 564477-32-3P | 564477-33-4P | 564477-34-5P |
| 564477-35-6P | 564477-36-7P | 564477-37-8P | 564477-38-9P | |

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564477-39-0P 564477-40-3P 564477-41-4P 564477-42-5P
 564477-43-6P 564477-44-7P 564477-45-8P 564477-46-9P 564477-48-1P
 564477-49-2P 564477-50-5P 564477-51-6P 564477-52-7P 564477-53-8P
 564477-54-9P 564477-55-0P 564477-56-1P 564477-57-2P 564477-58-3P
 564477-59-4P 564477-60-7P 564477-61-8P 564477-62-9P 564477-63-0P
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 564477-69-6P 564477-70-9P 564477-71-0P 564477-72-1P 564477-73-2P
 564477-74-3P 564477-75-4P 564477-76-5P 564477-77-6P 564477-86-7P
 564477-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of biaryl compds. as A β protein formation inhibitors and
 sAPP secretion activators for treatment of nerve disorders)

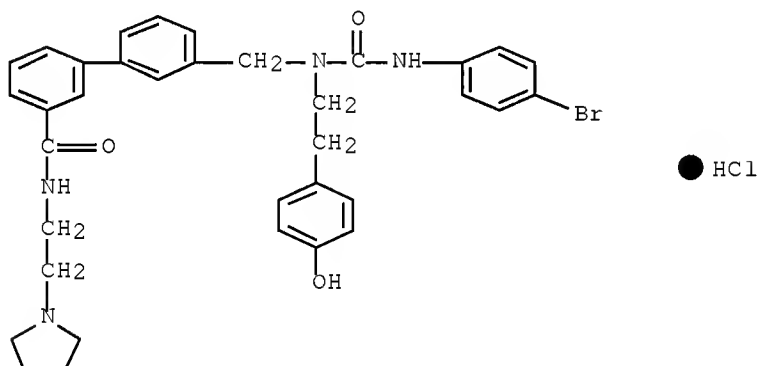
IT 564477-39-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of biaryl compds. as A β protein formation inhibitors and
 sAPP secretion activators for treatment of nerve disorders)

RN 564477-39-0 HCAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, 3'-[[[(4-bromophenyl)amino]carbonyl][2-(4-
 hydroxyphenyl)ethyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)

L125 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:334893 HCAPLUS Full-text

DOCUMENT NUMBER: 138:353744

TITLE: Preparation of substituted aromatic amide MCH
 antagonists for the treatment of obesity

INVENTOR(S): Palani, Anandan; Shapiro, Sherry A.; McBriar, Mark D.;
 Su, Jing

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

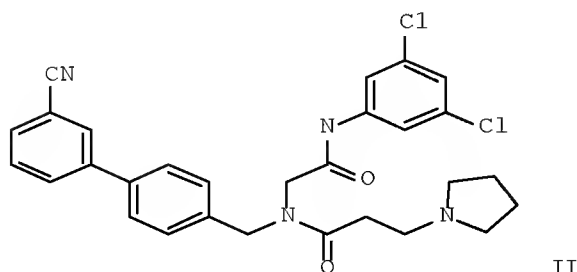
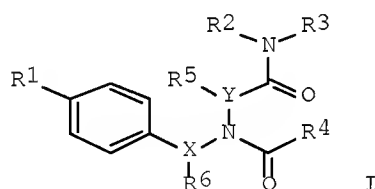
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2003035055 | A1 | 20030501 | WO 2002-US33869 | 20021023 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2464130 | A1 | 20030501 | CA 2002-2464130 | 20021023 <-- |
| AU 2002337956 | A1 | 20030506 | AU 2002-337956 | 20021023 <-- |
| AU 2002337956 | B2 | 20060223 | | |
| US 20030144261 | A1 | 20030731 | US 2002-278468 | 20021023 <-- |
| US 7045636 | B2 | 20060516 | | |
| EP 1443922 | A1 | 20040811 | EP 2002-773861 | 20021023 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| HU 2004001656 | A2 | 20041228 | HU 2004-1656 | 20021023 <-- |
| CN 1575169 | A | 20050202 | CN 2002-821069 | 20021023 <-- |
| CN 100548291 | C | 20091014 | | |
| JP 2005507918 | T | 20050324 | JP 2003-537622 | 20021023 <-- |
| ZA 2004003087 | A | 20050422 | ZA 2004-3087 | 20040422 <-- |
| MX 2004003858 | A | 20040708 | MX 2004-3858 | 20040423 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-343065P | P 20011025 <-- |
| | | | WO 2002-US33869 | W 20021023 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

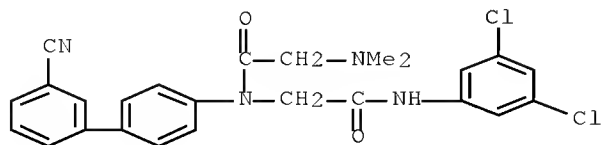
OTHER SOURCE(S): MARPAT 138:353744

ED Entered STN: 02 May 2003

GI



- AB Title compds. I [X = bond, C, CH, alkylene, etc.; Y = bond, C, CH, alkylene, etc.; R1 = (hetero)aryl; R2 = H, alkyl, aryl, aralkyl; R3 = H, alkyl, aryl, aralkyl; R4 = alkylene-amine, amino-alkylene, etc.; R5-6 = H, (cyclo)alkyl] are prepared. For instance, 3,5-dichloroaniline was acylated with bromoacetyl bromide and the product coupled to the biaryl derived from 4-bromobenzyl amine and 3-cyanophenylboronic acid to give an amine intermediate. This intermediate was acylated with 3-chloropropionic acid (CH₂Cl₂, EDCI) and subsequently treated with pyrrolidine (K₂CO₃, NaI, 80°) to give II. II had K_i = 21 nM for the melanin-concentrating hormone (MCH) receptor. I are useful for the treatment of obesity, metabolic disorders, eating disorders such as hyperphagia and diabetes.
- IC ICM A61K031-277
ICS A61K031-4453; A61K031-4015; A61K031-40; C07D295-14; C07D295-12; C07D207-12; C07D205-04; C07K005-06; C07K005-02; C07C255-60
- CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
- IT 518306-57-5P 518306-58-6P 518306-59-7P 518306-60-0P 518306-61-1P
518306-62-2P 518306-63-3P 518306-64-4P 518306-65-5P 518306-66-6P
518306-67-7P 518306-68-8P 518306-69-9P 518306-70-2P 518306-71-3P
518306-72-4P 518306-73-5P 518306-74-6P 518306-75-7P 518306-76-8P
518306-77-9P 518306-78-0P 518306-79-1P ~~518306-80-4P~~
518306-81-5P 518306-82-6P 518306-83-7P 518306-84-8P 518306-85-9P
518306-86-0P 518306-87-1P 518306-88-2P 518306-89-3P 518306-90-6P
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518307-14-7P 518307-16-9P 518307-17-0P 518307-19-2P 518307-20-5P
518307-21-6P 518307-22-7P 518307-23-8P 518307-24-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(preparation of substituted aromatic amide MCH antagonists for treatment of obesity)
- IT ~~518306-80-4P~~
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(preparation of substituted aromatic amide MCH antagonists for treatment of obesity)
- RN 518306-80-4 HCAPLUS
- CN Glycinamide, N,N-dimethylglycyl-N2-(3'-cyano[1,1'-biphenyl]-4-yl)-N-(3,5-dichlorophenyl)- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/569,873

ACCESSION NUMBER: 2003:221693 HCAPLUS Full-text
DOCUMENT NUMBER: 138:238197
TITLE: Preparation of furo- and thienopyrimidines as TIE-2
and/or VEGFR-2 kinase inhibitors useful against
hyperproliferative diseases
INVENTOR(S): Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng,
Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka;
Miyazaki, Yasushi; Nakano, Masato; Rocher,
Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva,
Domingos J.; Tang, Jun
PATENT ASSIGNEE(S): Glaxosmithkline K.K., Japan; Smithkline Beecham
Corporation
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

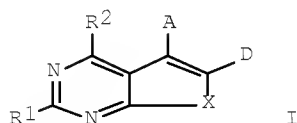
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2003022852 | A2 | 20030320 | WO 2002-US28650 | 20020910 <-- |
| WO 2003022852 | A3 | 20031127 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002333524 | A1 | 20030324 | AU 2002-333524 | 20020910 <-- |
| EP 1425284 | A2 | 20040609 | EP 2002-798181 | 20020910 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK | | | | |
| JP 2005508904 | T | 20050407 | JP 2003-526926 | 20020910 <-- |
| US 20050004142 | A1 | 20050106 | US 2004-489052 | 20040309 <-- |
| US 7427623 | B2 | 20080923 | | |
| US 20080287466 | A1 | 20081120 | US 2008-169800 | 20080709 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2001-318766P | P 20010911 <-- |
| | | | WO 2002-US28650 | W 20020910 <-- |
| | | | US 2004-489052 | A3 20040309 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:238197

ED Entered STN: 21 Mar 2003

GI



- AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobulin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC₅₀ = 0.0018 μ M in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥ 1 R₃, heterocyclyl, -RR₃, -C(O)OR₄, -C(O)NR₅R₆, -C(O)R₄; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥ 1 R₃, heterocyclyl, -RR₃, -C(O)OR₄, -C(O)NR₅R₆, or -C(O)R₄. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R₁ is H, C1-C6 alkyl, C1-C6 alkoxy, -SR₄, -S(O)R₄, -NR₇R₇, -NR'R''R''', -N(H)RR₃, -C(O)OR₇, or -C(O)NR₇R₇. R₂ is H, -OH, -NR₇R₇ or :NH; R₃ is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R₄, -N(R₈)HC(O)R₄, -NHC(S)R₄, -NR₅R₆, -RNR₅R₆, -SR₄, -S(O)R₄, -RC(O)OR₄, -C(O)OR₄, -C(O)R₄, -C(O)NR₅R₆, -NHS(O)R₄, -N(S(O)R₄)S(O)R₄, -S(O)NR₅R₆, or -NHC(:NH)R₄. R₄ is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR₃, -NR''R''', or -NR'NR''R'''; R₅ is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR'', -R'NHC(O)OR'', -R'NHC(O)NR''R''', or -R'C(O)OR''. R₆ is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)OR'', or -R'C(O)NR''R'''; R₇ is H, C1-C6 alkyl, aryl, or -C(O)OR'''; R₈ is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR''R'''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example preps. of I are included and characterization data is given for .apprx.480 examples of I.
- IC ICM C07D491-04
ICS C07D495-04; C07D519-00; A61K031-505; A61P035-00
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
- IT 5207-52-3P, 4-Amino-5,6-diphenylfuro[2,3-d]pyrimidine 87499-62-5P, 4-Amino-5,6-bis(3,4-O-methylidenedioxyphenyl)furo[2,3-d]pyrimidine 141764-53-6P, 3,4-Dihydro-5-(4-nitrophenyl)-4-oxofuro[2,3-d]pyrimidine 296793-25-4P, 4-Amino-6-(3-furanyl)-5-(2-furanyl)furo[2,3-d]pyrimidine 339590-38-4P, 4-Amino-5,6-bis(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-18-1P, 4-Amino-5-(4-methoxyphenyl)-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501693-21-6P, 4-Amino-5-[4-(dimethylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-23-8P, 4-Amino-5-[4-[(3-chlorophenyl)sulfonylamino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-25-0P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-26-1P, 4-Amino-5-[4-(2,3-difluorophenyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501693-31-8P, 4-Amino-5-[4-(3-biphenyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501693-34-1P, 4-Amino-5-(4-biphenyl)-6-[4-fluoro-3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501693-42-1P, 4-Amino-6-(3-cyanophenyl)-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501693-53-4P, 4-Amino-5,6-dibutylfuro[2,3-d]pyrimidine 501693-54-5P, 4-Amino-5,6-bis(4-methylphenyl)furo[2,3-d]pyrimidine 501693-56-7P, 4-Amino-6-(4-methylphenyl)-5-(4-trifluoromethylphenyl)furo[2,3-d]pyrimidine 501693-58-9P, 4-Amino-5-(4-methylphenyl)-6-(4-

trifluoromethylphenyl)furo[2,3-d]pyrimidine 501693-61-4P,
 4-Amino-6-(2-benzothienyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine
 501693-63-6P, 4-Amino-6-(4-biphenyl)-5-(4-methoxyphenyl)furo[2,3-
 d]pyrimidine 501693-65-8P, 4-Amino-6-(2-chlorophenyl)-5-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501693-66-9P,
 4-Amino-6-(2-methoxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine
 501693-68-1P, 4-Amino-5-(4-methoxyphenyl)-6-(1-naphthyl)furo[2,3-
 d]pyrimidine 501693-70-5P, 4-Amino-5-(4-methoxyphenyl)-6-(2-
 naphthyl)furo[2,3-d]pyrimidine 501693-72-7P,
 4-Amino-5-(4-methoxyphenyl)-6-(4-trifluoromethoxyphenyl)furo[2,3-
 d]pyrimidine 501693-74-9P, 4-Amino-6-(3-methoxyphenyl)-5-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501693-77-2P,
 5-(3-Acetamidophenyl)-4-amino-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine
 501693-79-4P, 4-Amino-5-(3,4-dimethoxyphenyl)-6-(4-methoxyphenyl)furo[2,3-
 d]pyrimidine 501693-81-8P,
 4-Amino-6-(4-methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)furo[2,3-
 d]pyrimidine 501693-82-9P, 4-Amino-5-(4-isopropylphenyl)-6-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501693-84-1P,
 4-Amino-5-(4-butylphenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine
 501693-85-2P, 4-Amino-6-(4-methoxyphenyl)-5-(3-methoxyphenyl)furo[2,3-
 d]pyrimidine 501693-87-4P, 4-Amino-5-(4-biphenyl)-6-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501693-88-5P,
 4-Amino-6-(4-methoxyphenyl)-5-(2-methoxyphenyl)furo[2,3-d]pyrimidine
 501693-90-9P, 4-Amino-6-(4-methoxyphenyl)-5-[4-(methylthio)phenyl]furo[2,3-
 d]pyrimidine 501693-92-1P, 4-Amino-6-(4-methoxyphenyl)-5-(1-
 naphthyl)furo[2,3-d]pyrimidine 501693-93-2P,
 4-Amino-6-(4-methoxyphenyl)-5-(2-naphthyl)furo[2,3-d]pyrimidine
 501693-94-3P, 4-Amino-6-(4-methoxyphenyl)-5-[4-
 (trifluoromethoxy)phenyl]furo[2,3-d]pyrimidine 501693-95-4P,
 4-Amino-5-(2,5-dimethoxyphenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine
 501693-96-5P, 4-Amino-6-(4-methoxyphenyl)-5-[4-
 (methylsulfonyl)phenyl]furo[2,3-d]pyrimidine 501693-97-6P,
 4-Amino-6-(4-methoxyphenyl)-5-[4-(phenyloxy)phenyl]furo[2,3-d]pyrimidine
 501693-98-7P, 4-Amino-6-(4-methoxyphenyl)-5-(3-pyridyl)furo[2,3-
 d]pyrimidine 501693-99-8P, 4-Amino-5-(4-cyanophenyl)-6-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-00-4P,
 4-Amino-6-(4-methoxyphenyl)-5-(4-tert-butylphenyl)furo[2,3-d]pyrimidine
 501694-01-5P, 4-Amino-6-(4-methoxyphenyl)-5-(3-fluoro-4-
 phenylphenyl)furo[2,3-d]pyrimidine 501694-02-6P,
 4-Amino-5-(4-benzyloxy-3-fluorophenyl)-6-(4-methoxyphenyl)furo[2,3-
 d]pyrimidine 501694-03-7P, 4-Amino-5-[4-(ethylthio)phenyl]-6-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-04-8P,
 4-Amino-5-(3-chloro-4-fluorophenyl)-6-(4-methoxyphenyl)furo[2,3-
 d]pyrimidine 501694-05-9P, 4-Amino-6-(3,4-dichlorophenyl)-5-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-06-0P,
 4-Amino-6-(4-methoxyphenyl)-5-(2-phenylethyn-1-yl)furo[2,3-d]pyrimidine
 501694-07-1P, 4-Amino-5-(4-methoxyphenyl)-6-(2-methylphenyl)furo[2,3-
 d]pyrimidine 501694-08-2P, 4-Amino-6-(2-fluorophenyl)-5-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-09-3P,
 4-Amino-6-(3-acetamidophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine
 501694-10-6P, 4-Amino-5-(4-methoxyphenyl)-6-(3-pyridyl)furo[2,3-
 d]pyrimidine 501694-11-7P, 4-Amino-5-(2-butylethyn-1-yl)-6-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-12-8P,
 4-Amino-5-[2-(3-methylbutyl)ethyn-1-yl]-6-(4-methoxyphenyl)furo[2,3-
 d]pyrimidine 501694-13-9P, 4-Amino-5-[2-(tert-butyl)ethyn-1-yl]-6-(4-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-14-0P,
 4-Amino-5-[4-(hydroxymethyl)phenyl]-6-(4-methoxyphenyl)furo[2,3-
 d]pyrimidine 501694-15-1P, 4-Amino-5-(4-biphenyl)-6-(2-
 methoxyphenyl)furo[2,3-d]pyrimidine 501694-16-2P,
 4-Amino-6-(2-methoxyphenyl)-5-[4-(methylthio)phenyl]furo[2,3-d]pyrimidine

501694-17-3P, 4-Amino-5-(4-methoxyphenyl)-6-(2-phenylethyn-1-yl)furo[2,3-d]pyrimidine 501694-18-4P, 4-Amino-6-(2-butylethyn-1-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-19-5P, 4-Amino-6-(2-biphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-20-8P, 4-Amino-6-(3-biphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-21-9P, 4-Amino-6-[4-(2-carboxyethyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-22-0P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylsulfonyl)phenyl]furo[2,3-d]pyrimidine 501694-23-1P, 4-Amino-6-(4-carboxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-24-2P, 4-Amino-5-(4-methoxyphenyl)-6-[(4-chlorophenyl)-hydroxymethyl]furo[2,3-d]pyrimidine 501694-25-3P, 4-Amino-5-(4-isopropylphenyl)-6-(2-methoxyphenyl)furo[2,3-d]pyrimidine 501694-26-4P, 4-Amino-5-[4-(cyclopentyloxy)phenyl]-6-(2-methoxyphenyl)furo[2,3-d]pyrimidine 501694-27-5P, 4-Amino-5-[4-(isopropoxy)phenyl]-6-(2-methoxyphenyl)furo[2,3-d]pyrimidine 501694-28-6P, 4-Benzoyloxycarbonylamino-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-29-7P, 4-Amino-5-(4-methoxyphenyl)-6-(2-phenylethen-1-yl)furo[2,3-d]pyrimidine 501694-30-0P, 4-Amino-5-(4-methoxyphenyl)-6-(2-phenylethyl)furo[2,3-d]pyrimidine 501694-31-1P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(morpholinocarbonyl)phenyl]furo[2,3-d]pyrimidine 501694-32-2P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylcarbamoyl)phenyl]furo[2,3-d]pyrimidine 501694-33-3P, 4-Amino-5-(4-methoxyphenyl)-6-[4-[2-(4-imidazolyl)ethyl]carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-34-4P, 5,6-Bis(4-methoxyphenyl)-3,4-dihydro-4-imino-3-methylfuro[2,3-d]pyrimidine 501694-35-5P, 5,6-Bis(4-methoxyphenyl)-4-(methylamino)furo[2,3-d]pyrimidine 501694-36-6P, 4-Amino-5-(4-methoxyphenyl)-6-[4-[2-(dimethylaminoethyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-37-7P, 4-Amino-6-(1-hexen-1-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-38-8P, 4-Amino-6-hexyl-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-39-9P, 4-Amino-5-(2,4-dimethoxyphenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-40-2P, 4-Amino-5-(4-methoxyphenyl)-6-(2-methoxypyridin-5-yl)furo[2,3-d]pyrimidine 501694-41-3P, 4-Amino-6-[4-(dimethylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-42-4P, 4-Amino-6-(2,4-dimethoxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-43-5P, 4-Amino-6-(4-methoxyphenyl)-5-(2-methoxypyridin-5-yl)furo[2,3-d]pyrimidine 501694-44-6P, 4-Amino-6-[(3-chlorophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-45-7P, 4-Amino-6-[(4-fluorophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-46-8P, 4-Amino-5-(4-methoxyphenyl)-6-[(hydroxy)(phenyl)methyl]furo[2,3-d]pyrimidine 501694-47-9P, 4-Amino-6-(3-carbamoylphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-48-0P, 4-Amino-6-[3-(dimethylcarbamoyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-49-1P, 4-Amino-6-(1-methylindol-5-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-50-4P, 4-Amino-6-[2-(hydroxymethyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-51-5P, 4-Amino-6-(3-aminophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-52-6P, 4-Amino-6-carboxy-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-53-7P, 4-Amino-6-(2-carboxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-54-8P, 4-Amino-6-(3-methoxycarbonylphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-55-9P, 4-Amino-6-(4-methoxyphenyl)-5-(1-methylindol-5-yl)furo[2,3-d]pyrimidine 501694-56-0P, 4-Amino-6-(3-carboxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-57-1P, 4-Amino-5-(4-methoxyphenyl)-6-[3-[2-(4-imidazolyl)ethyl]carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-58-2P, 4-Amino-5-(4-methoxyphenyl)-6-[3-[(4-methylpiperazin-1-yl)carbonyl]phenyl]furo[2,3-d]pyrimidine 501694-59-3P,

4-Amino-5-(4-methoxyphenyl)-6-[3-[(2-dimethylaminoethyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-60-6P,
 4-Amino-6-[(2-cyanophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-61-7P, 4-Amino-6-[(2-fluorophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-62-8P,
 4-Amino-5-(4-methoxyphenyl)-6-[3-[(4-pyridyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-63-9P, 4-Amino-6-(2-carbamoylphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-64-0P,
 4-Amino-6-(4-carboxy-2-methoxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-65-1P, 4-Amino-5-(4-methoxyphenyl)-6-[3-[(3-pyridyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-66-2P,
 6-[(3-Acetamidophenyl)oxymethyl]-4-amino-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-67-3P, 4-Amino-6-[(3-cyanophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-68-4P,
 4-Amino-6-[3-methoxycarbonyl-4-(methylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-69-5P,
 4-Amino-5-(4-methoxyphenyl)-6-(4-methylamino-3-carboxyphenyl)furo[2,3-d]pyrimidine hydrochloride 501694-70-8P,
 4-Amino-6-(4-methoxyphenyl)-5-[4-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501694-71-9P, 4-Amino-5-(4-methoxyphenyl)-6-[(3-methylindazol-5-yl)carbamoyl]furo[2,3-d]pyrimidine 501694-72-0P,
 4-Amino-6-[[1,2-bis(ethoxycarbonyl)hydrazino]methyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-73-1P,
 4-Amino-5-[4-(diethylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-74-2P, 4-Amino-5-(4-methoxyphenyl)-6-(phenylcarbamoyl)furo[2,3-d]pyrimidine 501694-75-3P,
 4-Amino-6-[[5-amino-3-methylindazol-1-yl]carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-76-4P,
 4-Amino-5-(4-methoxyphenyl)-6-(1-pyrrolidinocarbonyl)furo[2,3-d]pyrimidine 501694-77-5P, 4-Amino-5-(4-methoxyphenyl)-6-[dicyclohexylcarbamoyl]furo[2,3-d]pyrimidine 501694-78-6P,
 4-Amino-5-(4-methoxyphenyl)-6-(isopropylcarbamoyl)furo[2,3-d]pyrimidine 501694-79-7P, 4-Amino-5-(4-methoxyphenyl)-6-[(2-dimethylaminoethyl)carbamoyl]furo[2,3-d]pyrimidine 501694-80-0P,
 4-Amino-6-(4-methoxyphenyl)-5-[4-(1-pyrrolidino)phenyl]furo[2,3-d]pyrimidine 501694-81-1P, 4-Amino-6-(5-indolyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-82-2P,
 4-Amino-5-(4-methoxyphenyl)-6-[[2-(phenylamino)ethyl]oxycarbonyl]furo[2,3-d]pyrimidine 501694-83-3P, 4-Amino-6-[(3-hydroxypiperazin-1-yl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-84-4P,
 4-Amino-5-(4-methoxyphenyl)-6-[(2-cyanoethyl)(phenyl)carbamoyl]furo[2,3-d]pyrimidine 501694-85-5P, 4-Amino-5-(4-biphenyl)-6-(3-carbamoylphenyl)furo[2,3-d]pyrimidine 501694-86-6P,
 6-(3-Acetamidophenyl)-4-amino-5-(4-biphenyl)furo[2,3-d]pyrimidine 501694-87-7P, 4-Amino-5-(4-methoxyphenyl)-6-[[[(methoxycarbonyl)methyl](phenyl)carbamoyl]furo[2,3-d]pyrimidine 501694-88-8P,
 4-Amino-6-(3-carbamoyl-4-chlorophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-89-9P,
 4-Amino-6-(3-aminophenyl)-5-(4-biphenyl)furo[2,3-d]pyrimidine 501694-90-2P, 4-Amino-6-[3-(aminomethyl)phenyl]-5-(4-biphenyl)furo[2,3-d]pyrimidine 501694-91-3P, 4-Amino-5-(4-biphenyl)-6-[4-(dimethylamino)phenyl]furo[2,3-d]pyrimidine 501694-92-4P,
 4-Amino-6-[[2-(tert-butoxycarbonylamino)ethyl](phenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-93-5P,
 4-Amino-5-(4-methoxyphenyl)-6-[(carboxymethyl)(phenyl)carbamoyl]furo[2,3-d]pyrimidine 501694-94-6P, 4-Amino-6-carbamoyl-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-95-7P,
 4-Amino-5-(4-methoxyphenyl)-6-[3-[(2-morpholinoethyl)sulfonylamino]phenyl]furo[2,3-d]pyrimidine 501694-96-8P,
 4-Amino-5-(4-methoxyphenyl)-6-(2-methylbenzothiazol-5-yl)furo[2,3-

d]pyrimidine 501694-97-9P, 4-Amino-6-(6-indolyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-98-0P, 4-Amino-6-(3-carbamoyl-4-fluorophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-99-1P, 4-Amino-5-(4-biphenyl)-6-(3-carbamoyl-4-fluorophenyl)furo[2,3-d]pyrimidine 501695-00-7P, 4-Amino-6-[(4-hydroxypiperazin-1-yl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-01-8P, 4-Amino-6-[4-amino-3-(methylcarbamoyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-02-9P, 4-Amino-6-[(carbamoylmethyl)(phenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-03-0P, 4-Amino-6-[[2-(aminocarbonylamino)ethyl](phenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-04-1P, 4-Amino-6-(2-amino-1,3,4-oxadiazol-5-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-05-2P, 4-Amino-6-[4-(ethoxycarbonyl)thiazol-2-yl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-06-3P, 4-Amino-6-[4-(4-fluorophenyl)-5-methylthiazol-2-yl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-07-4P, 4-Amino-6-(5-indolyl)-5-[4-(3-pyridyl)phenyl]furo[2,3-d]pyrimidine 501695-08-5P, 4-Amino-6-(2-imidazolin-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-09-6P, 4-Amino-6-[2-(phenylamino)-1,3,4-oxadiazol-5-yl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-10-9P, 4-Amino-6-(8H-indeno[1,2-d]thiazol-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-11-0P, 4-Amino-5-(4-methoxyphenyl)-6-(4-methylthiazol-2-yl)furo[2,3-d]pyrimidine 501695-12-1P, 4-Amino-6-[3-[[2-(dimethylamino)ethyl]aminocarbonylamino]phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-13-2P, 4-Amino-5-(4-biphenyl)-6-[3-[[[2-(dimethylamino)ethyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501695-14-3P, 4-Amino-5-(4-biphenyl)-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-15-4P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylcarbamoyl)thiazol-2-yl]furo[2,3-d]pyrimidine 501695-16-5P, 4-Amino-5-[4-(3-fluorophenyl)phenyl]-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-17-6P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(phenylcarbamoyl)thiazol-2-yl]furo[2,3-d]pyrimidine 501695-18-7P, 4-Amino-6-(1-benzyl-4,5-dihydro-1H-imidazol-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-19-8P, 4-Amino-5-(4-methoxyphenyl)-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-20-1P, 4-Amino-5-(4-biphenyl)-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-21-2P, 4-Amino-5-(4-methoxyphenyl)-6-(1,3,4-oxadiazol-2-yl)furo[2,3-d]pyrimidine 501695-22-3P, 4-Amino-5-(4-methoxyphenyl)-6-(5,6,7,7a-tetrahydro-1H-pyrrolo[1,2-c]imidazol-3-yl)furo[2,3-d]pyrimidine 501695-23-4P, 4-Amino-6-(4-carboxythiazol-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-24-5P, 4-Amino-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-25-6P, 4-Amino-5-(4-methoxyphenyl)-6-[(2-phenylethyl)carbamoyl]furo[2,3-d]pyrimidine 501695-26-7P, 4-Amino-6-[(3-fluorophenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-27-8P, 4-Amino-6-[(4-chlorophenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-28-9P, 4-Amino-5-(4-methoxyphenyl)-6-[(4-methoxyphenyl)carbamoyl]furo[2,3-d]pyrimidine 501695-29-0P, 4-Amino-6-[(2-benzimidazolyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-30-3P, 4-Amino-5-[4-(2,3-difluorophenyl)phenyl]-6-[4-fluoro-3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-31-4P, 4-Amino-6-[(2-hydroxyphenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-32-5P, 4-Amino-6-[4-fluoro-3-(methylsulfonylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-33-6P, 4-Amino-6-[(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-34-7P, 4-Amino-6-[(2-carbamoylphenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-35-8P, 4-Amino-6-[4-fluoro-3-

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4-Amino-5-[4-[(3-fluorobenzoyl)amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-74-5P, 4-Amino-5-[4-[(2-fluorobenzoyl)amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-75-6P, 4-Amino-5,6-bis(4-methoxyphenyl)-2-methylfuro[2,3-d]pyrimidine 501695-76-7P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-2-(methylamino)furo[2,3-d]pyrimidine 501695-77-8P, 4-Amino-5-[4-[(2-naphthylsulfonyl)amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-78-9P, 4-Amino-5-[4-(3-acetamidophenyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-79-0P, 4-Amino-5-[4-(aminocarbonylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-80-3P, 4-Amino-6-(4-methoxyphenyl)-5-[4-(phenylaminocarbonylamino)phenyl]furo[2,3-d]pyrimidine 501695-81-4P, 4-Amino-5-[4-(cyclohexylaminocarbonylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-82-5P, 4-Amino-5-[4-(butylaminocarbonylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-83-6P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]methyl]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-84-7P, 4-Amino-5-[3-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-85-8P, 4-Amino-5-[4-(aminomethyl)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-86-9P, 4-Amino-5-(3-aminophenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-87-0P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-88-1P, 4-Amino-6-(4-cyanophenyl)-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501695-89-2P, 4-Amino-5-[4-[(phenylaminothiocarbonyl)amino]phenyl]furo[2,3-d]pyrimidine 501695-90-5P, 5-(4-Nitrophenyl)-4-(phenylamino)furo[2,3-d]pyrimidine 501695-91-6P, 4-(Methylamino)-5-(4-nitrophenyl)furo[2,3-d]pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

IT 501695-83-6P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]methyl]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

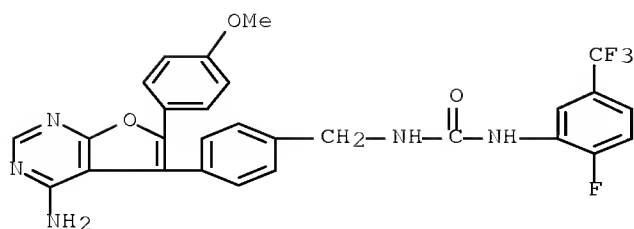
TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

RN 501695-83-6 HCAPLUS

CN Urea, N-[[4-[4-amino-6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-5-yl]phenyl]methyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



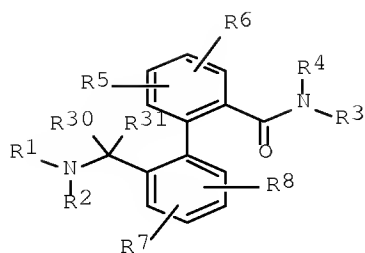
OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
 REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2003:196948 HCAPLUS Full-text
 DOCUMENT NUMBER: 138:221357
 TITLE: Preparation of 2'-aminomethylbiphenyl-2-carboxamides as Kv1.5 potassium channel blockers
 INVENTOR(S): Brendel, Joachim; Schmidt, Wolfgang; Below, Peter
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
 SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 675,674.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|-----------------|
| US 6531495 | B1 | 20030311 | US 2000-698078 | 20001030 <-- |
| DE 19947457 | A1 | 20010405 | DE 1999-19947457 | 19991002 <-- |
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| US 7514582 | B2 | 20090407 | | |
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| PRIORITY APPLN. INFO.: | | | DE 1999-19947457 | A 19991002 <-- |
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| | | | US 2002-252385 | A3 20020924 <-- |
| | | | US 2003-691624 | A1 20031024 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:221357
 ED Entered STN: 12 Mar 2003
 GI



AB Title compds. [I; R1 = CO₂R₉, SO₂R₁₀, COR₁₁, CONR₁₂R₁₃, CSNR₁₂R₁₃; R₉, R₁₀, R₁₁, R₁₂ = CmH_{2m}R₁₄; m = 0-4; R₁₄ = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; m ≠ 0 if R₁₄ = (cyclo)alkoxy, SO₂Me, or OPh; R₂ and R₁₃ = independently H, alkyl, or CF₃; R₃ = CnH_{2n}R₁₆ or CHR₁₈R₁₉; n = 0-4; n ≠ 0 if R₁₆ = OR₁₇, SO₂Me; R₁₇ = H, (cyclo)alkyl, (un)substituted Ph, or pyridyl, R₁₆ = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; R₁₈ = H or C_pH_{2p}R₁₆; p = 0-3; R₁₉ = CO₂H, CONH₂, CH₂OH, etc.; R₄ = H, alkyl, or CF₃; or NR₃R₄ = heterocyclcyl; R₅, R₆, R₇, R₈ = independently H, halo, CF₃, NO₂, cyano, etc.; R₃₀ and R₃₁ = independently H or alkyl; CR₃₀R₃₁ = cyclopropyl; and pharmaceutically acceptable salts thereof] were prepared Thus, 2'-aminomethylbiphenyl-2-(N-phenethyl)carboxamide (preparation given) and NaHCO₃ in dioxane and H₂O were treated dropwise with 4-trifluoromethylbenzyl-N-succinimide carbonate (preparation given) in dioxane followed by 12 h stirring at room temperature to give 2'-(4-trifluoromethylbenzyloxycarbonylaminomethyl)-biphenyl-2-(N-phenethyl)carboxamide. Tested I inhibited Kv1.5 potassium flow with IC₅₀ = 0.2 μM - 11.3 μM. Thus, I are especially suitable as antiarrhythmic active agents, in particular for the treatment and prophylaxis of atrial arrhythmia, e.g. atrial fibrillation (AF) or atrial flutter (no data).

IC ICM A61K031-44

ICS C07D213-55; C07D213-56

INCL 514357000; 546264000; 546265000; 546266000; 546267000

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 34

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| | 332378-40-2P | 332378-41-3P | 332378-42-4P | 332378-43-5P | 332378-44-6P |
| | 332378-45-7P | 332378-46-8P | 332378-47-9P | 332378-48-0P | 332378-49-1P |
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5
 potassium channel blockers)

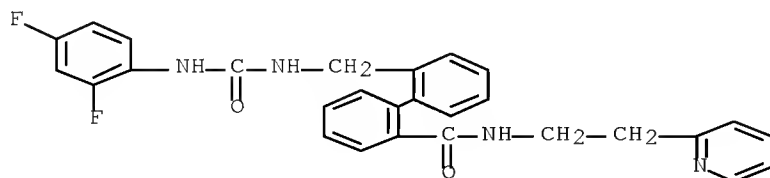
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5
 potassium channel blockers)

RN 498578-05-5 HCAPLUS

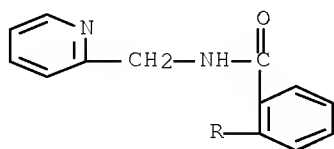
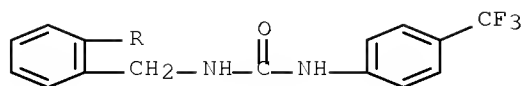
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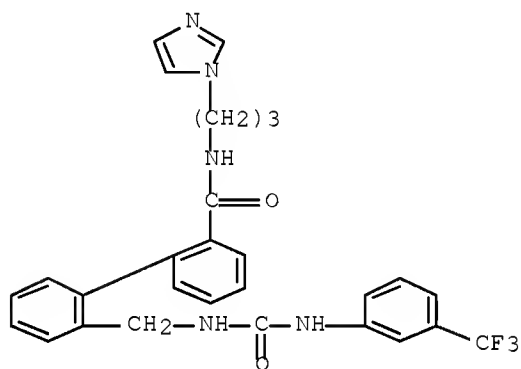
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10/569,873



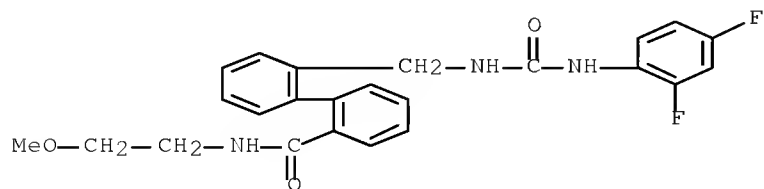
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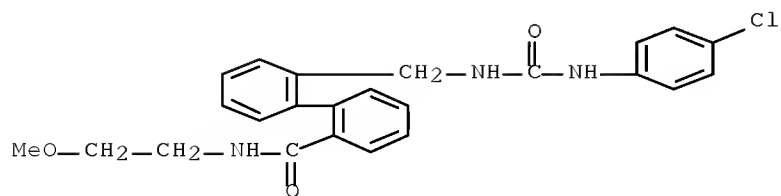
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RN 498578-10-2 HCAPLUS

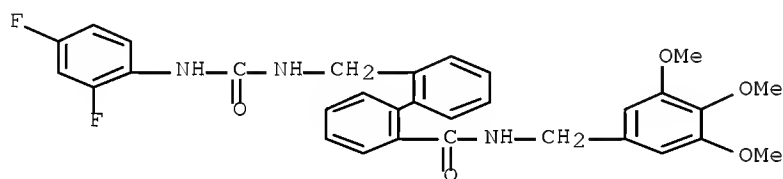
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10/569,873



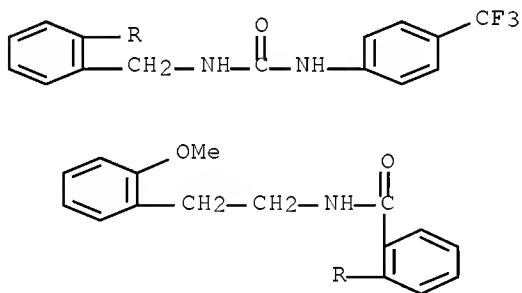
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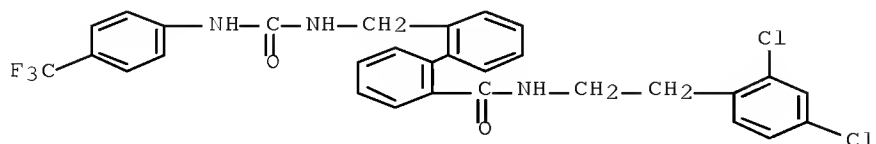
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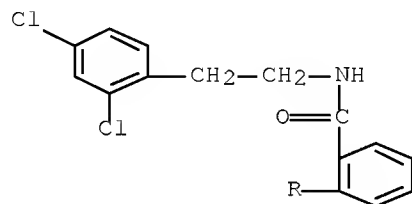
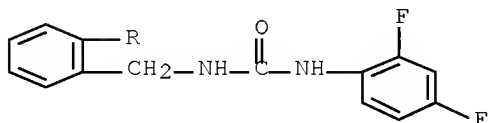
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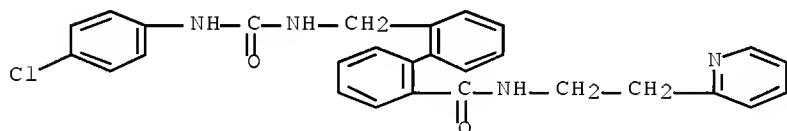
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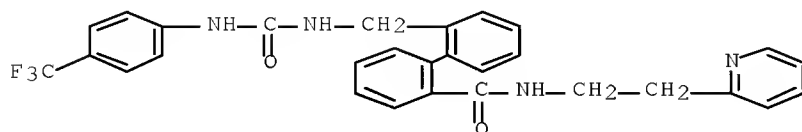
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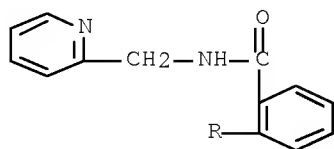
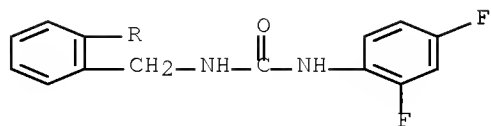
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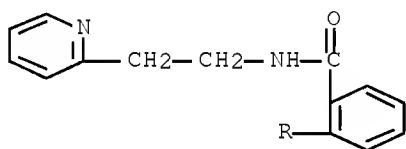
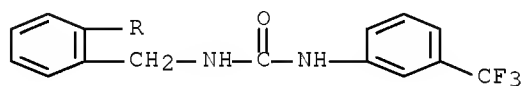
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10/569,873



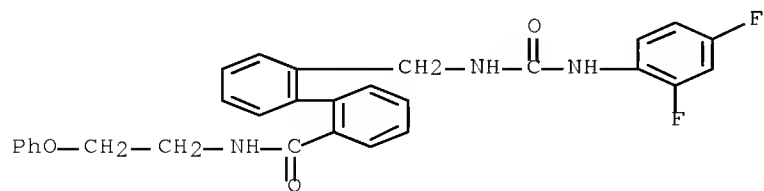
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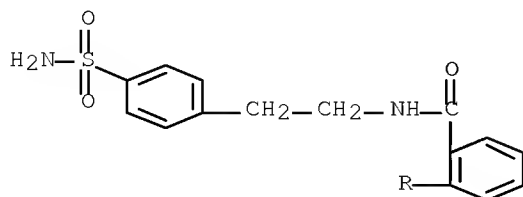
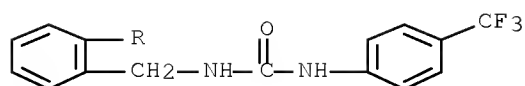
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RN 498578-21-5 HCAPLUS

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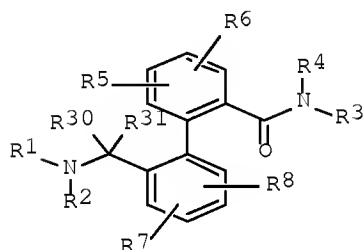
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 18 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:193044 HCAPLUS Full-text
DOCUMENT NUMBER: 138:187521
TITLE: Preparation of 2'-aminomethylbiphenyl-2-carboxamides
as Kv1.5 potassium channel blockers.
INVENTOR(S): Brendel, Joachim; Schmidt, Wolfgang; Below, Peter
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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10/569,873

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| OTHER SOURCE(S): | MARPAT | 138:187521 | | |
| ED | Entered STN: | 12 Mar 2003 | | |
| GI | | | | |



I

AB Title compds. [I; R1 = CO₂R₉, SO₂R₁₀, COR₁₁, CONR₁₂R₁₃, CSNR₁₂R₁₃; R₉, R₁₀, R₁₁, R₁₂ = CmH_{2m}R₁₄; m = 0-4; R₁₄ = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; m ≠ 0 if R₁₄ = (cyclo)alkoxy, SO₂Me, or OPh; R₂ and R₁₃ = independently H, alkyl, or CF₃; R₃ = CnH_{2n}R₁₆ or CHR₁₈R₁₉; n = 0-4; n ≠ 0 if R₁₆ = OR₁₇, SO₂Me; R₁₇ = H, (cyclo)alkyl, (un)substituted Ph, or pyridyl, R₁₆ = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; R₁₈ = H or C_pH_{2p}R₁₆; p = 0-3; R₁₉ = CO₂H, CONH₂, CH₂OH, etc.; R₄ = H, alkyl, or CF₃; or NR₃R₄ = heterocyclcyl; R₅, R₆, R₇, R₈ = independently H, halo, CF₃, NO₂, cyano, etc.; R₃₀ and R₃₁ = independently H or alkyl; CR₃₀R₃₁ = cyclopropyl; and pharmaceutically acceptable salts thereof] were prepared Thus, 2'-aminomethylbiphenyl-2-(N-phenethyl)carboxamide (preparation given) and NaHCO₃ in dioxane and H₂O were treated dropwise with 4-trifluoromethylbenzyl-N-succinimide carbonate (preparation given) in dioxane followed by 12 h stirring at room temperature to give 2'-(4-trifluoromethylbenzyloxycarbonylaminomethyl)-biphenyl-2-(N-phenethyl)carboxamide. Tested I inhibited Kv1.5 potassium flow with IC₅₀ = 0.2 μM - 11.3 μM. Thus, I are especially suitable as antiarrhythmic active agents, in particular for the treatment and prophylaxis of atrial arrhythmia, e.g. atrial fibrillation (AF) or atrial flutter (no data).

IC ICM C07C271-22

ICS C07D213-40; C07C311-19; C07C311-06; C07C311-13; C07C233-11; C07C233-87; C07C235-38; C07C275-28; C07C275-24; C07C335-16; C07C335-12; C07D233-54; A61K031-165; A61P009-06

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds) Section cross-reference(s): 1, 34

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

~~TNU (Therapeutic use)~~; BIOL (Biological study); PREP

(Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)

| | | | |
|----|-------------------------|-------------------------|-------------------------|
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| | 498578-09-9P | 498578-10-2P | 498578-11-3P |
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| | 498578-18-0P | 498578-19-1P | 498578-21-5P |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

~~TNU (Therapeutic use)~~; BIOL (Biological study); PREP

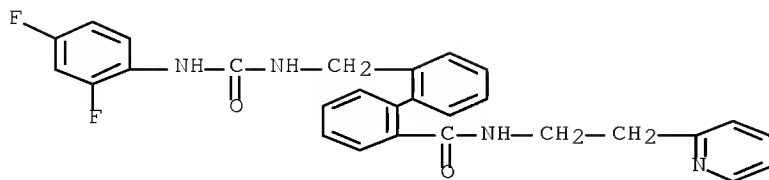
(Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)

RN 498578-05-5 HCAPLUS

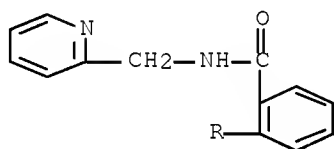
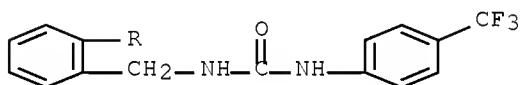
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (CA

INDEX NAME)



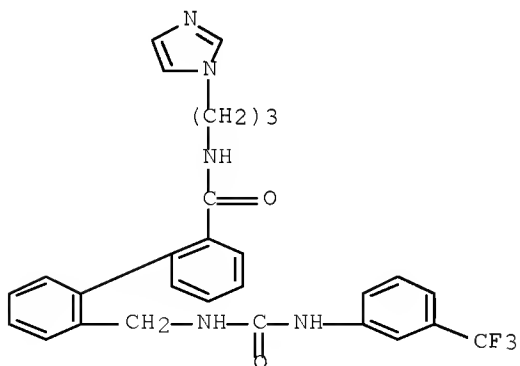
RN 498578-07-7 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-(2-pyridinylmethyl)-2'--[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 498578-08-8 HCAPLUS

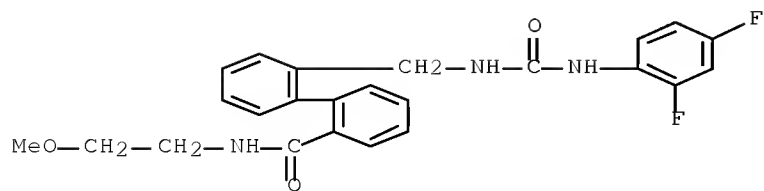
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]-2'--[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



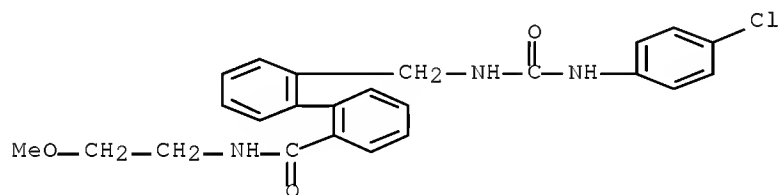
RN 498578-09-9 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

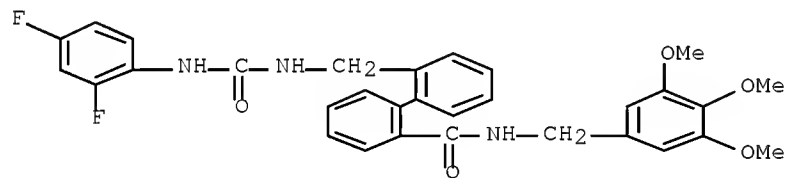
10/569,873



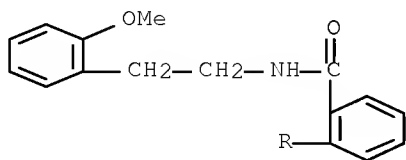
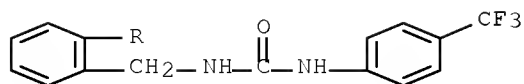
RN 498578-10-2 HCAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[[(4-chlorophenyl)amino]carbonyl]amino]methyl]-N-(2-methoxyethyl)- (CA INDEX NAME)



RN 498578-11-3 HCAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)

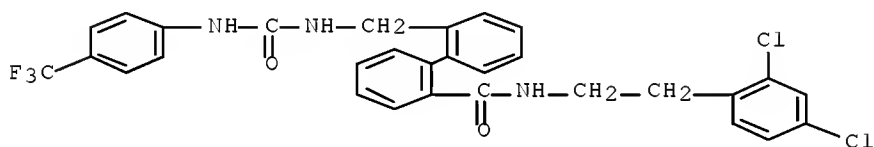


RN 498578-12-4 HCAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-methoxyphenyl)ethyl]-2'-[[[[(4-(trifluoromethyl)phenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



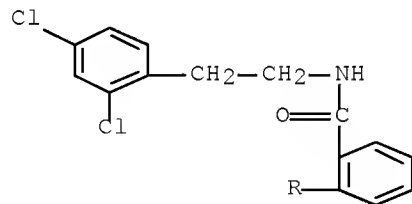
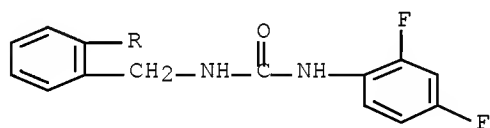
RN 498578-13-5 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-2'-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 498578-14-6 HCAPLUS

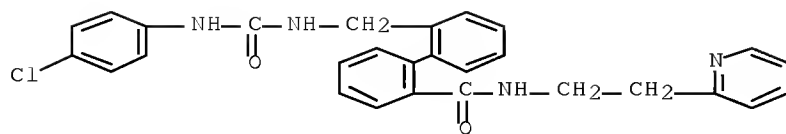
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-2'-[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 498578-15-7 HCAPLUS

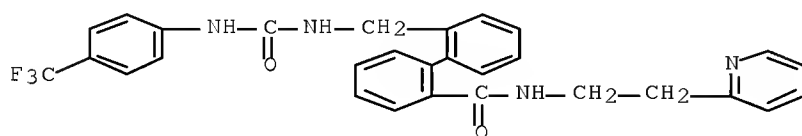
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-chlorophenyl)amino]carbonyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

10/569,873



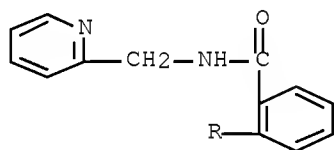
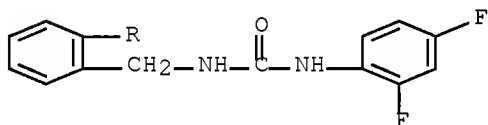
RN 498578-16-8 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-pyridinyl)ethyl]-2'--[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



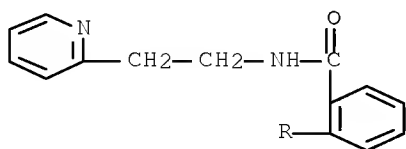
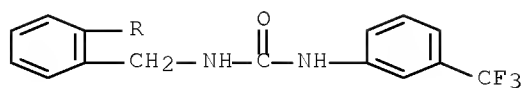
RN 498578-17-9 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-(2-pyridinylmethyl)- (CA INDEX NAME)



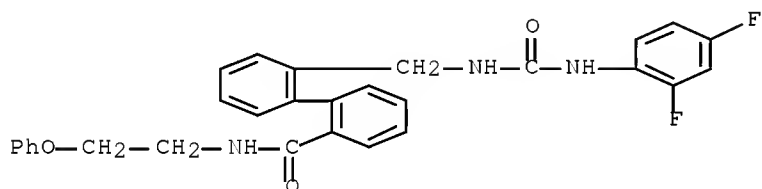
RN 498578-18-0 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-pyridinyl)ethyl]-2'--[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



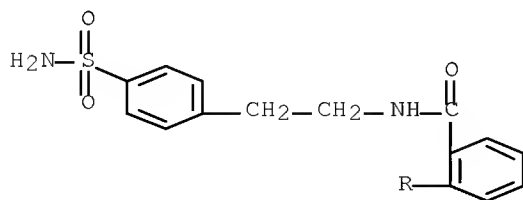
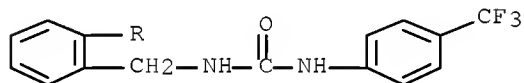
RN 498578-19-1 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-(2-phenoxyethyl)- (CA INDEX NAME)



RN 498578-21-5 HCAPLUS

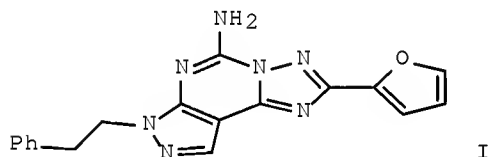
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-2'-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:151162 HCAPLUS Full-text
 DOCUMENT NUMBER: 138:321211
 TITLE: Design, Synthesis, and Biological Evaluation of C9-
 and C2-Substituted
 Pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as
 New A2A and A3 Adenosine Receptor Antagonists
 AUTHOR(S): Baraldi, Pier Giovanni; Fruttarolo, Francesca;
 Tabrizi, Mojgan Aghazadeh; Preti, Delia; Romagnoli,
 Romeo; El-Kashef, Hussein; Moorman, Allan; Varani,
 Katia; Gessi, Stefania; Merighi, Stefania; Borea, Pier
 Andrea
 CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche and Dipartimento
 di Medicina Clinica e Sperimentale-Sezione di
 Farmacologia, Universita di Ferrara, Ferrara, 44100,
 Italy
 SOURCE: Journal of Medicinal Chemistry (2003),
 46(7), 1229-1241
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:321211
 ED Entered STN: 28 Feb 2003
 GI



AB Pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines such as I are prepared as
 selective adenosine A2a and A3 receptor antagonists. Pyrazolo[4,3-e]-1,2,4-
 triazolo[1,5-c]pyrimidines substituted at the 9-position retain receptor
 affinity but lose selectivity for the adenosine A2a and A3 receptors over
 other adenosine receptors. Replacement of the furan moiety present in the
 pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidine with a Ph or a substituted
 aromatic ring abolishes affinity at all the adenosine receptor subtypes,
 demonstrating that the furanyl ring is a necessary structural element to
 guarantee interaction with the adenosine receptor surface; replacement of the
 furan ring with an ortho-ethoxy-substituted aromatic ring did not enhance
 affinity. Introduction of a N-methylpiperazinomethyl or morpholinomethyl
 function at the 5' position of the furanyl ring of I or introduction of a
 methylsulfanyl moiety at the 9-position of pyrazolo[4,3-e]-1,2,4-triazolo[1,5-
 c]pyrimidines yields inhibitors with improved water solubilities but reduced
 affinities for adenosine A2a and A3 receptors.

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

IT 512845-17-9P 512845-20-4P 512845-23-7P 512845-31-7P
~~512845-34-0P~~ 512846-12-7P 512846-14-9P 512846-18-3P
 512846-20-7P 512846-22-9P 512846-24-1P 512846-26-3P 512846-28-5P
 512846-32-1P 512846-34-3P 512846-36-5P 512846-38-7P 512846-40-1P
 512846-46-7P 512846-48-9P

10/569,873

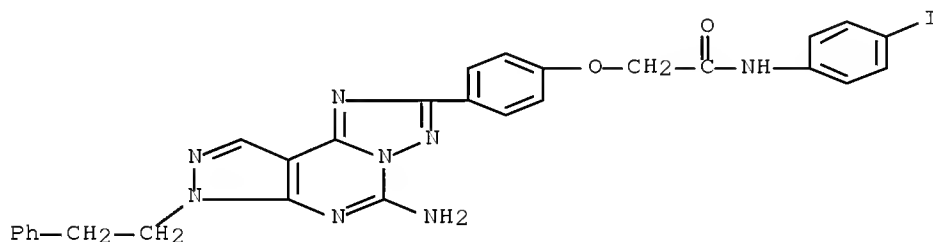
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (preparation and structure-activity relationships of
 pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as potential selective
 adenosine A2a and A3 receptor antagonists)

IT 512845-34-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (preparation and structure-activity relationships of
 pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as potential selective
 adenosine A2a and A3 receptor antagonists)

RN 512845-34-0 HCAPLUS

CN Acetamide, 2-[4-[5-amino-7-(2-phenylethyl)-7H-pyrazolo[4,3-
 e][1,2,4]triazolo[1,5-c]pyrimidin-2-yl]phenoxy]-N-(4-iodophenyl)- (CA
 INDEX NAME)



OS.CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS
 RECORD (47 CITINGS)
 REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:133223 HCAPLUS Full-text

DOCUMENT NUMBER: 138:169972

TITLE: Preparation of substituted N-naphthyl-N'-phenylureas
 and N-substituted naphthylacetamides as vanilloid
 receptor 1 (VR1) antagonists

INVENTOR(S): Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda,
 Tsutoma; Kokubo, Toshio; Urbahns, Klaus; Lowinger,
 Timothy B.; Yoshida, Nagahiro; Freitag, Joachim;
 Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo,
 Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita,
 Keisuke; Moriwaki, Toshuda; Tsukimi, Yasuhiro

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2003014064 | A1 | 20030220 | WO 2002-EP8493 | 20020731 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |

10/569,873

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
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 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2003055209 A 20030226 JP 2001-232503 20010731 <--
 CA 2455754 A1 20030220 CA 2002-2455754 20020731 <--
 AU 2002325381 A1 20030224 AU 2002-325381 20020731 <--
 EP 1414788 A1 20040506 EP 2002-758413 20020731 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005501873 T 20050120 JP 2003-524319 20020731 <--
 US 20040259875 A1 20041223 US 2004-485481 20040726 <--

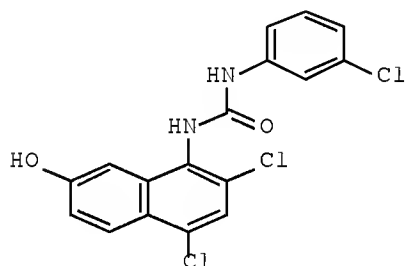
PRIORITY APPLN. INFO.:

JP 2001-232503 A 20010731 <--
 JP 2001-392310 A 20011225 <--
 WO 2002-EP8493 W 20020731 <--

OTHER SOURCE(S): MARPAT 138:169972

ED Entered STN: 21 Feb 2003

GI



I

AB The title compds. R⁷Q(Y)C(O)N^XR⁶ [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R⁶, R⁷ = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepared Thus, reacting 8-amino-5,7-dichloro-2-naphthol (preparation given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC₅₀ of ≤ 10 nM for VR1.

IC ICM C07C235-38

ICS C07C275-32; C07C275-34; C07C275-36; C07C275-38; C07C275-40;
 C07C275-42; C07C311-08; C07C311-47; C07C323-44; C07D209-88;
 C07D215-38; C07D235-10; C07D239-69; C07D261-14; C07D261-16;
 C07D263-10; C07D285-06; C07D295-135; C07D307-88

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1

IT 199584-96-8P 199929-52-7P 391937-38-5P 497148-29-5P 497148-30-8P
 497148-31-9P 497148-32-0P 497148-33-1P 497148-34-2P 497148-35-3P
 497148-36-4P 497148-37-5P 497148-38-6P 497148-39-7P 497148-40-0P

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| 497148-41-1P | 497148-42-2P | 497148-43-3P | 497148-44-4P | 497148-45-5P |
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| 497150-69-3P | 497150-70-6P | 497150-71-7P | 497150-72-8P | 497150-73-9P |
| 497150-74-0P | 497150-75-1P | 497150-76-2P | 497150-77-3P | 497150-78-4P |

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted
 naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

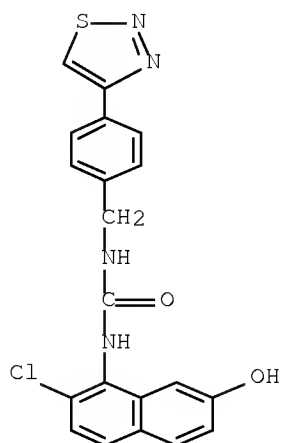
IT ~~497150-14-8P~~

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);
TNU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted
 naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

RN 497150-14-8 HCAPLUS

CN Urea, N-(2-chloro-7-hydroxy-1-naphthalenyl)-N'-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:5963 HCAPLUS Full-text

DOCUMENT NUMBER: 138:73267

TITLE: Preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors

INVENTOR(S): Vidal Juan, Bernat; Esteve Trias, Cristina; Segarra Matamoros, Victor; Ravina Rubira, Enrique; Fernandez Gonzalez, Franco; Loza Garcia, Maria Isabel; Sanz Carreras, Ferran

PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain

SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

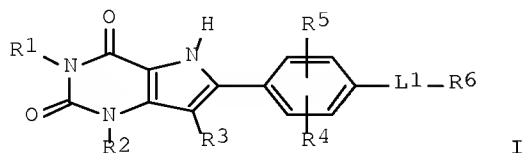
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2003000694 | A1 | 20030103 | WO 2002-EP6727 | 20020618 <-- |
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| ES 2193839 | A1 | 20031101 | ES 2001-1452 | 20010622 <-- |
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| AU 2002350425 | A1 | 20030108 | AU 2002-350425 | 20020618 <-- |
| EP 1409489 | A1 | 20040421 | EP 2002-780834 | 20020618 <-- |
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| JP 2004534828 | T | 20041118 | JP 2003-507097 | 20020618 <-- |

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US 20050070558 A1 20050331 US 2004-481728 20041019 <--
 PRIORITY APPLN. INFO.: ES 2001-1452 A 20010622 <--
 WO 2002-EP6727 W 20020618 <--
 OTHER SOURCE(S): MARPAT 138:73267
 ED Entered STN: 05 Jan 2003
 GI



AB The title compds. [I; R1, R2 = H, (CH₂)_nR⁷, (un)substituted alkyl (wherein n = 0-4; R⁷ = cycloalkyl, (un)substituted Ph, 3-7 membered (non)aromatic ring containing 1-4 heteroatoms and which is optionally fused to (hetero)aromatic ring); R3 = H, halo, NO₂, etc.; R4, R5 = H, halo, alkyl, etc.; L1 = a direct bond, O, S, etc.; R6 = CONR¹⁰R¹¹, SO₂NR¹⁰R¹¹, ON:CR¹²R¹³, aryl, etc.; R¹⁰, R¹¹ = H, alkyl, cycloalkyl, etc.; R¹², R¹³ = defined as R¹⁰ and R¹¹, except that either or both of R¹² and R¹³ can be an amino, alkylamino or dialkylamino] which have therapeutic potential as A2 adenosine receptor inhibitors (biol. data given), were prepared and formulated. Thus, coupling {4-[2-(5-nitro-2,6-dioxo-1,3-dipropyl-1,2,3,6-tetrahydropyrimidin-4-yl)vinyl]phenoxy}acetic acid (preparation given) with aniline (yield 42%) followed by reductive cyclization of the resulting intermediate mediated by triethylphosphite (46%) afforded I [R1, R2 = Pr; R3-R5 = H; L1 = OCH₂; R6 = CONHPh].

IC ICM C07D487-04

ICS C07D519-00; A61K031-505; A61P011-06; A61P011-08; A61P037-08;
 A61P001-12; A61P025-16; A61P003-10; A61P037-00; A61P007-06;
 A61P043-00; A61P017-06; A61P017-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
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(Preparation); USES (Uses)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

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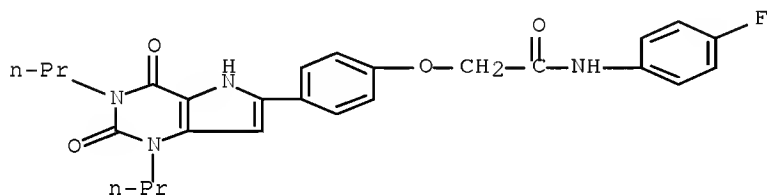
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
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 (Preparation); USES (Uses)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

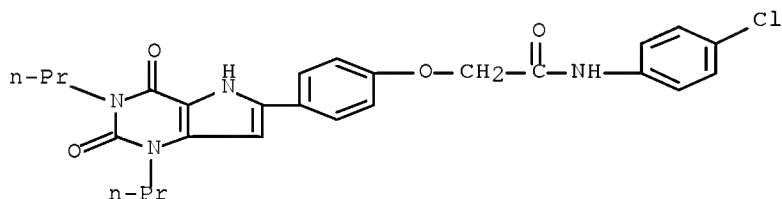
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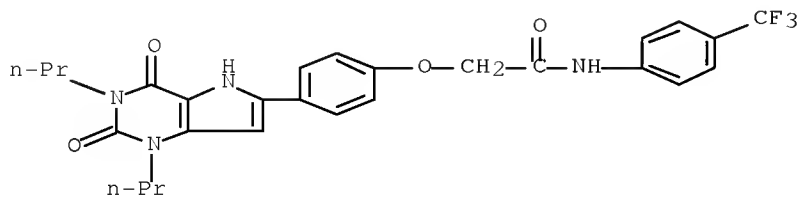
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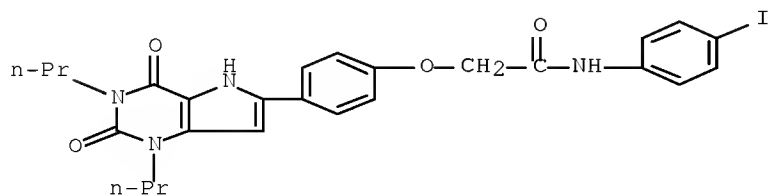
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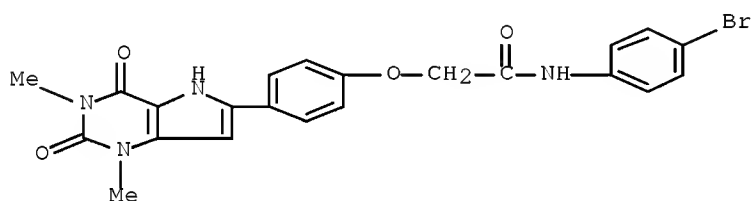
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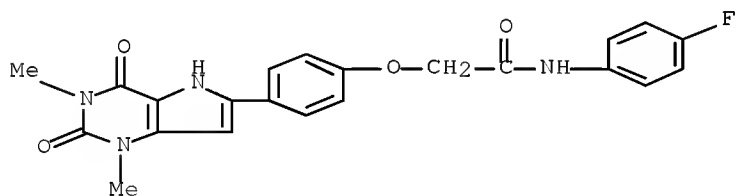
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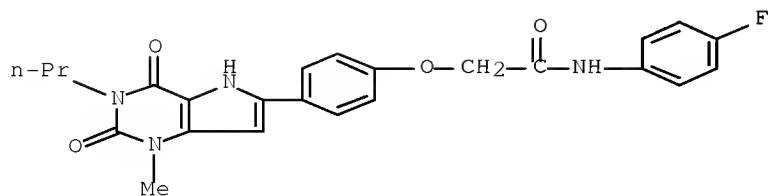
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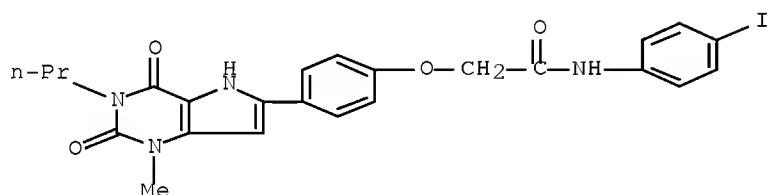
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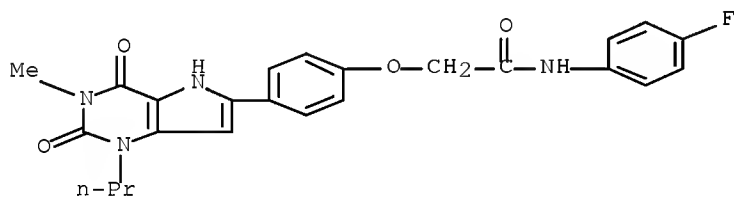
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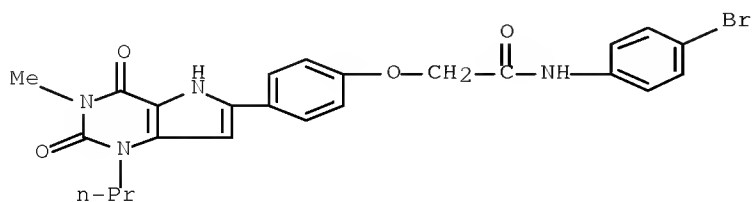
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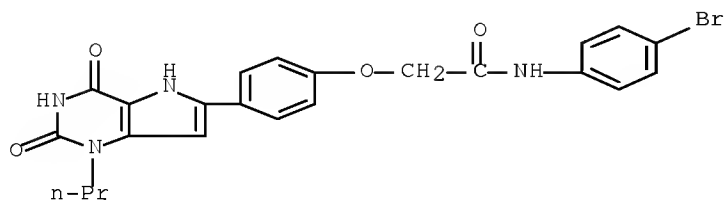
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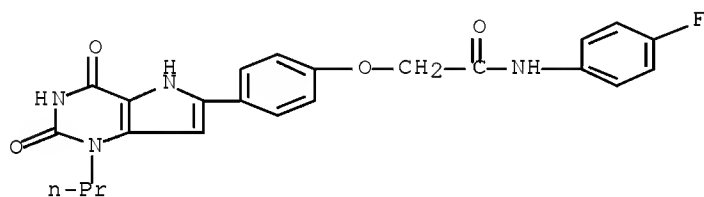
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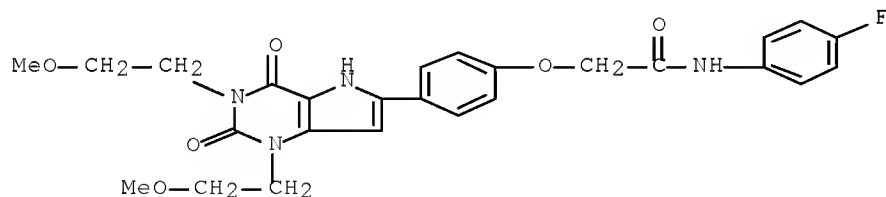
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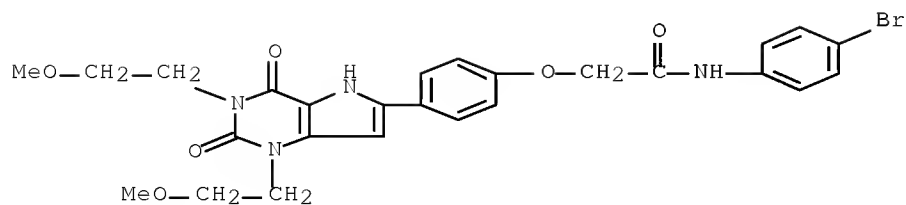
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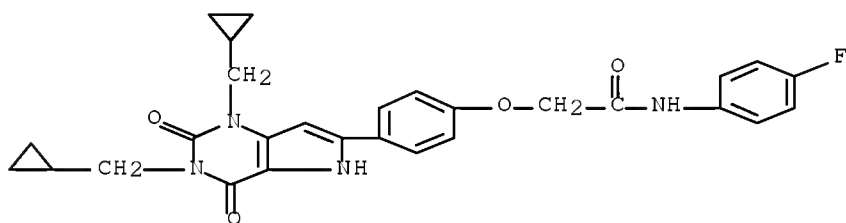
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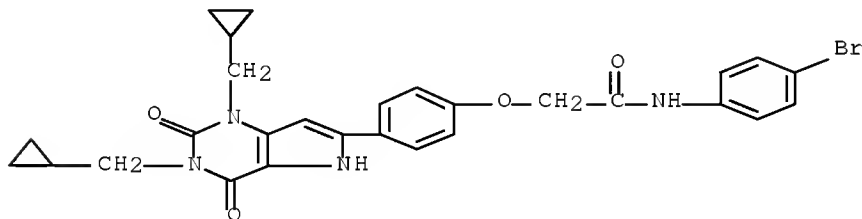
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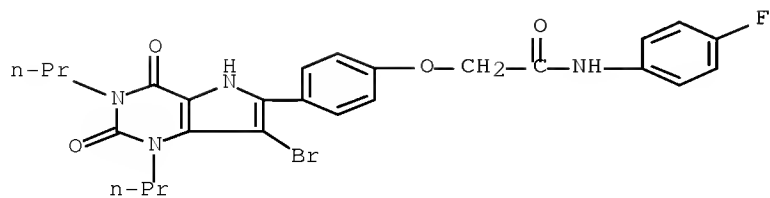
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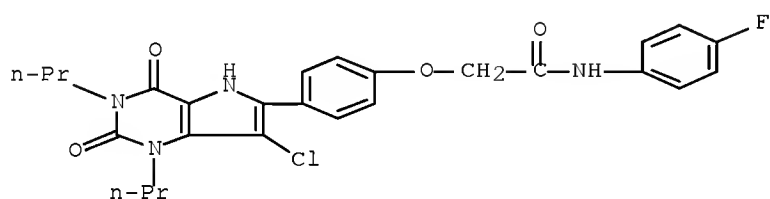
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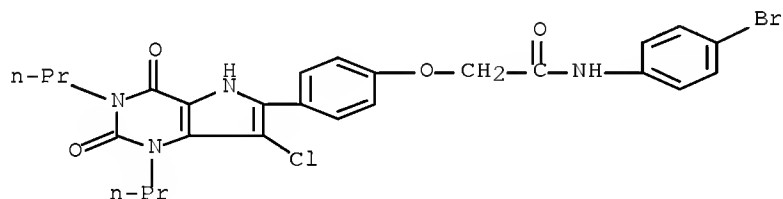
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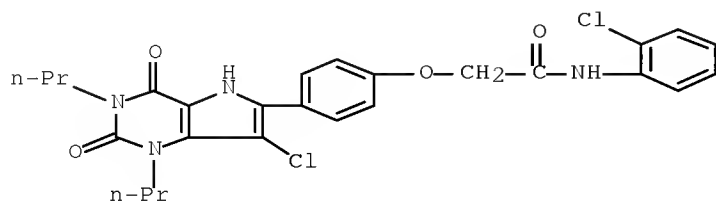
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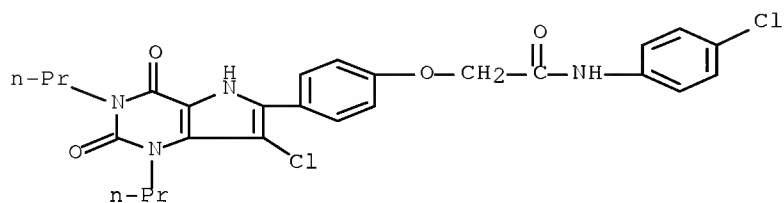
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CN Acetamide, N-(2-chlorophenyl)-2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



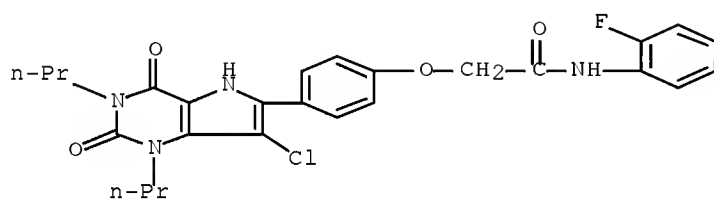
RN 480992-60-7 HCAPLUS

CN Acetamide, N-(4-chlorophenyl)-2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



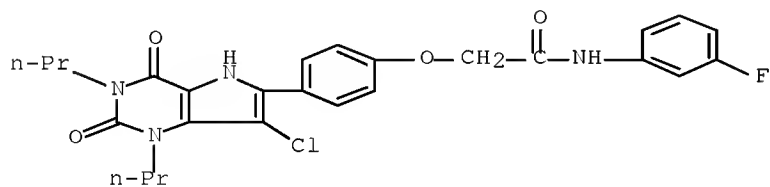
RN 480992-61-8 HCAPLUS

CN Acetamide, 2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



RN 480992-66-3 HCAPLUS

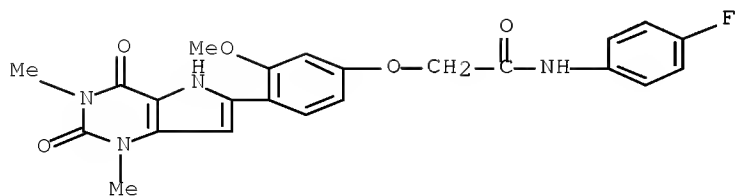
CN Acetamide, 2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 480992-68-5 HCAPLUS

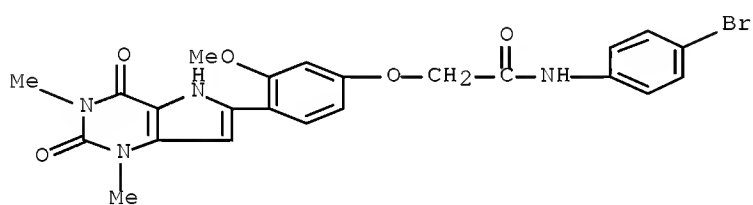
CN Acetamide, N-(4-fluorophenyl)-2-[3-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

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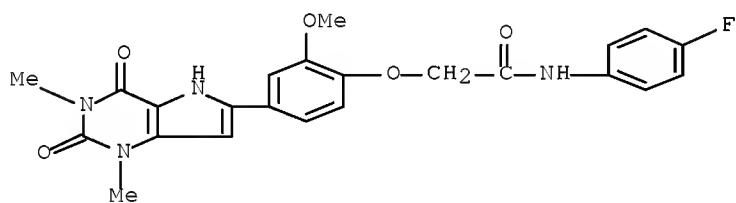
RN 480992-73-2 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[3-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-77-6 HCAPLUS

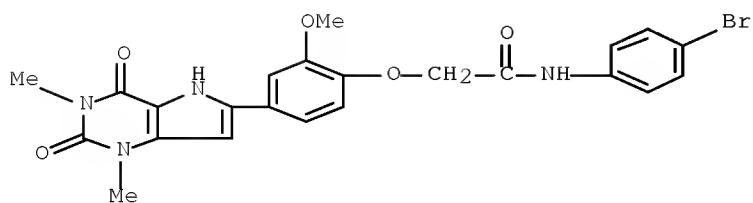
CN Acetamide, N-(4-fluorophenyl)-2-[2-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-82-3 HCAPLUS

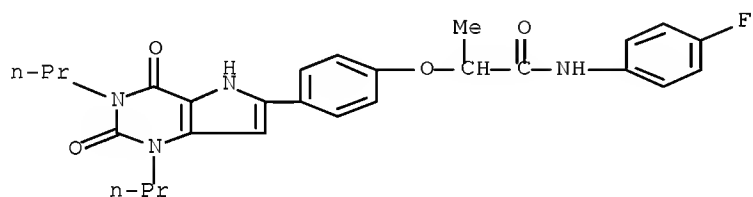
CN Acetamide, N-(4-bromophenyl)-2-[2-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

10/569,873



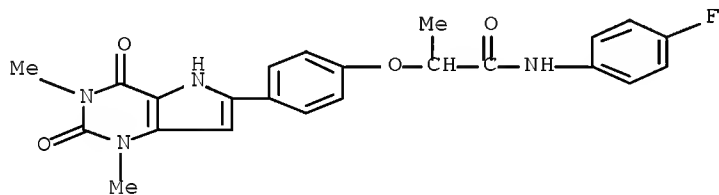
RN 480992-90-3 HCAPLUS

CN Propanamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



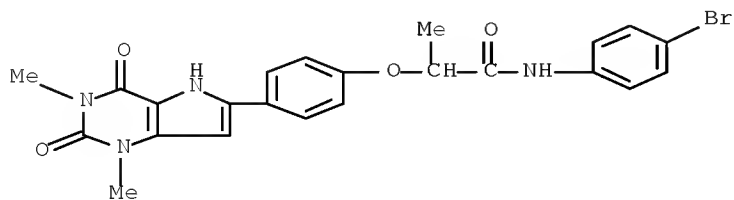
RN 480992-93-6 HCAPLUS

CN Propanamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-94-7 HCAPLUS

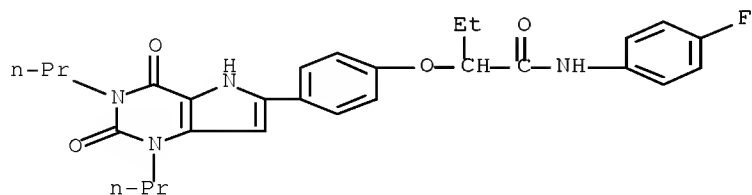
CN Propanamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



10/569,873

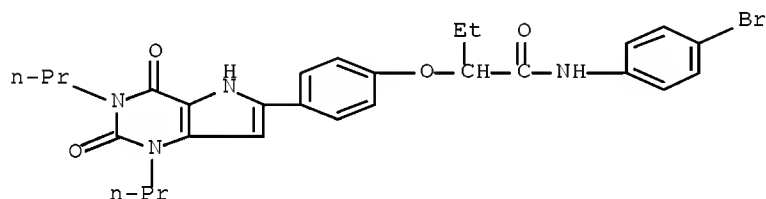
RN 480992-98-1 HCAPLUS

CN Butanamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



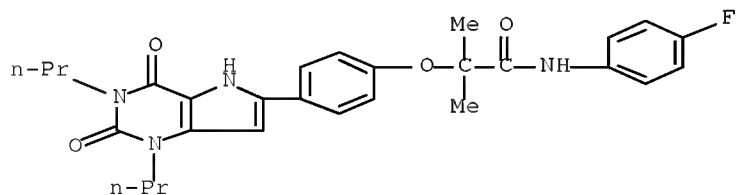
RN 480992-99-2 HCAPLUS

CN Butanamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480993-03-1 HCAPLUS

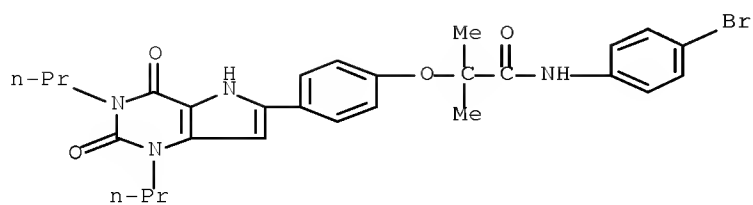
CN Propanamide, N-(4-fluorophenyl)-2-methyl-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480993-04-2 HCAPLUS

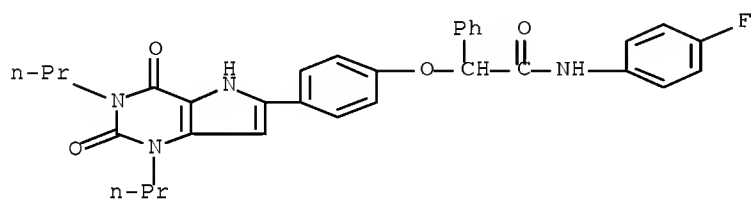
CN Propanamide, N-(4-bromophenyl)-2-methyl-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

10/569,873



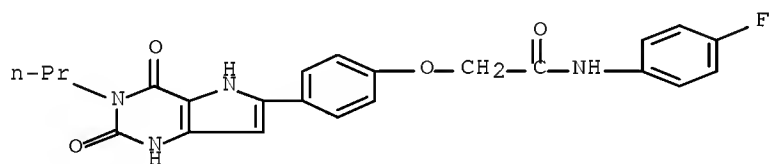
RN 480993-08-6 HCAPLUS

CN Benzeneacetamide, N-(4-fluorophenyl)- α -[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



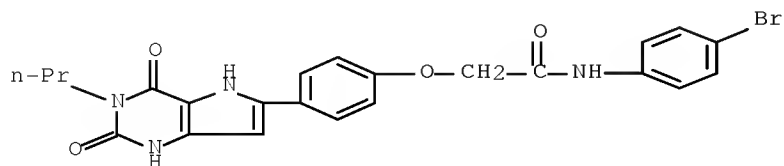
RN 480993-69-9 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-3-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

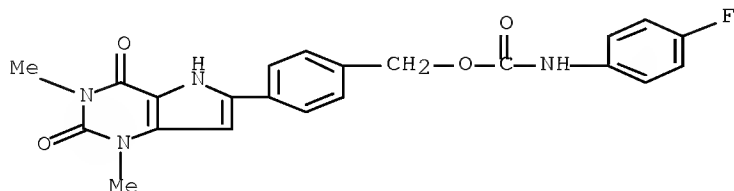


RN 480993-70-2 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-3-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480993-82-6 HCAPLUS
 CN Carbamic acid, (4-fluorophenyl)-, [4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:555466 HCAPLUS Full-text

DOCUMENT NUMBER: 137:125096

TITLE: Preparation of phenyl derivatives containing inhibitors of coagulation factor for prophylaxis and/or therapy of thromboembolic disorders
 INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|------------------|--------------|
| WO 2002057236 | A1 | 20020725 | WO 2001-EP14296 | 20011205 <-- |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| DE 10102322 | A1 | 20020725 | DE 2001-10102322 | 20010119 <-- |
| CA 2434937 | A1 | 20020725 | CA 2001-2434937 | 20011205 <-- |
| AU 2002227993 | A1 | 20020730 | AU 2002-227993 | 20011205 <-- |
| AU 2002227993 | B2 | 20070809 | | |
| EP 1351938 | A1 | 20031015 | EP 2001-989580 | 20011205 <-- |
| EP 1351938 | B1 | 20070411 | | |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |

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| | | | | |
|----------------|----|----------|----------------|--------------|
| BR 2001016804 | A | 20040217 | BR 2001-16804 | 20011205 <-- |
| CN 1518541 | A | 20040804 | CN 2001-823061 | 20011205 <-- |
| JP 2004535362 | T | 20041125 | JP 2002-557917 | 20011205 <-- |
| JP 4180375 | B2 | 20081112 | | |
| HU 2005000110 | A2 | 20050628 | HU 2005-110 | 20011205 <-- |
| AT 359271 | T | 20070515 | AT 2001-989580 | 20011205 <-- |
| ES 2284718 | T3 | 20071116 | ES 2001-989580 | 20011205 <-- |
| MX 2003006483 | A | 20030922 | MX 2003-6483 | 20030718 <-- |
| IN 2003KN01033 | A | 20060602 | IN 2003-KN1033 | 20030813 <-- |
| ZA 2003006419 | A | 20041118 | ZA 2003-6419 | 20030818 <-- |
| US 20040087582 | A1 | 20040506 | US 2003-466680 | 20031218 <-- |
| US 7273867 | B2 | 20070925 | | |

PRIORITY APPLN. INFO.:

| | | |
|------------------|---|--------------|
| DE 2001-10102322 | A | 20010119 <-- |
| WO 2001-EP14296 | W | 20011205 <-- |

OTHER SOURCE(S): MARPAT 137:125096

ED Entered STN: 26 Jul 2002

AB Novel compds. of the formula R1R2C6H3-W-X-Y-T in which W, X, Y, T, R1 and R2 are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic disorders. Thus, 3-(5-methyl-1,2,4-oxadiazol-3-yl)phenol wa reacted with Et 2-bromovalerate, sodium hydroxide, thionyl chloride, 4-morpholin-4-ylaniline, followed a hydrogenation in acetic acid to give 2-(3-amidinophenoxy)-N-(4-morpholin-4-ylphenyl)valeramide acetate, showing IC50=3x10⁻⁷ M and IC50=4.9x10⁻⁷ M.

IC ICM C07D211-76

ICS C07D211-74; C07D265-32; C07D241-08; C07D401-04; C07D263-22;
C07D237-14; C07D223-10; A61K031-535; A61K031-50; A61K031-4412;
A61K031-421

CC 27-19 (Heterocyclic Compounds (One Hetero Atom))

| | | | | |
|-----------------|-------------------------|-------------------------|--------------|--------------|
| IT 444001-94-9P | 444001-95-0P | 444001-96-1P | 444001-97-2P | 444001-98-3P |
| 444001-99-4P | 444002-00-0P | 444002-01-1P | 444002-02-2P | 444002-03-3P |
| 444002-04-4P | 444002-05-5P | 444002-06-6P | 444002-07-7P | 444002-08-8P |
| 444002-09-9P | 444002-10-2P | 444002-11-3P | 444002-12-4P | 444002-13-5P |
| 444002-14-6P | 444002-15-7P | 444002-16-8P | 444002-17-9P | 444002-18-0P |
| 444002-20-4P | 444002-21-5P | 444002-22-6P | | |
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| 444002-33-9P | 444002-35-1P | 444002-36-2P | 444002-37-3P | 444002-38-4P |
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| 444002-50-0P | 444002-51-1P | 444002-52-2P | 444003-03-6P | 444003-05-8P |
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 444021-65-2P

RL: IMF (Industrial manufacture); TNU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph derivs. containing inhibitors of coagulation factor for
 prophylaxis and/or therapy of thromboembolic disorders)

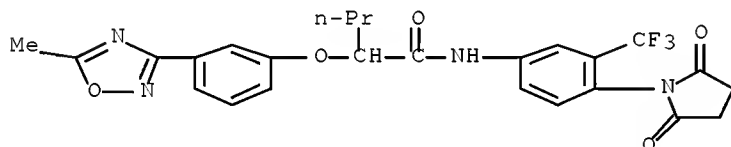
IT 444002-21-5P 444002-22-6P

RL: IMF (Industrial manufacture); TNU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph derivs. containing inhibitors of coagulation factor for
 prophylaxis and/or therapy of thromboembolic disorders)

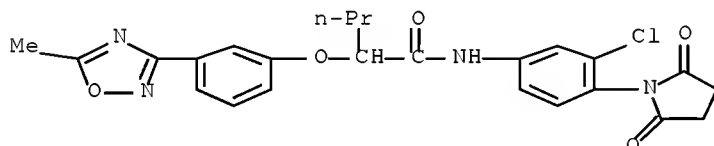
RN 444002-21-5 HCAPLUS

CN Pentanamide, N-[4-(2,5-dioxo-1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]-2-
 [3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]- (CA INDEX NAME)



RN 444002-22-6 HCAPLUS

CN Pentanamide, N-[3-chloro-4-(2,5-dioxo-1-pyrrolidinyl)phenyl]-2-[3-(5-
 methyl-1,2,4-oxadiazol-3-yl)phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS
 RECORD (17 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:539647 HCAPLUS Full-text

DOCUMENT NUMBER: 137:109128

TITLE: Preparation of biaryl compounds for treatment of
 hyperlipidemia and arteriosclerosis

INVENTOR(S): Kori, Masakuni; Ishikawa, Eiichiro; Nakata, Mikiyo;
 Kobayashi, Makoto

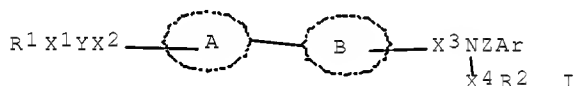
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 470 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2002055484 | A1 | 20020718 | WO 2002-JP73 | 20020110 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002226675 | A1 | 20020724 | AU 2002-226675 | 20020110 <-- |
| JP 2003055326 | A | 20030226 | JP 2002-4422 | 20020111 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2001-5823 | A 20010112 <-- |
| | | | JP 2001-174901 | A 20010608 <-- |
| | | | WO 2002-JP73 | W 20020110 <-- |

OTHER SOURCE(S): MARPAT 137:109128
 ED Entered STN: 19 Jul 2002
 GI



AB The title compds. I [rings A and B each represents an optionally substituted five- or six-membered aromatic ring; R1 and R2 each represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group; X1, X2, X3, and X4 each represents a bond or an optionally substituted divalent hydrocarbon group; Y represents NR3CO, CONR3, NR3SO2, SO2NR3, NR3CH2 (R3 represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), etc.; Z represents CONH, CSNH, CO, or SO2; and Ar represents an optionally substituted cyclic hydrocarbon group or an optionally substituted heterocyclic group] are prepared I increase the amount of low-d. lipoprotein (LDL) receptors. The LDL receptor gene transcription promoting activities of compds. of this invention were demonstrated. Processes for preparing I are disclosed.

IC ICM C07C233-78

ICS C07C233-80; C07C271-08; C07C271-40; C07C275-28; C07C311-01;
 C07C311-15; C07C311-30; C07C335-16; C07D213-40; C07D213-56;
 C07D213-75; C07D213-81; C07D213-82; C07D307-52; C07D333-20;
 C07D401-12; C07D405-12; C07D409-12; A61K031-17

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 27, 28

IT 443340-73-6P 443340-76-9P 443340-77-0P
 443342-38-9P 443342-45-8P 443343-42-8P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity)
 ; RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or

reagent); USES (Uses)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

| | | | | | |
|----|-------------------------|-------------------------|-------------------------|-------------------------|--------------|
| IT | 443340-69-0P | 443340-70-3P | 443340-71-4P | 443340-74-7P | 443340-75-8P |
| | 443340-78-1P | 443340-79-2P | 443340-80-5P | | |
| | 443340-81-6P | 443340-82-7P | 443340-83-8P | | |
| | 443340-84-9P | 443340-85-0P | 443340-86-1P | | |
| | 443340-87-2P | 443340-88-3P | 443340-89-4P | | |
| | 443340-90-7P | 443340-91-8P | 443340-92-9P | | |
| | 443340-93-0P | 443340-94-1P | 443340-95-2P | 443340-96-3P | |
| | 443340-97-4P | 443340-98-5P | 443340-99-6P | 443341-00-2P | |
| | 443341-01-3P | 443341-02-4P | 443341-03-5P | 443341-04-6P | 443341-05-7P |
| | 443341-06-8P | 443341-07-9P | 443341-08-0P | 443341-09-1P | |
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| | 443341-15-9P | 443341-16-0P | 443341-17-1P | 443341-18-2P | 443341-19-3P |
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| | 443341-45-5P | 443341-46-6P | 443341-47-7P | | |
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| | 443341-69-3P | 443341-70-6P | 443341-71-7P | 443341-72-8P | |
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| | 443341-80-8P | 443341-81-9P | 443341-82-0P | 443341-83-1P | 443341-84-2P |
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| | 443342-30-1P | 443342-31-2P | 443342-32-3P | 443342-33-4P | 443342-34-5P |
| | 443342-35-6P | 443342-36-7P | 443342-37-8P | 443342-39-0P | 443342-40-3P |
| | 443342-41-4P | 443342-42-5P | 443342-43-6P | 443342-44-7P | 443342-46-9P |
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| | 443342-67-4P | 443342-68-5P | 443342-69-6P | 443342-70-9P | 443342-71-0P |
| | 443342-72-1P | 443342-73-2P | 443342-74-3P | 443342-75-4P | 443342-76-5P |
| | 443342-77-6P | 443342-78-7P | 443342-79-8P | 443342-80-1P | 443342-81-2P |
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| | 443342-87-8P | 443342-88-9P | 443342-89-0P | 443342-90-3P | 443342-91-4P |
| | 443342-92-5P | 443342-93-6P | 443342-94-7P | 443342-95-8P | 443342-96-9P |
| | 443342-97-0P | 443342-98-1P | 443342-99-2P | 443343-00-8P | 443343-01-9P |
| | 443343-02-0P | 443343-03-1P | 443343-04-2P | 443343-05-3P | 443343-06-4P |
| | 443343-07-5P | 443343-08-6P | 443343-09-7P | | |

RL: IMF (Industrial manufacture); PAC (Pharmacological activity)
 ; SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of biaryl compds. for treatment of hyperlipidemia and
 arteriosclerosis)

| | | | | | |
|----|---------------------------|-------------------------|-----------------------------------|-------------------------|--------------|
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| | 4-(2-Thienyl)benzoic acid | 31181-90-5P | 33024-60-1P | 35461-98-4P | |
| | 65586-64-3P | 70000-61-2P | 70917-02-1P | 75601-33-1P | 105501-69-7P |
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| | 443343-75-7P | 443343-76-8P | 443343-77-9P | 443343-78-0P | 443343-79-1P |
| | 443343-80-4P | 443343-81-5P | 443343-82-6P | 443343-83-7P | 443343-84-8P |
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| | 443344-86-3P | 443344-87-4P | 443344-88-5P | 443344-89-6P | 443344-90-9P |
| | 443344-91-0P | 443344-92-1P | 443344-93-2P | 443344-94-3P | 443344-95-4P |
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| | 443345-41-3P | 443345-42-4P | 443345-43-5P | 443345-44-6P | 443345-45-7P |
| | 443345-46-8P | 443345-47-9P | 443345-48-0P | 443345-49-1P | 443345-50-4P |
| | 443345-51-5P | 443345-52-6P | 443345-53-7P | 443345-54-8P | 443345-55-9P |
| | 443345-56-0P | 443345-57-1P | 443345-58-2P | 443345-59-3P | 443345-60-6P |
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| | 443345-66-2P | 443345-67-3P | 443345-68-4P | 443345-69-5P | 443345-70-8P |
| | 443345-71-9P | 443345-72-0P | 443345-73-1P | 443345-74-2P | 443345-75-3P |

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443345-76-4P 443345-77-5P 443345-78-6P 443345-79-7P 443345-80-0P
443345-81-1P 443345-82-2P 443345-83-3P 443345-86-6P 443345-87-7P
443345-88-8P 443345-89-9P 443345-93-5P 443345-96-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

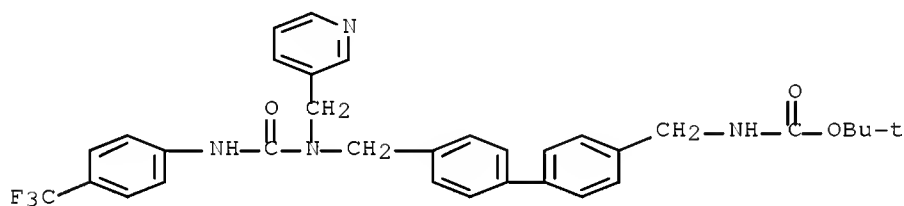
IT ~~443340-76-9P~~ ~~443340-77-0P~~ ~~443343-42-8P~~

RL: IMF (Industrial manufacture); ~~PAC (Pharmacological activity)~~
; RCT (Reactant); SPN (Synthetic preparation); ~~THU (Therapeutic use)~~; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

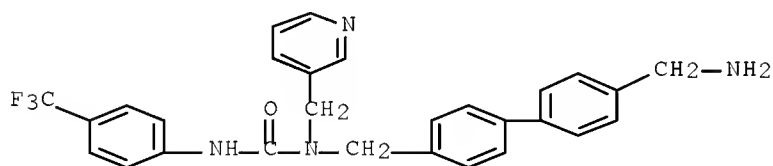
RN 443340-76-9 HCAPLUS

CN Carbamic acid, [[4'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443340-77-0 HCAPLUS

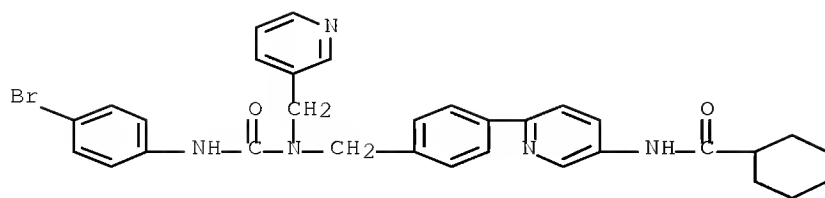
CN Urea, N-[[4'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443343-42-8 HCAPLUS

CN Cyclohexanecarboxamide, N-[6-[4-[[[(4-bromophenyl)amino]carbonyl](3-pyridinylmethyl)amino]methyl]phenyl]-3-pyridinyl]- (CA INDEX NAME)

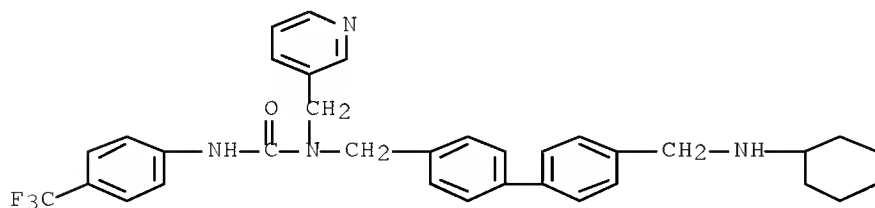


| | | | |
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| IT | 443340-78-1P | 443340-79-2P | 443340-80-5P |
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| | 443340-84-9P | 443340-85-0P | 443340-86-1P |
| | 443340-87-2P | 443340-88-3P | 443340-89-4P |
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| | 443341-40-0P | 443341-42-2P | 443341-44-4P |
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| | 443341-55-7P | 443341-57-9P | 443341-59-1P |
| | 443341-61-5P | 443341-63-7P | 443341-65-9P |
| | 443341-68-2P | 443341-69-3P | 443341-72-8P |
| | 443341-73-9P | 443341-78-4P | 443341-79-5P |
| | 443342-57-2P | 443342-58-3P | 443342-59-4P |
| | 443342-60-7P | 443342-63-0P | 443342-64-1P |
| | 443342-65-2P | | |

RL: IMF (Industrial manufacture); PAC (Pharmacological activity)
 ; SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)
 (preparation of biaryl compds. for treatment of hyperlipidemia and
 arteriosclerosis)

RN 443340-78-1 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-
 pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA
 INDEX NAME)

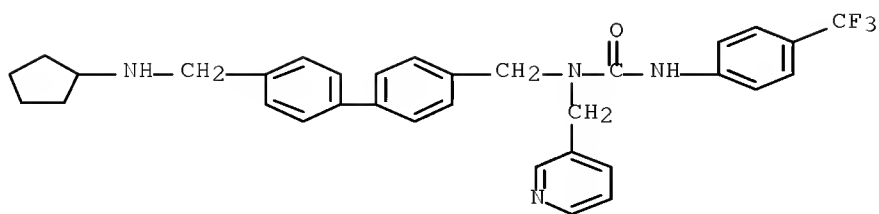


● 2 HCl

RN 443340-79-2 HCAPLUS

CN Urea, N-[[4'-[(cyclopentylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-
 pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA
 INDEX NAME)

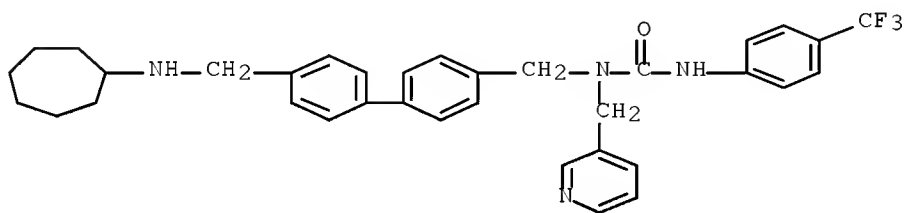
10/569,873



● 2 HCl

RN 443340-80-5 HCAPLUS

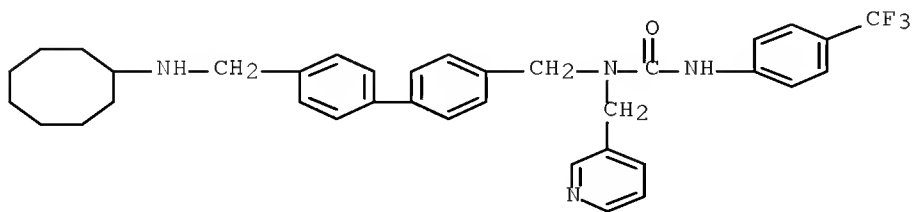
CN Urea, N-[[4'-[(cycloheptylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443340-81-6 HCAPLUS

CN Urea, N-[[4'-[(cyclooctylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



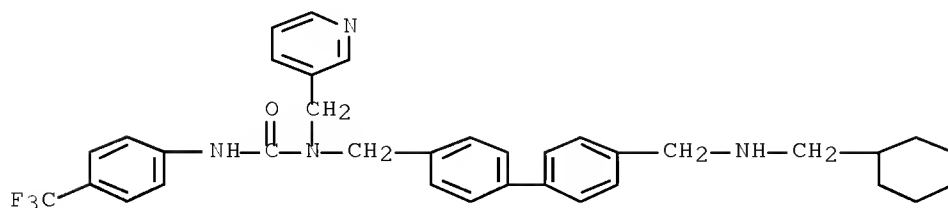
● 2 HCl

RN 443340-82-7 HCAPLUS

CN Urea, N-[[4'-[[[(cyclohexylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-

10/569,873

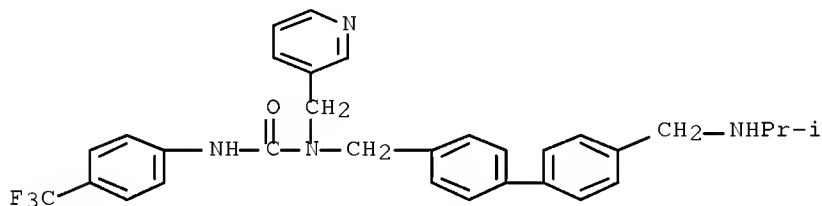
N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2)
(CA INDEX NAME)



●2 HCl

RN 443340-83-8 HCAPLUS

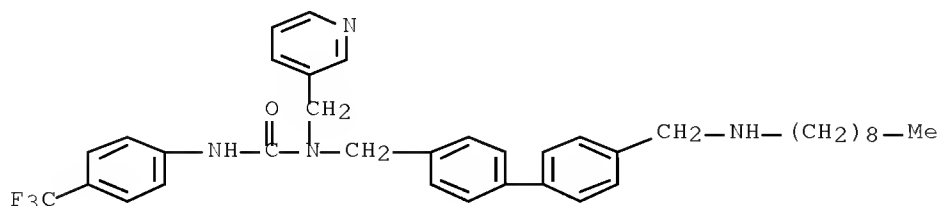
CN Urea, N-[[4'-[[[(1-methylethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2)
(CA INDEX NAME)



●2 HCl

RN 443340-84-9 HCAPLUS

CN Urea, N-[[4'-[(nonylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

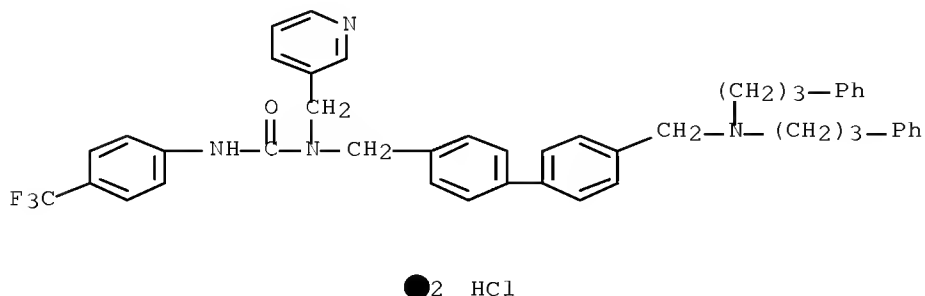


●2 HCl

10/569,873

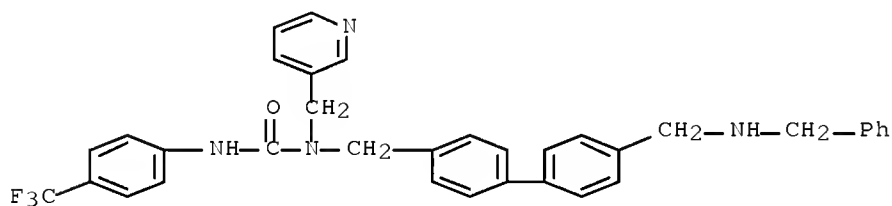
RN 443340-85-0 HCAPLUS

CN Urea, N-[[4'-[[bis(3-phenylpropyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



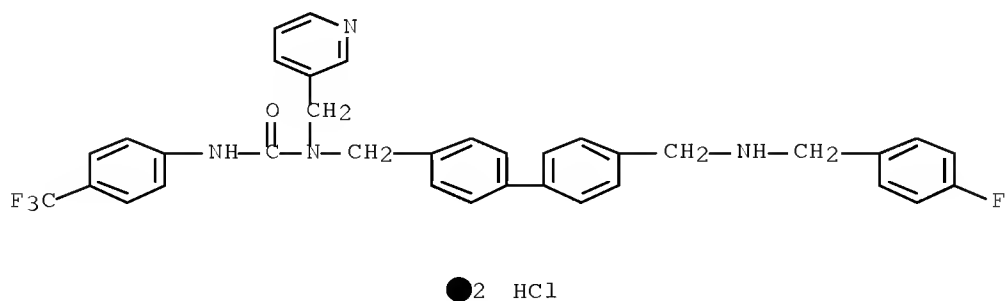
RN 443340-86-1 HCAPLUS

CN Urea, N-[[4'-[[bis(phenylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443340-87-2 HCAPLUS

CN Urea, N-[[4'-[[bis(4-fluorophenyl)methyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

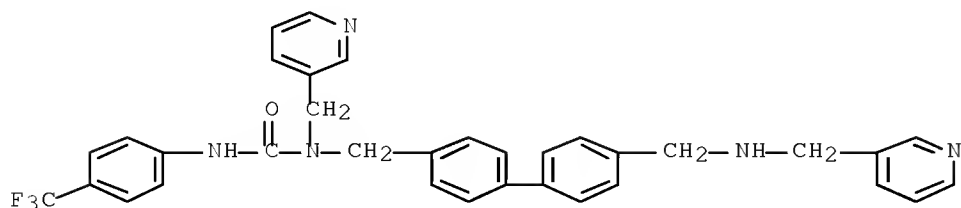


RN 443340-88-3 HCAPLUS

CN Urea, N-(3-pyridinylmethyl)-N-[[4'-[[bis(3-pyridinylmethyl)amino]methyl][1,1'-

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biphenyl]-4-yl)methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride
(1:3) (CA INDEX NAME)

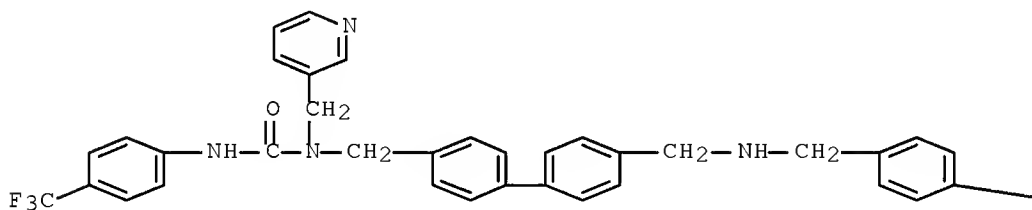


●3 HCl

RN 443340-89-4 HCAPLUS

CN Urea, N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-N-[[4'-[[[4-(trifluoromethyl)phenyl)methyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 1-A



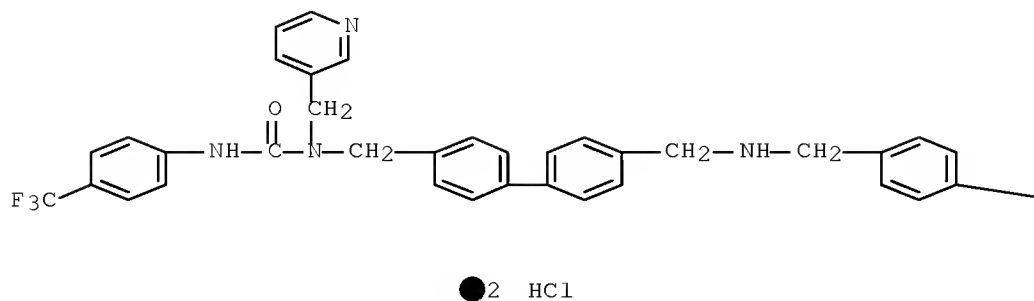
●2 HCl

PAGE 1-B

—CF₃

RN 443340-90-7 HCAPLUS

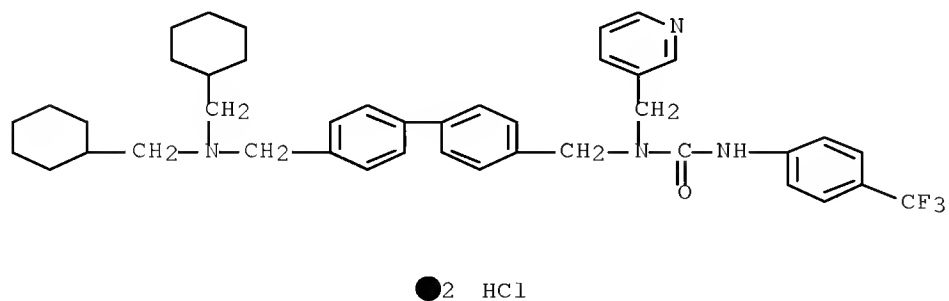
CN Urea, N-[[4'-[[[4-methoxyphenyl)methyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



— OMe

RN 443340-91-8 HCAPLUS

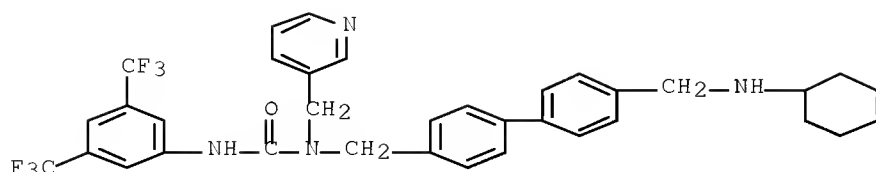
CN Urea, N-[[4'-[[bis(cyclohexylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



RN 443340-97-4 HCAPLUS

CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

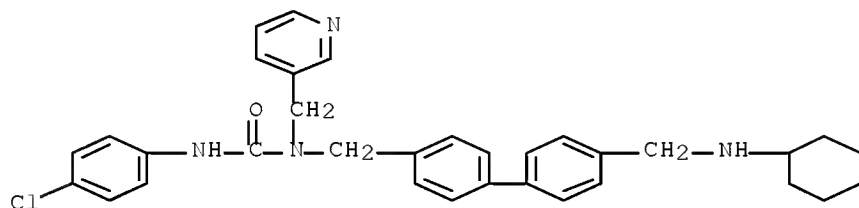
10/569,873



● 2 HCl

RN 443341-06-8 HCAPLUS

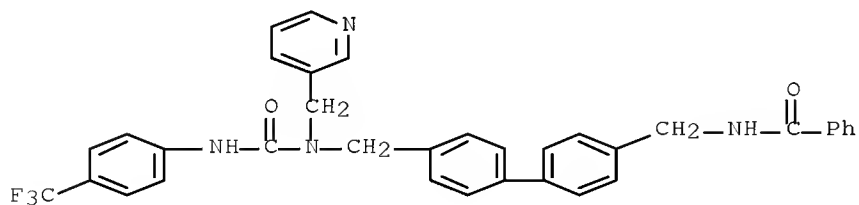
CN Urea, N'-(4-chlorophenyl)-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

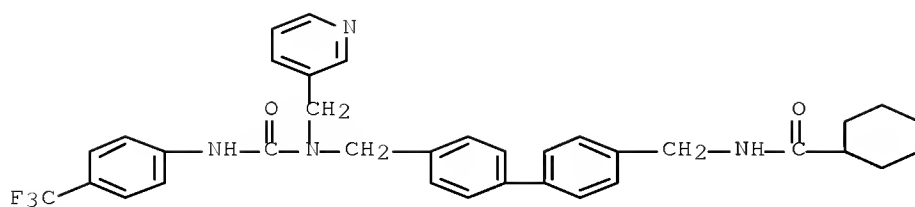
RN 443341-26-2 HCAPLUS

CN Benzamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



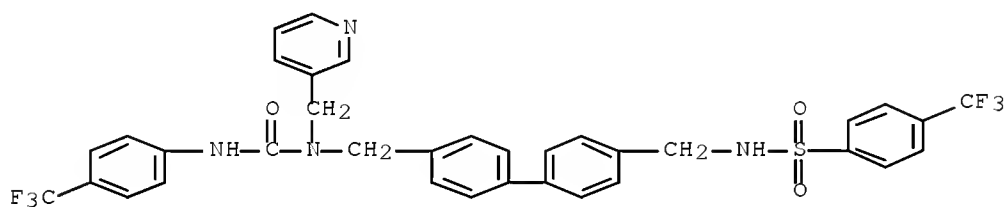
RN 443341-27-3 HCAPLUS

CN Cyclohexanecarboxamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



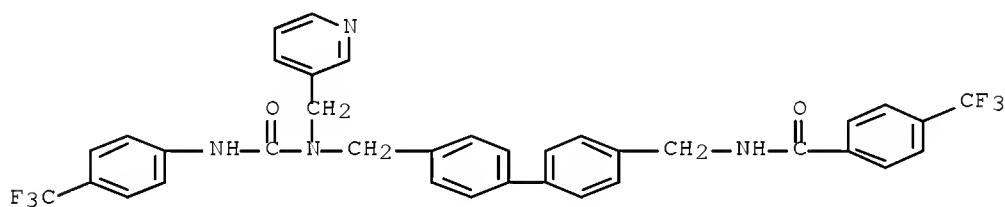
RN 443341-28-4 HCAPLUS

CN Benzenesulfonamide, N-[[4'-[[[(3-pyridinylmethyl) [[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl] [1,1'-biphenyl]-4-yl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)



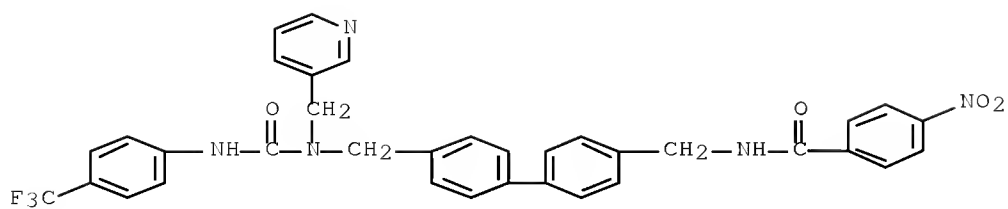
RN 443341-29-5 HCAPLUS

CN Benzamide, N-[[4'-[[[(3-pyridinylmethyl) [[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl] [1,1'-biphenyl]-4-yl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)



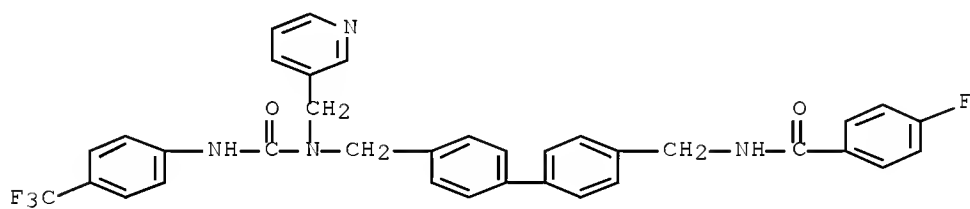
RN 443341-30-8 HCAPLUS

CN Benzamide, 4-nitro-N-[[4'-[[[(3-pyridinylmethyl) [[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl] [1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



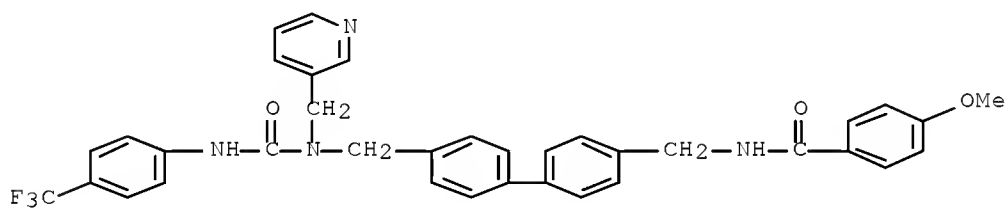
RN 443341-31-9 HCAPLUS

CN Benzamide, 4-fluoro-N-[[4'-[[[(3-pyridinylmethyl) [[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



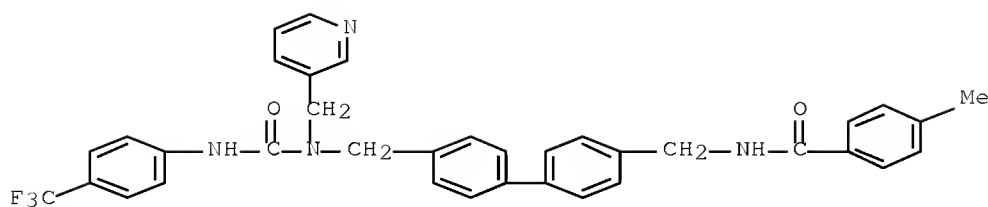
RN 443341-32-0 HCAPLUS

CN Benzamide, 4-methoxy-N-[[4'-[[[(3-pyridinylmethyl) [[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



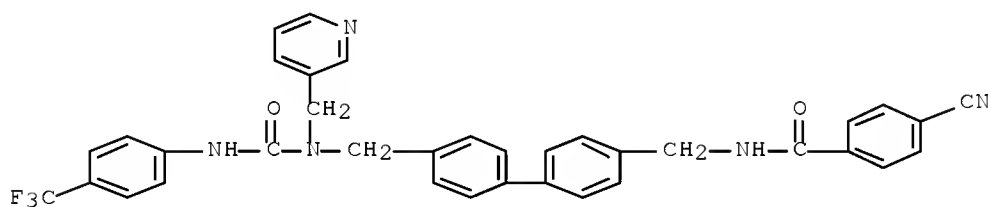
RN 443341-33-1 HCAPLUS

CN Benzamide, 4-methyl-N-[[4'-[[[(3-pyridinylmethyl) [[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



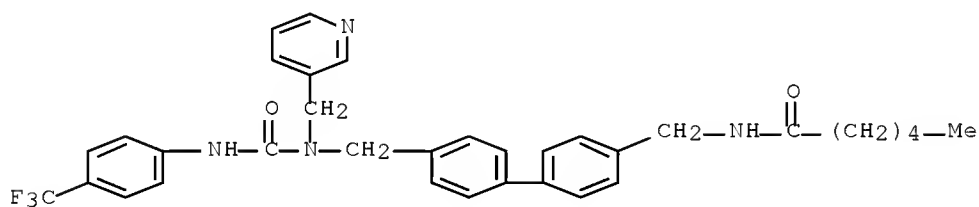
RN 443341-34-2 HCAPLUS

CN Benzamide, 4-cyano-N-[[4'-[[[(3-pyridinylmethyl)]]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



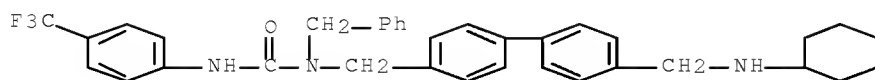
RN 443341-35-3 HCAPLUS

CN Hexanamide, N-[[4'-[[[(3-pyridinylmethyl)]]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 443341-36-4 HCAPLUS

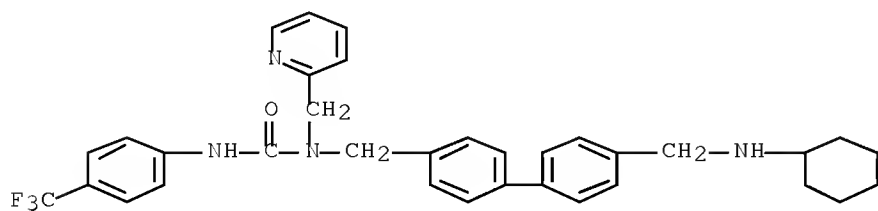
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(phenylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443341-40-0 HCAPLUS

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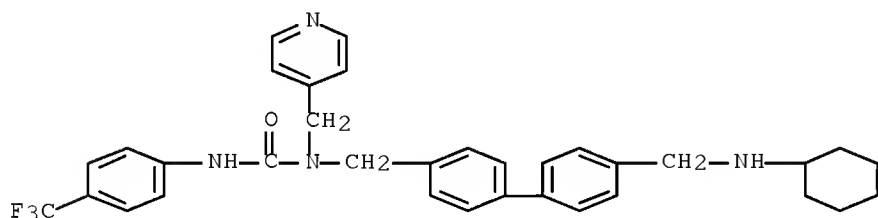
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 443341-42-2 HCAPLUS

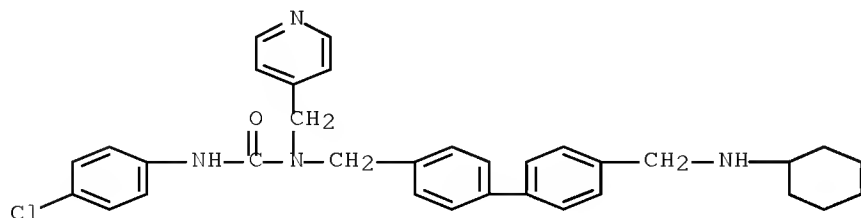
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(4-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 443341-44-4 HCAPLUS

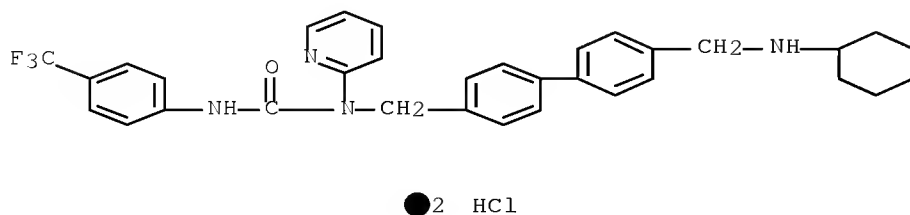
CN Urea, N'-(4-chlorophenyl)-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(4-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



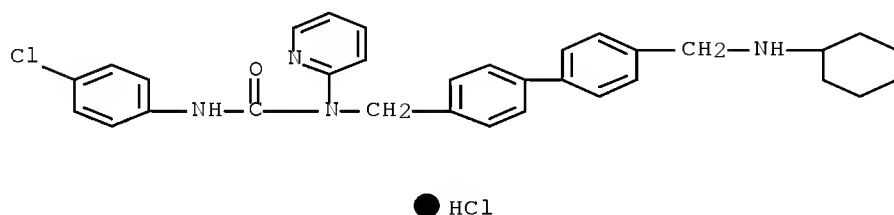
●2 HCl

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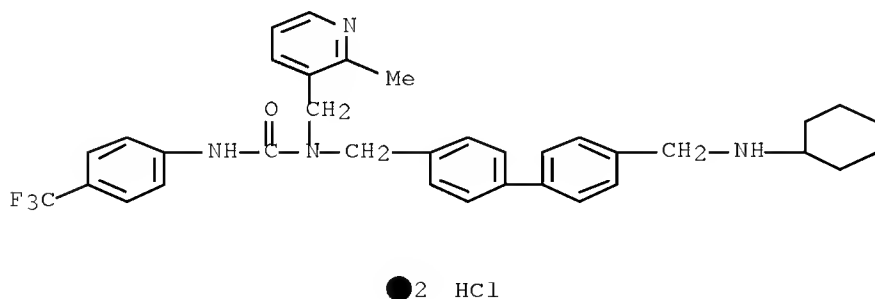
RN 443341-45-5 HCAPLUS
 CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-2-pyridinyl-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



RN 443341-47-7 HCAPLUS
 CN Urea, N'-(4-chlorophenyl)-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-2-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)

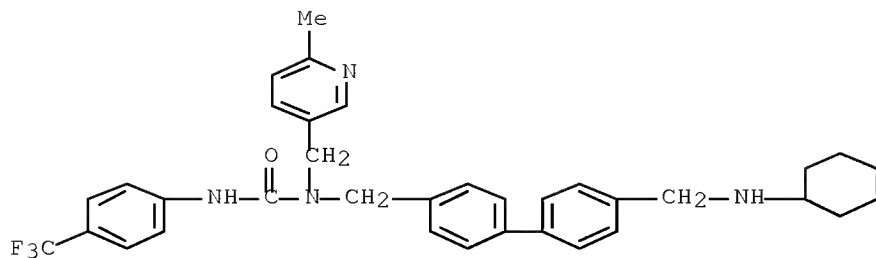


RN 443341-48-8 HCAPLUS
 CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-[(2-methyl-3-pyridinyl)methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



RN 443341-49-9 HCAPLUS
 CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-[(6-methyl-3-pyridinyl)methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

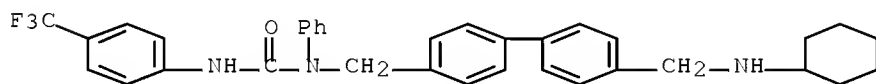
10/569,873



● 2 HCl

RN 443341-51-3 HCAPLUS

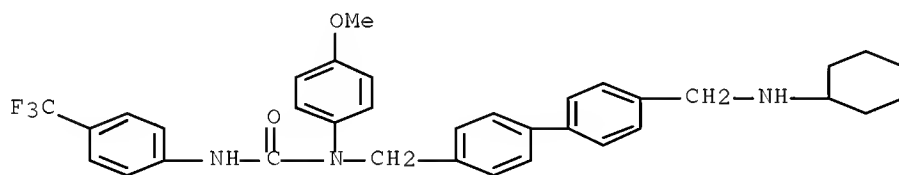
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-phenyl-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 443341-53-5 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(4-methoxyphenyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

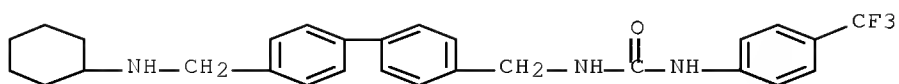


● HCl

RN 443341-55-7 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

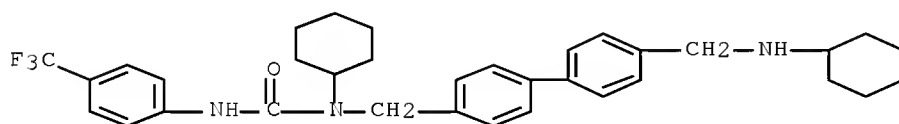
10/569,873



● HCl

RN 443341-57-9 HCAPLUS

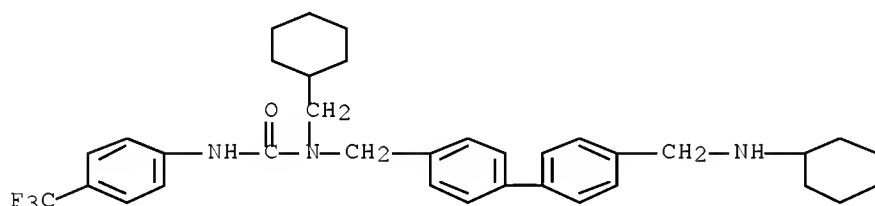
CN Urea, N-cyclohexyl-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 443341-59-1 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(cyclohexylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

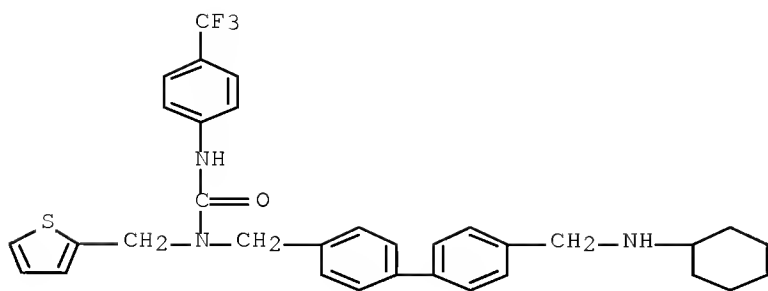


● HCl

RN 443341-61-5 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-thienylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

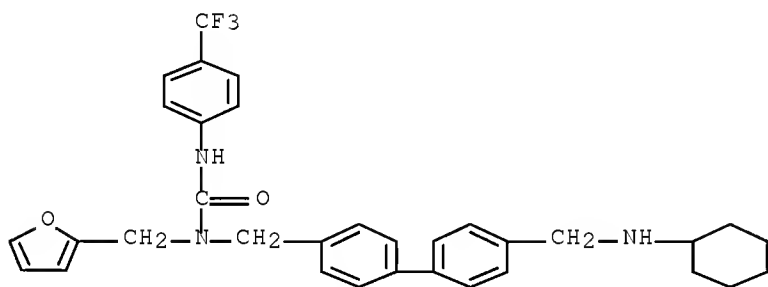
10/569,873



● HCl

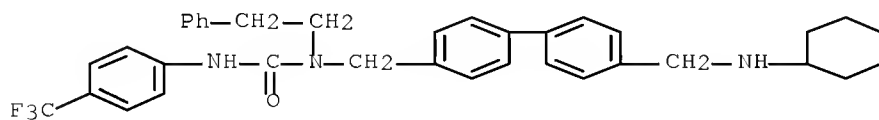
RN 443341-63-7 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-furanylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443341-65-9 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-phenylethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

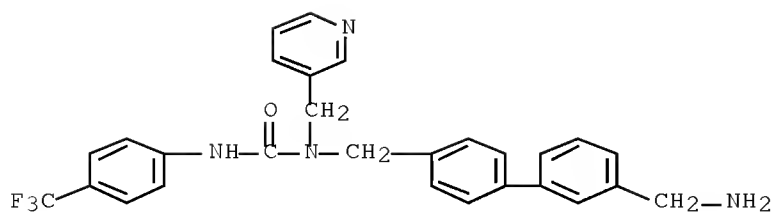


● HCl

RN 443341-68-2 HCAPLUS

CN Urea, N-[[3'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

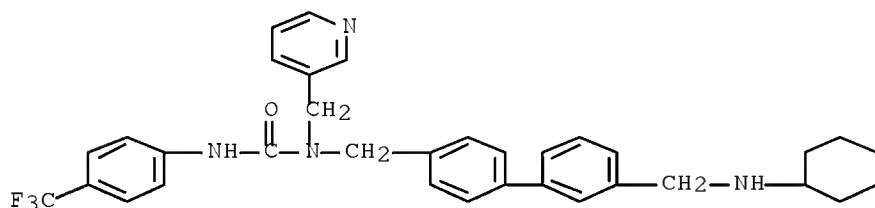
10/569,873



● 2 HCl

RN 443341-69-3 HCAPLUS

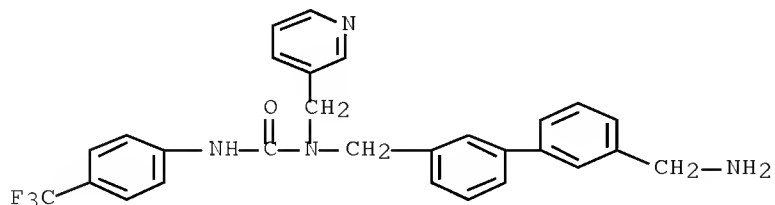
CN Urea, N-[[3'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443341-72-8 HCAPLUS

CN Urea, N-[[3'-(aminomethyl)[1,1'-biphenyl]-3-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

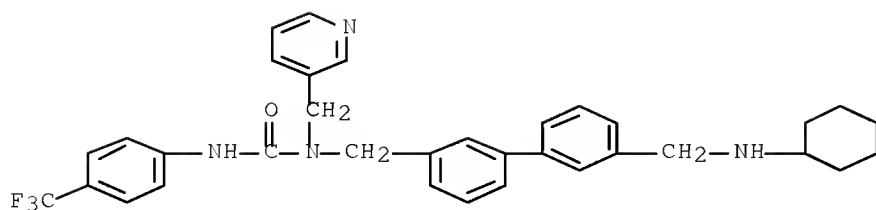


● 2 HCl

RN 443341-73-9 HCAPLUS

CN Urea, N-[[3'-[(cyclohexylamino)methyl][1,1'-biphenyl]-3-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

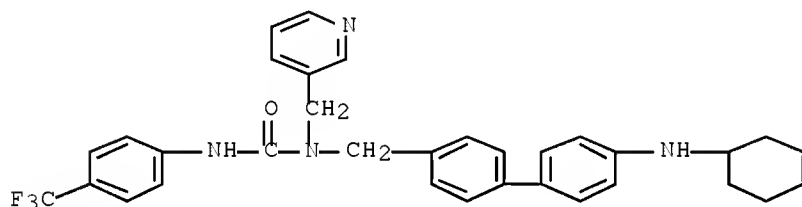
10/569,873



●2 HCl

RN 443341-78-4 HCAPLUS

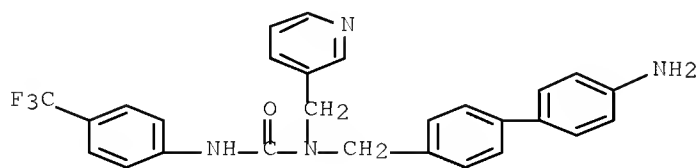
CN Urea, N-[[4'-(cyclohexylamino)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 443341-79-5 HCAPLUS

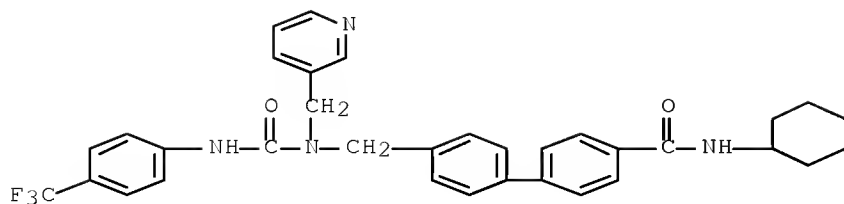
CN Urea, N-[(4'-amino[1,1'-biphenyl]-4-yl)methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

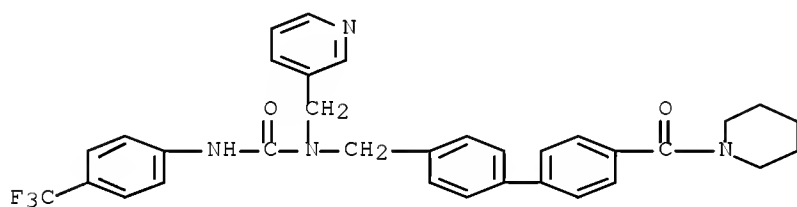
RN 443342-57-2 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-cyclohexyl-4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



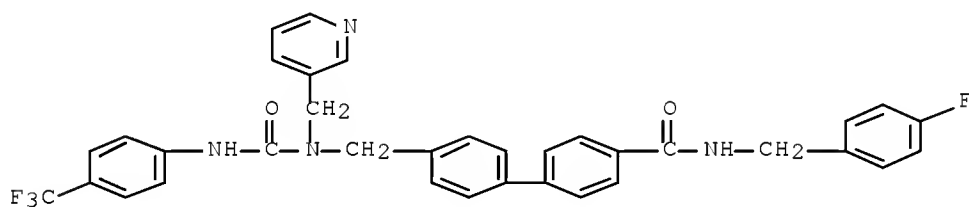
RN 443342-58-3 HCAPLUS

CN Urea, N-[[4'-(1-piperidinylcarbonyl)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443342-59-4 HCAPLUS

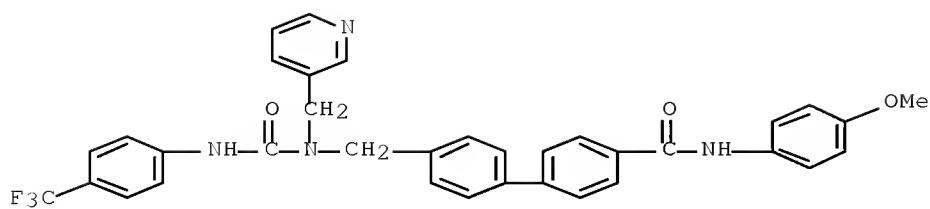
CN [1,1'-Biphenyl]-4-carboxamide, N-[(4-fluorophenyl)methyl]-4'--[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 443342-60-7 HCAPLUS

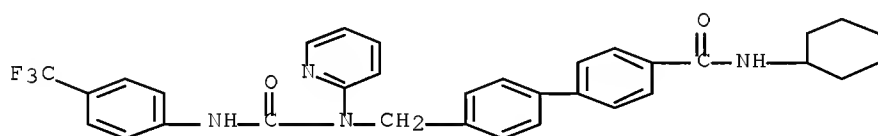
CN [1,1'-Biphenyl]-4-carboxamide, N-(4-methoxyphenyl)-4'--[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)

10/569,873



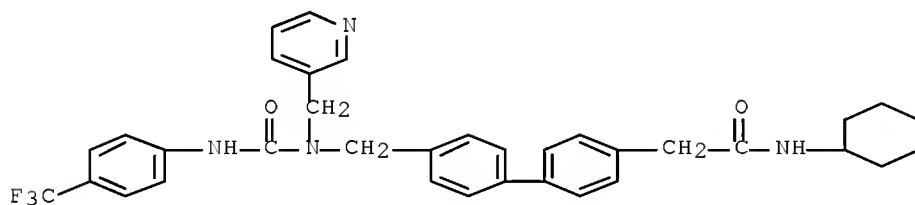
RN 443342-63-0 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-cyclohexyl-4'--[[2-pyridinyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



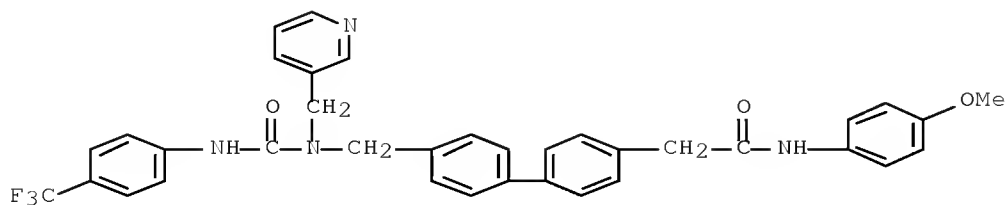
RN 443342-64-1 HCAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-cyclohexyl-4'--[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 443342-65-2 HCAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(4-methoxyphenyl)-4'--[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



10/569,873

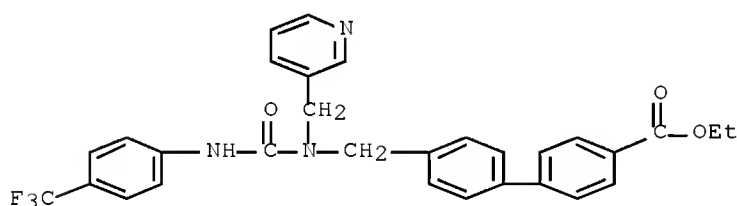
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|----|--------------|--------------|--------------|
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| | 443344-04-5P | 443344-06-7P | 443344-35-2P |
| | 443344-36-3P | 443344-37-4P | 443344-38-5P |
| | 443344-39-6P | 443344-40-9P | 443344-41-0P |
| | 443344-42-1P | 443344-43-2P | 443344-44-3P |
| | 443344-45-4P | 443344-46-5P | 443344-47-6P |
| | 443344-48-7P | 443344-49-8P | 443344-50-1P |
| | 443344-51-2P | 443344-52-3P | 443344-62-5P |
| | 443344-63-6P | 443344-72-7P | 443344-73-8P |
| | 443344-76-1P | 443344-97-6P | 443344-99-8P |
| | 443345-01-5P | 443345-03-7P | 443345-04-8P |
| | 443345-06-0P | 443345-07-1P | 443345-08-2P |
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| | 443345-16-2P | 443345-18-4P | 443345-20-8P |
| | 443345-22-0P | 443345-24-2P | 443345-27-5P |
| | 443345-29-7P | 443345-30-0P | 443345-33-3P |
| | 443345-34-4P | 443345-39-9P | 443345-40-2P |

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

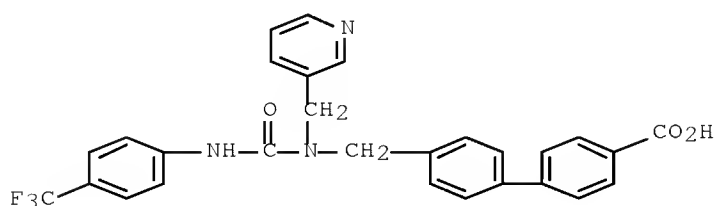
RN 443343-94-0 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,
4'--[[(3-pyridinylmethyl) [[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, ethyl ester (CA INDEX NAME)



RN 443343-95-1 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,
4'--[[(3-pyridinylmethyl) [[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)

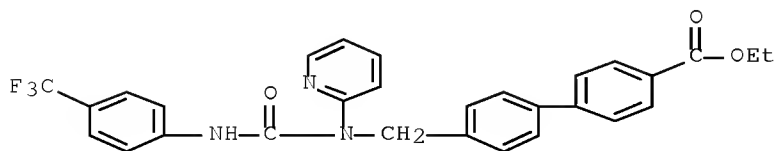


RN 443344-03-4 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,
4'--[[(2-pyridinyl) [[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-

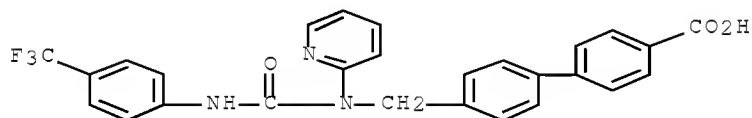
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, ethyl ester (CA INDEX NAME)



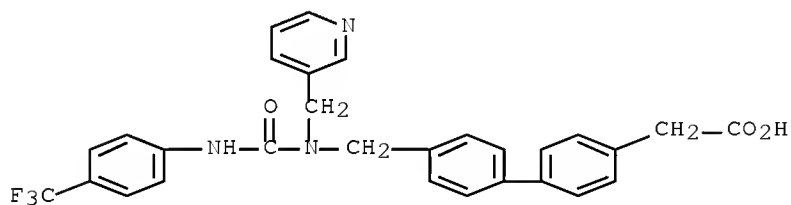
RN 443344-04-5 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,
4'-[[2-pyridinyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-
(CA INDEX NAME)



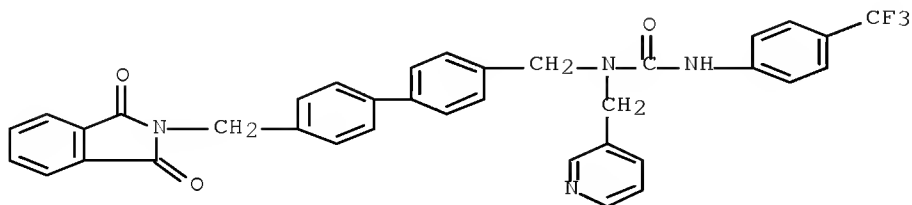
RN 443344-06-7 HCAPLUS

CN [1,1'-Biphenyl]-4-acetic acid, 4'-[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



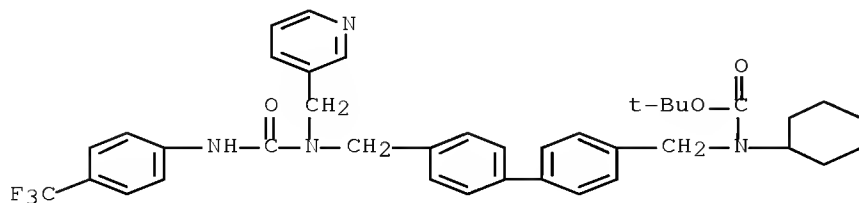
RN 443344-35-2 HCAPLUS

CN Urea, N-[[4'-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



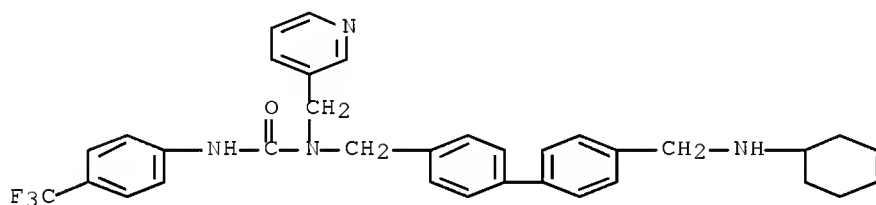
RN 443344-36-3 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



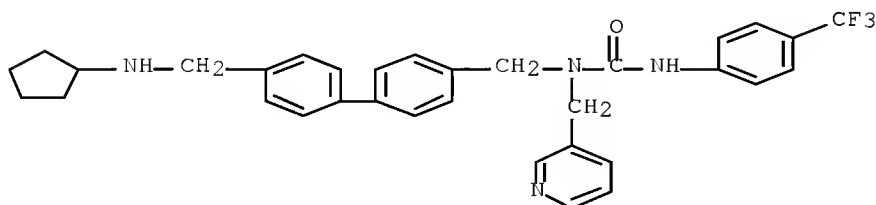
RN 443344-37-4 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443344-38-5 HCAPLUS

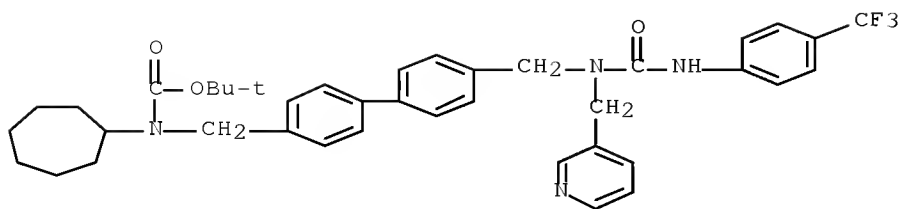
CN Urea, N-[[4'-[(cyclopentylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443344-39-6 HCAPLUS

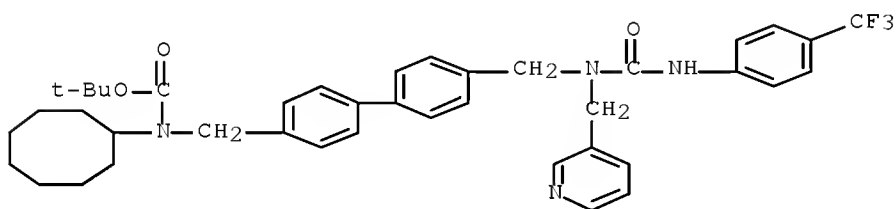
CN Carbamic acid, cycloheptyl[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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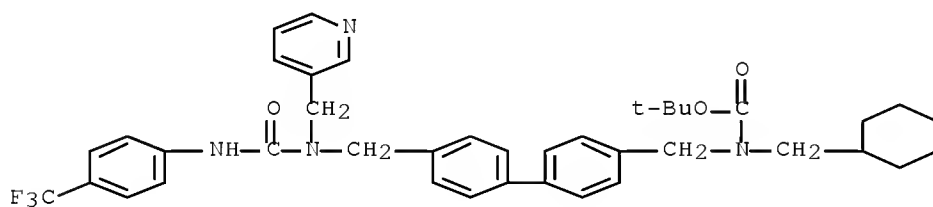
RN 443344-40-9 HCAPLUS

CN Carbamic acid, cyclooctyl[[4'-[[[(3-pyridinylmethyl)][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



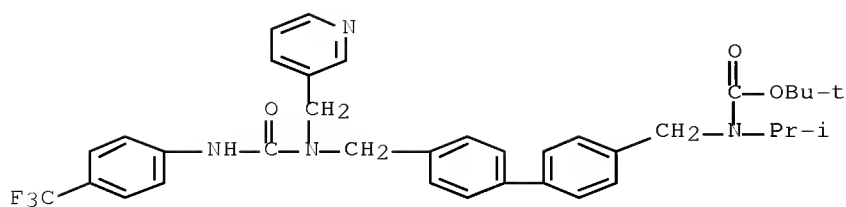
RN 443344-41-0 HCAPLUS

CN Carbamic acid, (cyclohexylmethyl)[[4'-[[[(3-pyridinylmethyl)][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



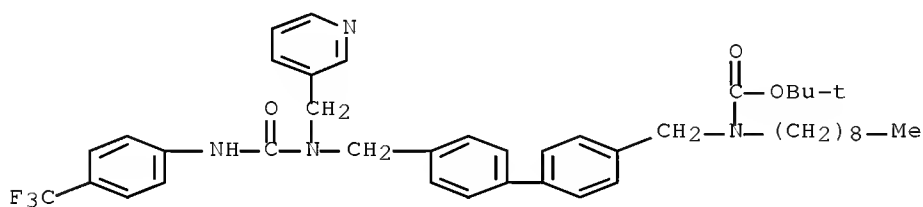
RN 443344-42-1 HCAPLUS

CN Carbamic acid, (1-methylethyl)[[4'-[[[(3-pyridinylmethyl)][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



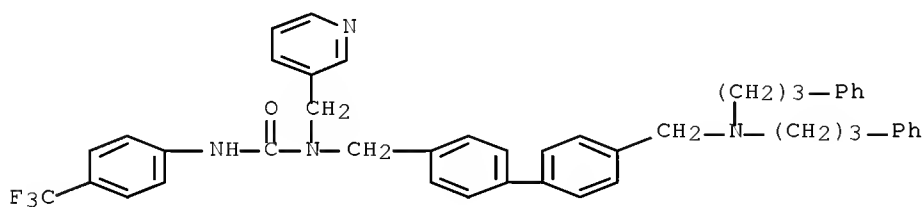
RN 443344-43-2 HCAPLUS

CN Carbamic acid, nonyl[[4'-[[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



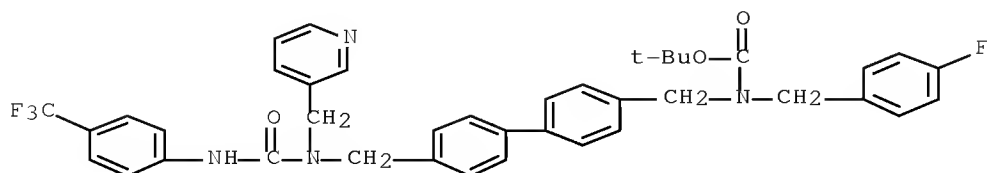
RN 443344-44-3 HCAPLUS

CN Urea, N-[[4'-[[bis(3-phenylpropyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



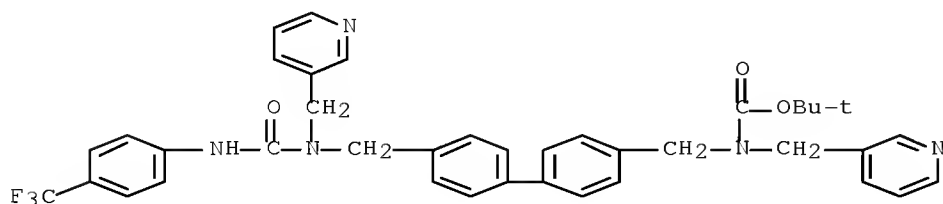
RN 443344-45-4 HCAPLUS

CN Carbamic acid, [(4-fluorophenyl)methyl][[4'-[[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



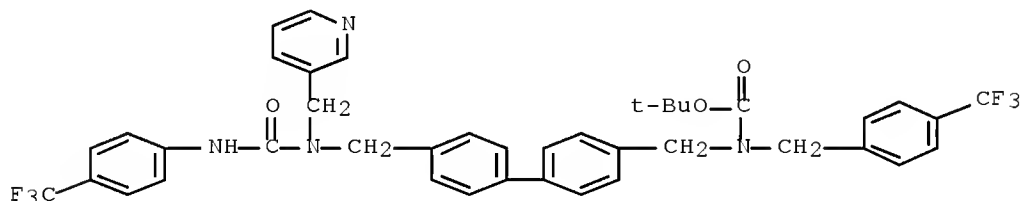
RN 443344-46-5 HCAPLUS

CN Carbamic acid, (3-pyridinylmethyl)[[4'-[[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



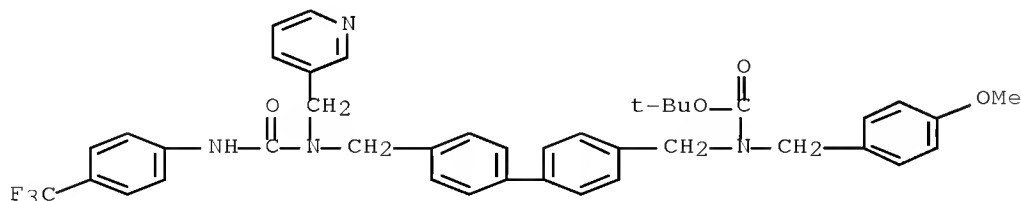
RN 443344-47-6 HCAPLUS

CN Carbamic acid, [[4'-[[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl][[4-(trifluoromethyl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443344-48-7 HCAPLUS

CN Carbamic acid, [(4-methoxyphenyl)methyl][[4'-[[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

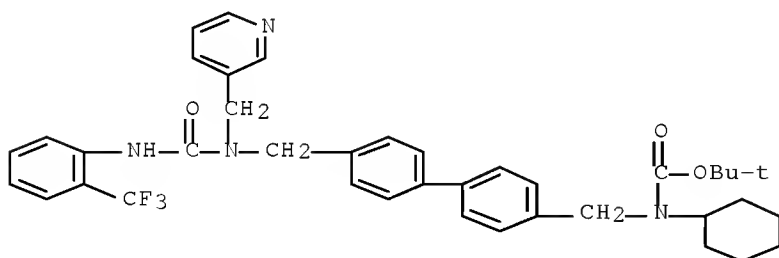


RN 443344-49-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[3-pyridinylmethyl][[2-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-

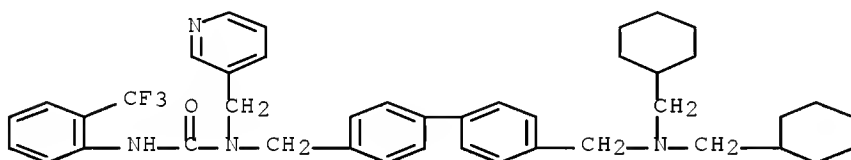
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yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



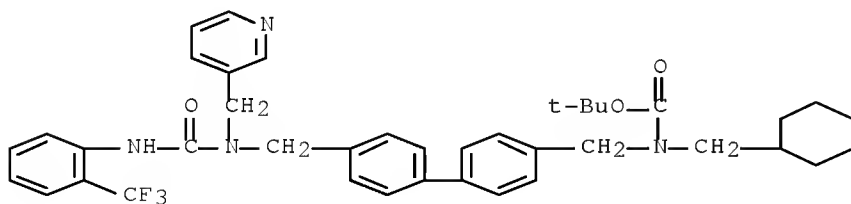
RN 443344-50-1 HCAPLUS

CN Urea, N-[[4'-[[bis(cyclohexylmethyl)amino]methyl][1,1'-biphenyl]-4-yl)methyl]-N-(3-pyridinylmethyl)-N'-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



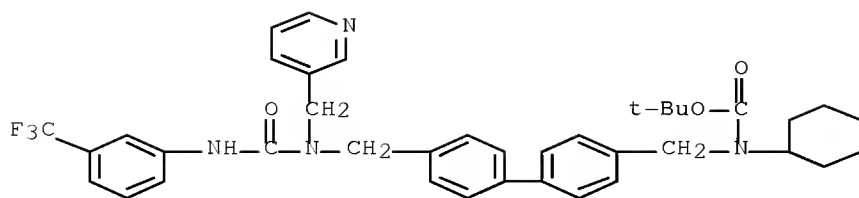
RN 443344-51-2 HCAPLUS

CN Carbamic acid, (cyclohexylmethyl)[[4'-[[[3-pyridinylmethyl][[2-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



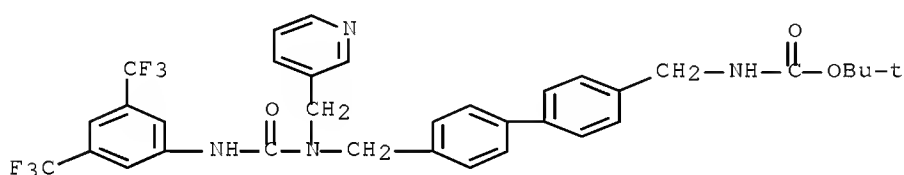
RN 443344-52-3 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[3-pyridinylmethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



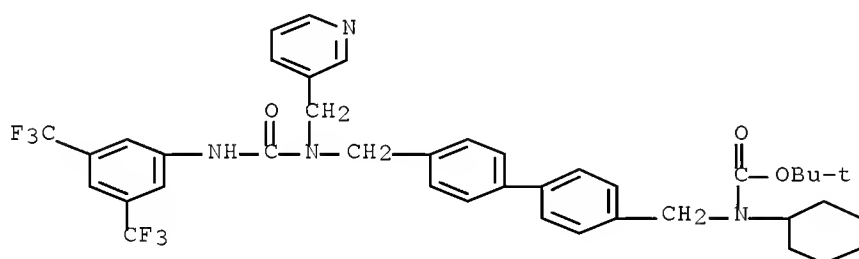
RN 443344-62-5 HCAPLUS

CN Carbamic acid, [[4'-[[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



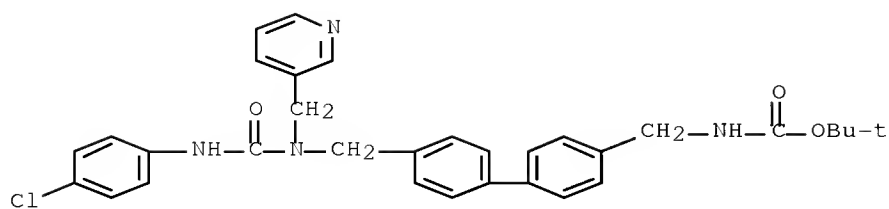
RN 443344-63-6 HCAPLUS

CN Carbamic acid, [[4'-[[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



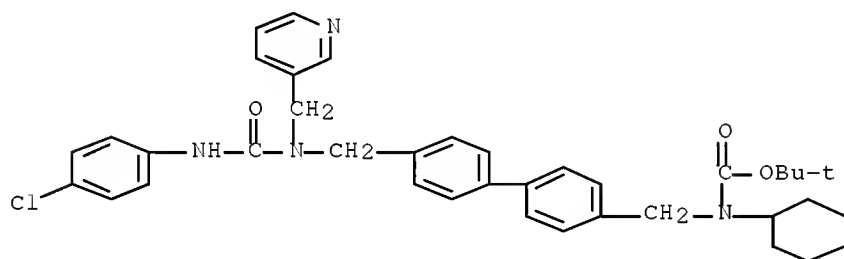
RN 443344-72-7 HCAPLUS

CN Carbamic acid, [[4'-[[[[[4-chlorophenyl]amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



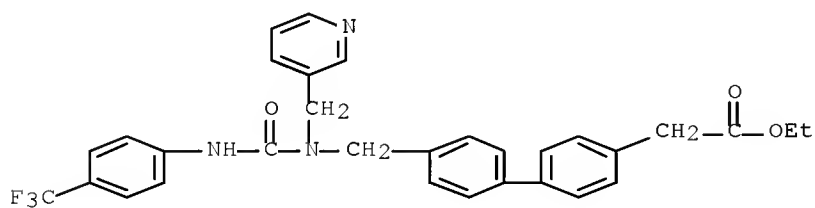
RN 443344-73-8 HCAPLUS

CN Carbamic acid, [[4'-[[[(4-chlorophenyl)amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443344-76-1 HCAPLUS

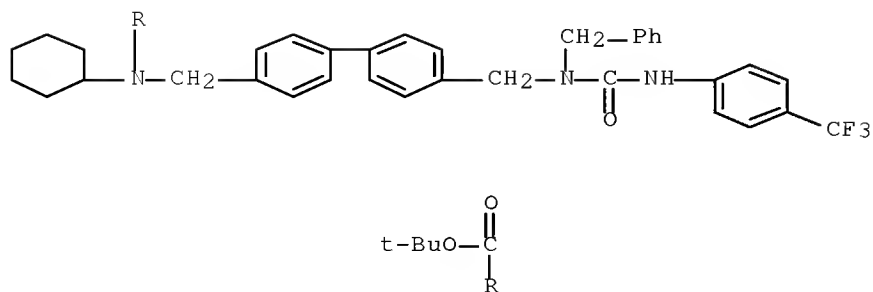
CN [1,1'-Biphenyl]-4-acetic acid, 4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, ethyl ester (CA INDEX NAME)



RN 443344-97-6 HCAPLUS

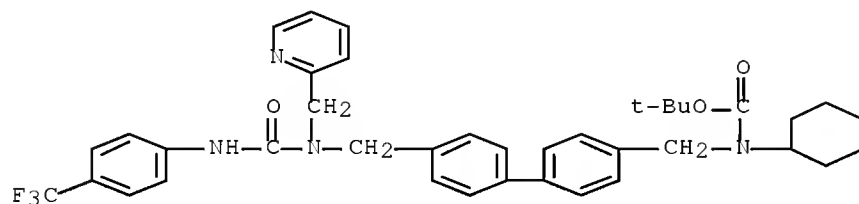
CN Carbamic acid, cyclohexyl[[4'-[[(phenylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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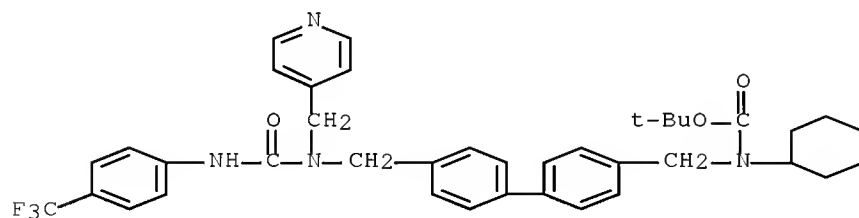
RN 443344-99-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(2-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



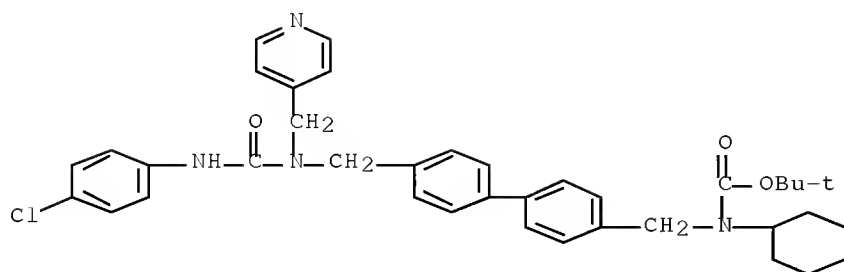
RN 443345-01-5 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(4-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



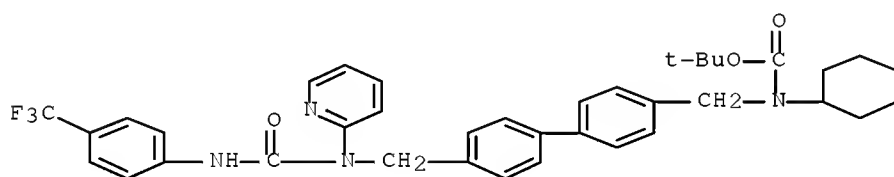
RN 443345-03-7 HCAPLUS

CN Carbamic acid, [[4'-[[[[[(4-chlorophenyl)amino]carbonyl](4-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



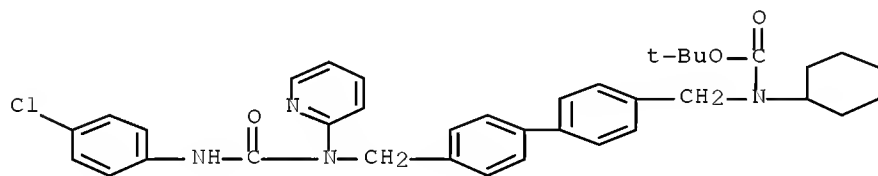
RN 443345-04-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[2-pyridinyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-06-0 HCAPLUS

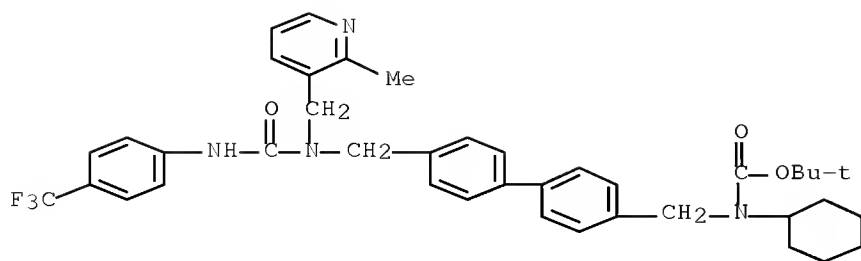
CN Carbamic acid, [[4'-[[[4-(chlorophenyl)amino]carbonyl]-2-pyridinylamino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-07-1 HCAPLUS

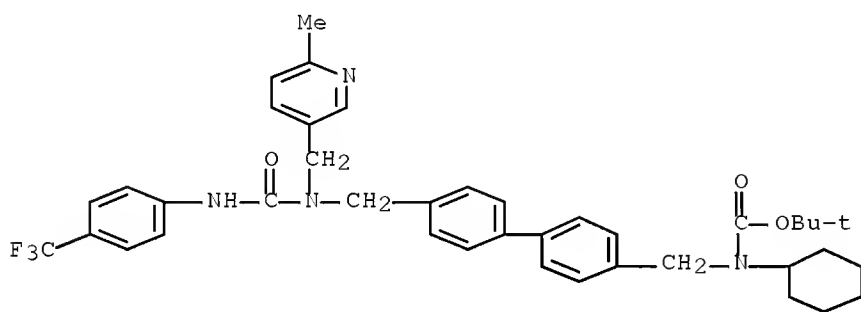
CN Carbamic acid, cyclohexyl[[4'-[[[2-methyl-3-pyridinyl]methyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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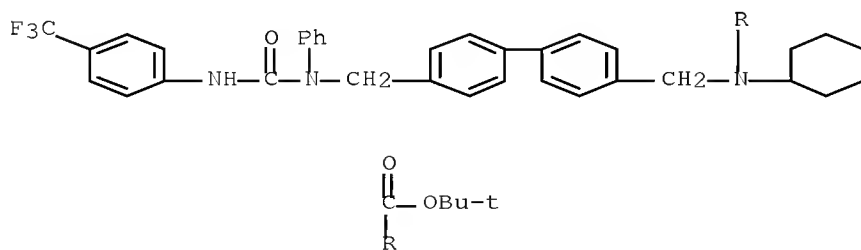
RN 443345-08-2 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(6-methyl-3-pyridinyl)methyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-10-6 HCAPLUS

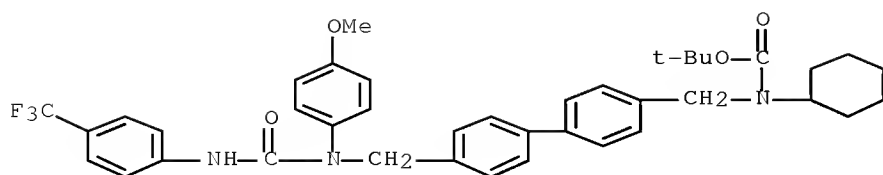
CN Carbamic acid, cyclohexyl[[4'-[[phenyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-12-8 HCAPLUS

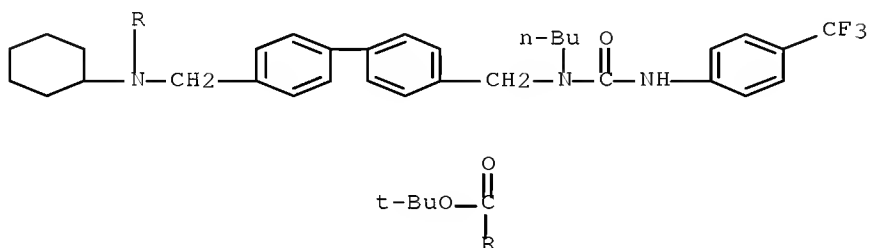
CN Carbamic acid, cyclohexyl[[4'-[[[4-methoxyphenyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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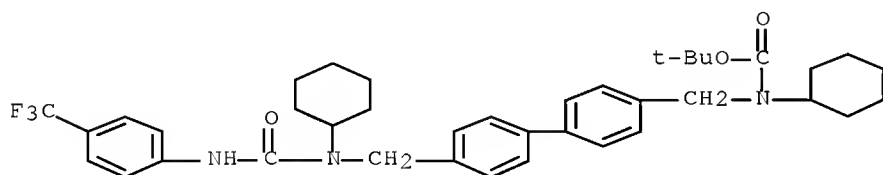
RN 443345-14-0 HCAPLUS

CN Carbamic acid, [[4'-[[butyl[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



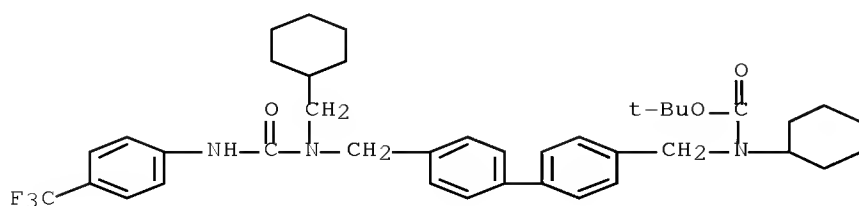
RN 443345-16-2 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[cyclohexyl[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



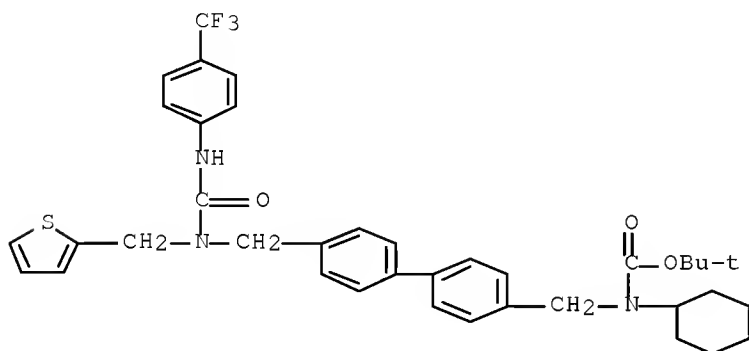
RN 443345-18-4 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[cyclohexylmethyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



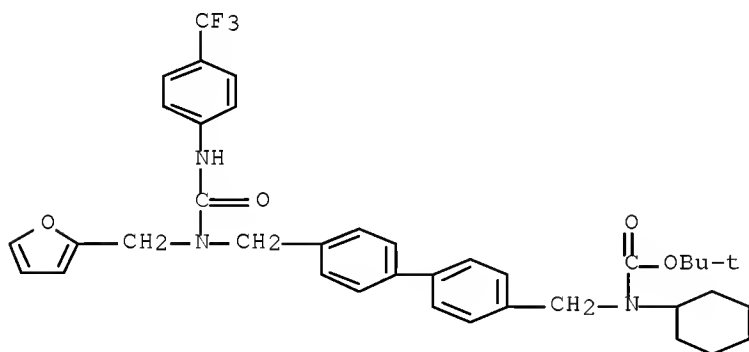
RN 443345-20-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(2-thienylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-22-0 HCAPLUS

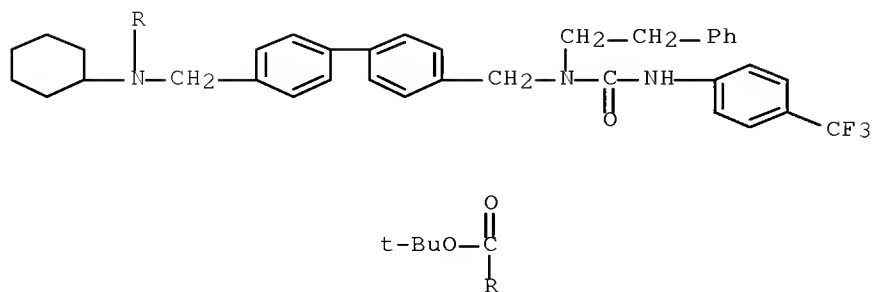
CN Carbamic acid, cyclohexyl[[4'-[[[(2-furanylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-24-2 HCAPLUS

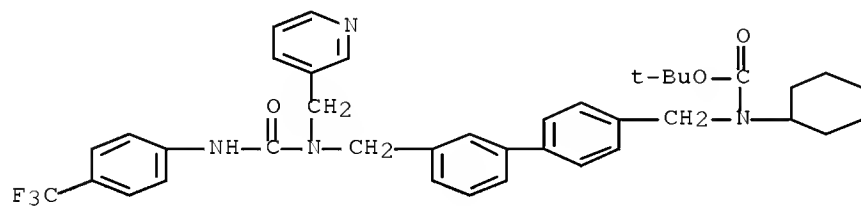
CN Carbamic acid, cyclohexyl[[4'-[[[(2-phenylethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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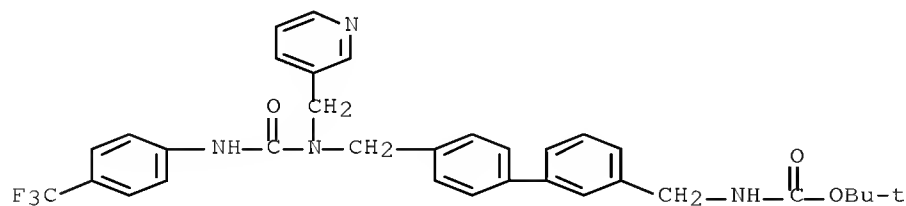
RN 443345-27-5 HCAPLUS

CN Carbamic acid, cyclohexyl[[3'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



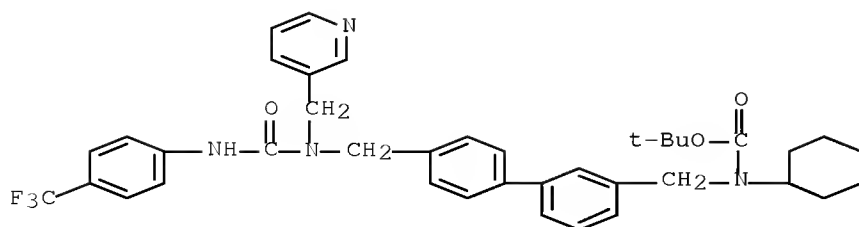
RN 443345-29-7 HCAPLUS

CN Carbamic acid, [[4'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



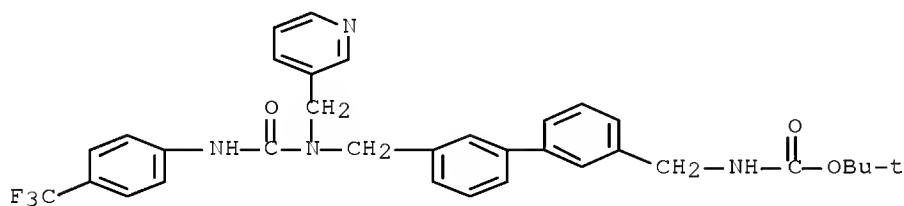
RN 443345-30-0 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



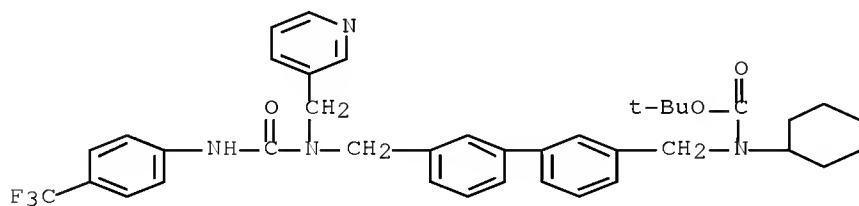
RN 443345-33-3 HCAPLUS

CN Carbamic acid, [[3'-[[[(3-pyridinylmethyl)][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



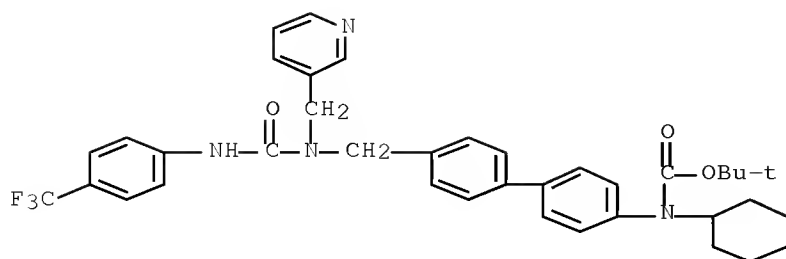
RN 443345-34-4 HCAPLUS

CN Carbamic acid, cyclohexyl[[3'-[[[(3-pyridinylmethyl)][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



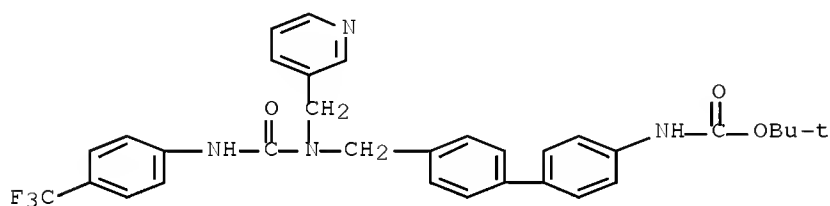
RN 443345-39-9 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-40-2 HCAPLUS

CN Carbamic acid, [4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 24 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:533181 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 137:88464

TITLE: Urea derivatives as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia

INVENTOR(S): Namiki, Takayuki; Kishii, Kaneichi; Mitani, Masaki; Tamai, Masashi; Hiyama, Naoki; Kimura, Makoto; Ichinomiya, Satoshi

PATENT ASSIGNEE(S): Pola Chemical Industries, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

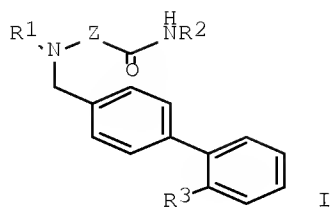
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-------------|-----------------|--------------|
| JP 2002201127 | A | 20020716 | JP 2000-402702 | 20001228 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2000-402702 | 20001228 <-- |
| OTHER SOURCE(S): | MARPAT | 137:88464 | | |
| ED Entered STN: | | 17 Jul 2002 | | |

GI



AB Urea derivs. (I; R1 = C5-7; R2 = (substituted)aromatic hydrocarbon radical or cycloalkyl; R3 = tetrazolyl, -NHSO₂CF₃; Z = single bond or -SO₂NH-) are claimed as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension, hyperlipidemia, and arteriosclerosis. Examples of I granules were formulated.

IC ICM A61K031-41

ICS A61P003-06; A61P009-10; A61P009-12; C07D257-04

CC 1-10 (Pharmacology)

Section cross-reference(s): 28, 63

IT 439904-54-8P 439904-55-9P 439904-56-0P
439904-57-1P 439904-58-2P 439904-60-6P
 439904-65-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(urea derivs. as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia)

IT 439904-67-3P 439904-68-4P 439904-69-5P 439904-72-0P
439904-73-1P 439904-74-2P 439904-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(urea derivs. as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia)

IT 439904-55-9P 439904-56-0P 439904-57-1P
439904-60-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

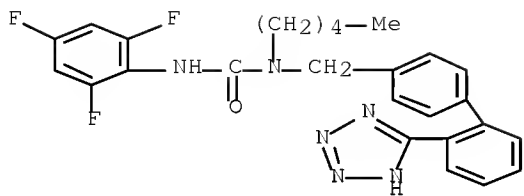
(Preparation); USES (Uses)

(urea derivs. as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia)

RN 439904-55-9 HCAPLUS

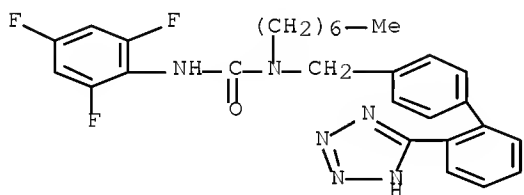
CN Urea, N-pentyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

10/569,873



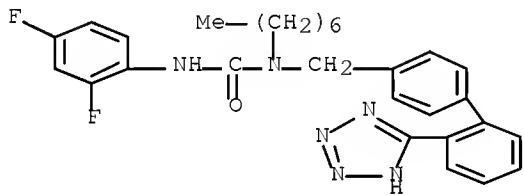
RN 439904-56-0 HCAPLUS

CN Urea, N-heptyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



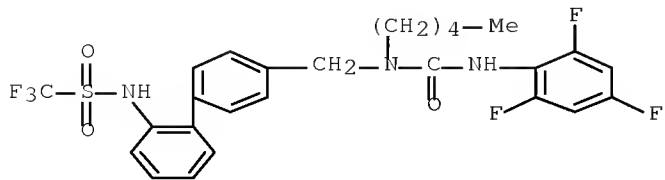
RN 439904-57-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-heptyl-N-[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl)- (CA INDEX NAME)

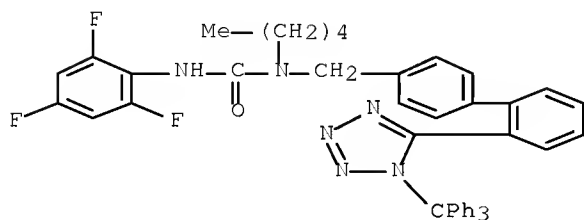


RN 439904-60-6 HCAPLUS

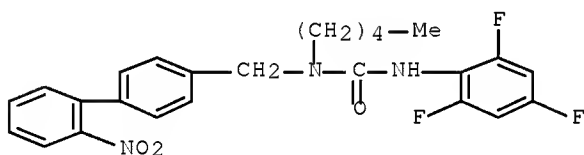
CN Methanesulfonamide, 1,1,1-trifluoro-N-[4'-[[pentyl][(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl)- (CA INDEX NAME)



IT 439904-68-4P 439904-74-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (urea derivs. as angiotensin II receptor antagonists and acyl Co A
 cholesterol acyltransferase inhibitors for treatment of hypertension
 and hyperlipidemia)
 RN 439904-68-4 HCAPLUS
 CN Urea, N-pentyl-N'-(2,4,6-trifluorophenyl)-N-[[2'-[1-(triphenylmethyl)-1H-
 tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 439904-74-2 HCAPLUS
 CN Urea, N-[[2'-nitro[1,1'-biphenyl]-4-yl]methyl]-N-pentyl-N'-(2,4,6-
 trifluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)

L125 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2002:504751 HCAPLUS Full-text
 DOCUMENT NUMBER: 137:78959
 TITLE: Preparation of biphenyl derivatives as
 acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors
 INVENTOR(S): Namiki, Takayuki; Kishii, Kenichi; Mitani, Masaki;
 Tamai, Masashi; Hiyama, Naoki; Kimura, Makoto;
 Ichinomiya, Satoshi
 PATENT ASSIGNEE(S): Pola Chemical Industries, Inc., Japan
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| WO 2002051799 | A1 | 20020704 | WO 2001-JP10626 | 20011205 <-- |

10/569,873

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2434228 A1 20020704 CA 2001-2434228 20011205 <--
CA 2434228 C 20090804
AU 2002221055 A1 20020708 AU 2002-221055 20011205 <--
EP 1354871 A1 20031022 EP 2001-272246 20011205 <--
EP 1354871 B1 20080220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 4035444 B2 20080123 JP 2002-552896 20011205 <--
AT 386716 T 20080315 AT 2001-272246 20011205 <--
US 20040048909 A1 20040311 US 2003-451408 20030623 <--
US 7531576 B2 20090512

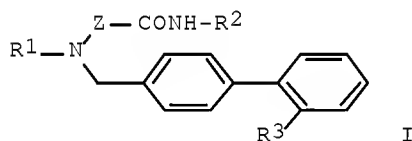
PRIORITY APPLN. INFO.: JP 2000-394372 A 20001226 <--
WO 2001-JP10626 W 20011205 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:78959

ED Entered STN: 05 Jul 2002

GI



AB Biphenyl derivs. represented by the general formula (I) or salts thereof [wherein R1 is C5-7 alkyl; R2 is optionally substituted aromatic hydrocarbonyl or cycloalkyl; R3 is tetrazolyl, NHCOCF3, NHSO2CF3, or SO2NHCONHR4 (wherein R4 is optionally substituted aromatic hydrocarbonyl); and Z is a single bond, C1-4 alkylene, or SO2NH] are prepared Also disclosed are ACAT inhibitors or medicines containing the same as the active ingredient. The derivs. I and the salts have excellent ACAT inhibiting activity and are useful as preventive and/or therapeutic drugs for diseases due to the rise in ACAT activity, in particular hypercholesterolemia and atherosclerosis. Thus, a suspension of N-(chloroacetyl)-2,6-diisopropylaniline 196.3, N-pentyl-N-[[2'-[N-(triphenylmethyl)-1H-tetrazol-5-yl]-1,1'-biphenyl-4-yl]methyl]amine 430.0 mg, KI 70, and 0.6 g Et3N in 3 mL DMF was heated at .apprx.80° with stirring for 3 h to give 33% N-[[[(2,6-diisopropylphenyl)amino]carbonyl]methyl]-N-pentyl-N-[[2'-[N-(triphenylmethyl)-1H-tetrazol-5-yl]-1,1'-biphenyl-4-yl]methyl]amine which (278.3 mg) was dissolved in 10 mL THF, treated with 3.0 mL 10% aqueous HCl, and stirred at room temperature for 19 h to give N-[[[(2,6-diisopropylphenyl)amino]carbonyl]methyl]-N-pentyl-N-[[2'-(1H-tetrazol-5-yl)-1,1'-biphenyl-4-yl]methyl]amine (II) hydrochloride. The free amine II showed pIC50 of 6.57 against ACAT.

IC ICM C07C275-30

ICS C07C311-09; C07C311-60; C07D257-04; A61K031-41; A61K031-17; A61K031-18; A61K031-54; A61K031-166; A61K031-167; A61P043-00;

A61P003-06; A61P009-10

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 25

IT 439904-53-7P 439904-54-8P ~~439904-55-9P~~
~~439904-56-0P~~ ~~439904-57-1P~~ 439904-58-2P
~~439904-59-3P~~ ~~439904-60-6P~~ ~~439904-61-7P~~
 439904-62-8P 439904-63-9P 439904-64-0P 439904-65-1P 439904-81-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase
 (ACAT) inhibitors for prevention and/or treatment of
 hypercholesterolemia and atherosclerosis)

IT 141872-29-9P 142777-65-9P 439904-66-2P 439904-67-3P
~~439904-68-4P~~ 439904-69-5P ~~439904-70-8P~~
~~439904-71-9P~~ 439904-72-0P 439904-73-1P ~~439904-74-2P~~
~~439904-75-3P~~ 439904-76-4P ~~439904-77-5P~~
~~439904-78-6P~~ 439904-79-7P 439904-80-0P 439904-82-2P
 439904-84-4P 439904-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase
 (ACAT) inhibitors for prevention and/or treatment of
 hypercholesterolemia and atherosclerosis)

IT ~~439904-55-9P~~ ~~439904-56-0P~~ ~~439904-57-1P~~
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

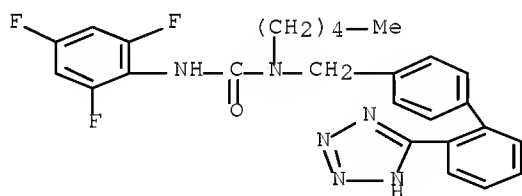
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase
 (ACAT) inhibitors for prevention and/or treatment of
 hypercholesterolemia and atherosclerosis)

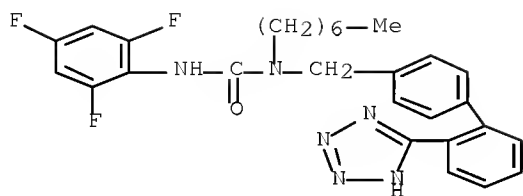
RN 439904-55-9 HCAPLUS

CN Urea, N-pentyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-
 (2,4,6-trifluorophenyl)- (CA INDEX NAME)



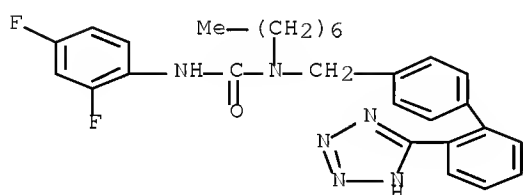
RN 439904-56-0 HCAPLUS

CN Urea, N-heptyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-
 (2,4,6-trifluorophenyl)- (CA INDEX NAME)



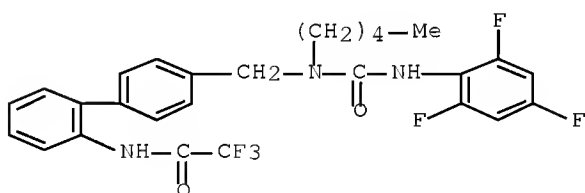
RN 439904-57-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-heptyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



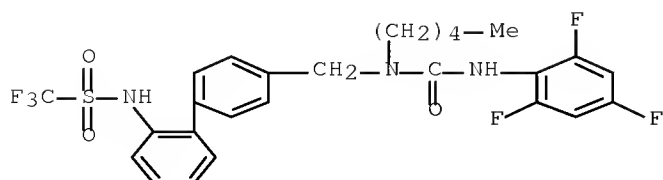
RN 439904-59-3 HCAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[4'-[[pentyl[[2,4,6-trifluorophenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



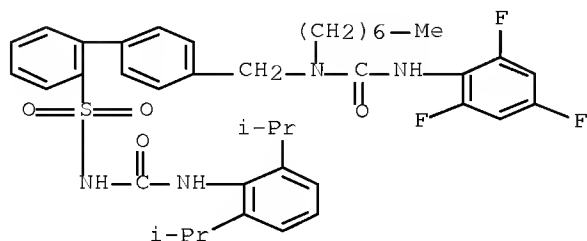
RN 439904-60-6 HCAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[4'-[[pentyl[[2,4,6-trifluorophenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 439904-61-7 HCAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, N-[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]-4'-[[heptyl[(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



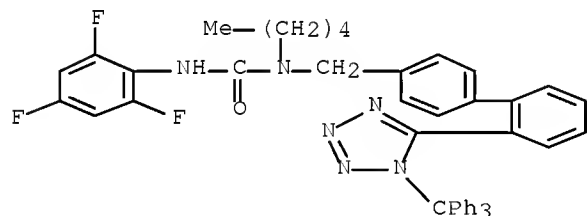
IT 439904-68-4P 439904-70-8P 439904-71-9P
439904-74-2P 439904-75-3P 439904-77-5P
439904-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors for prevention and/or treatment of hypercholesterolemia and atherosclerosis)

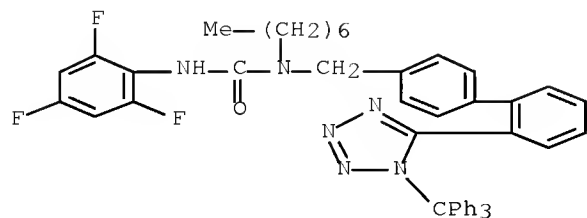
RN 439904-68-4 HCAPLUS

CN Urea, N-pentyl-N'-(2,4,6-trifluorophenyl)-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



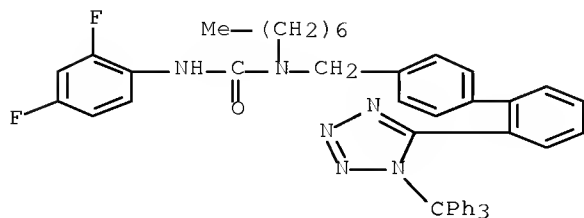
RN 439904-70-8 HCAPLUS

CN Urea, N-heptyl-N'-(2,4,6-trifluorophenyl)-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



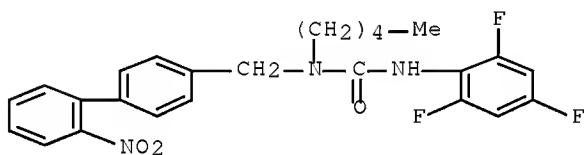
RN 439904-71-9 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-heptyl-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl)methyl]- (CA INDEX NAME)



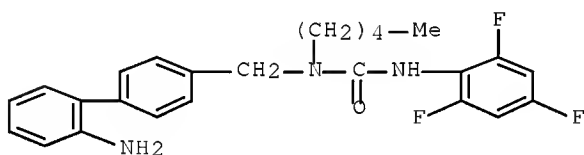
RN 439904-74-2 HCAPLUS

CN Urea, N-[(2'-nitro[1,1'-biphenyl]-4-yl)methyl]-N-pentyl-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



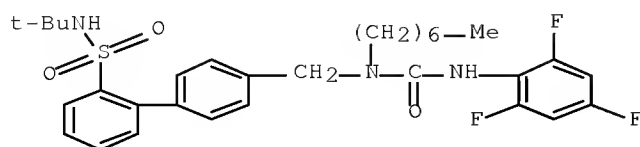
RN 439904-75-3 HCAPLUS

CN Urea, N-[(2'-amino[1,1'-biphenyl]-4-yl)methyl]-N-pentyl-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

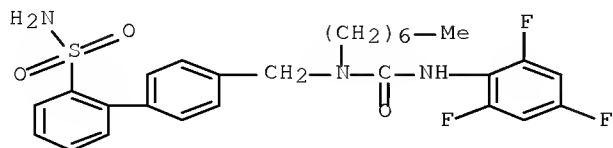


RN 439904-77-5 HCAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, N-(1,1-dimethylethyl)-4'-[[heptyl[(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 439904-78-6 HCAPLUS
 CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[heptyl[(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:10426 HCAPLUS Full-text

DOCUMENT NUMBER: 136:85822

TITLE: Preparation of biphenylcarboxamide compounds as GPR14
 antagonists or somatostatin receptor regulators

INVENTOR(S): Tarui, Naoki; Santo, Takashi; Watanabe, Hiroyuki; Aso,
 Kazuyoshi; Miwa, Tetsuo; Takekawa, Shiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

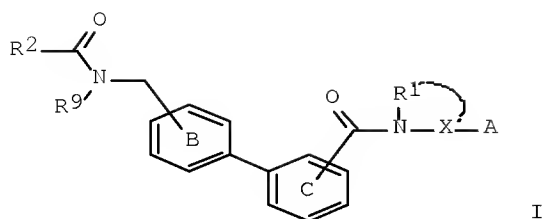
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002000606 | A1 | 20020103 | WO 2001-JP5541 | 20010628 <-- |
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| AU 2001066346 | A | 20020108 | AU 2001-66346 | 20010628 <-- |
| JP 2002080439 | A | 20020319 | JP 2001-196645 | 20010628 <-- |
| EP 1295867 | A1 | 20030326 | EP 2001-943851 | 20010628 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 20040106792 | A1 | 20040603 | US 2002-312015 | 20021220 <-- |
| US 7091247 | B2 | 20060815 | | |
| PRIORITY APPLN. INFO.: | | | JP 2000-200118 | A 20000628 <-- |
| | | | WO 2001-JP5541 | W 20010628 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:85822

ED Entered STN: 04 Jan 2002

GI



AB The title compds. (I) or salts thereof [wherein R1 represents hydrogen or (un)substituted hydrocarbyl; X represents a spacer having a 1 to 12 atom linear chain moiety; A represents (un)substituted amino or N-heterocycllyl; R2 represents (un)substituted hydrocarbyl or amino; and R3 represents (un)substituted hydrocarbyl; ring B and C represent an optionally further substituted benzene ring], which have an antagonism against urotensin II receptor GPR14 (orphan receptor), are prepared These compds. are also somatostatin, in particular somatostatin 5 receptor-function regulators such as somatostatin receptor agonists and antagonists and are useful for the prevention and treatment of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, diabetes, obesity, diabetes complications, central diseases, digestive tract diseases, glaucoma, acromegaly, or tumor. Thus, 3'-[[2-[4- (aminosulfonyl)phenyl]ethyl]aminomethyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'- biphenyl-3-carboxamide was condensed with trans-cinnamic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH₂Cl₂ and DMF at room temperature for 18 h to give 3'-[[N-[2-[4-(aminosulfonyl)phenyl]ethyl]-N-[(E)-3-phenyl-2-propenoyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide (II). N-(2-aminoethyl)-3'-[[N-[4-(aminosulfonyl)benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate and N-(2-aminoethyl)-3'-[[N-[4-[[[amino(imino)methyl]amino]methyl]benzoyl]- N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate showed IC₅₀ of 3 and 6 nM for inhibiting the binding of [¹²⁵I]-somatostatin to CHO cell line expressing human somatostatin 5 receptor. A capsule and a tablet formulation containing II were prepared

IC ICM C07C233-69

ICS C07C233-78; C07C233-79; C07C311-46; C07C321-14; C07D295-12;
C07D209-18; C07D405-12; C07D211-26; C07D213-40; C07D207-27;
C07D401-12; C07D307-54; C07D333-20; C07D257-04; C07D409-12;
C07D209-42; C07D213-56; C07D213-70; C07D401-14

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

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| 386296-59-9P | <u>386296-61-3P</u> | 386296-63-5P | 386296-65-7P | |
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of biphenylcarboxamide compds. as GPR14 antagonists or
 somatostatin receptor regulators for therapeutic agents)

IT 386296-53-3P 386296-57-7P 386296-61-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of biphenylcarboxamide compds. as GPR14 antagonists or
 somatostatin receptor regulators for therapeutic agents)

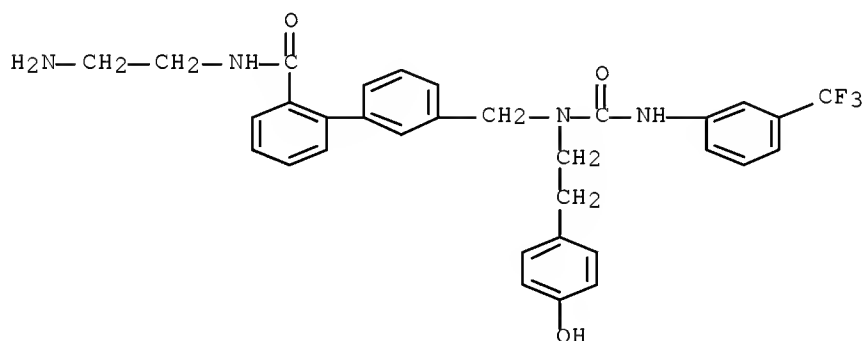
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CN [1,1'-Biphenyl]-2-carboxamide, N-(2-aminoethyl)-3'-[[[2-(4-
 hydroxyphenyl)ethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]meth
 yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 386296-52-2

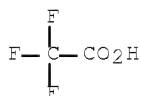
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



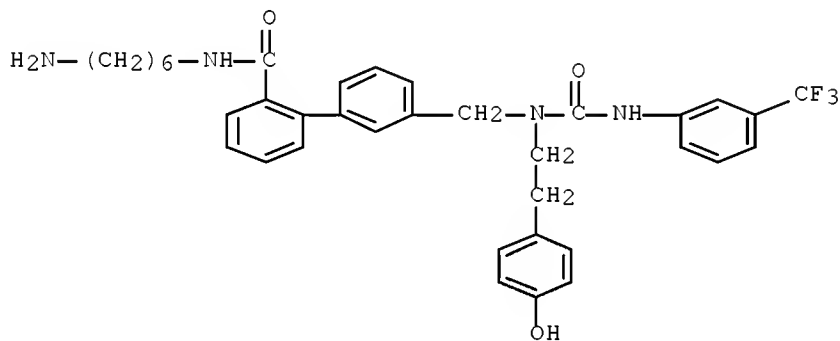
RN 386296-57-7 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-(6-aminohexyl)-3'-[[[2-(4-hydroxyphenyl)ethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 386296-56-6

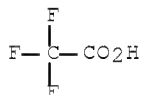
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



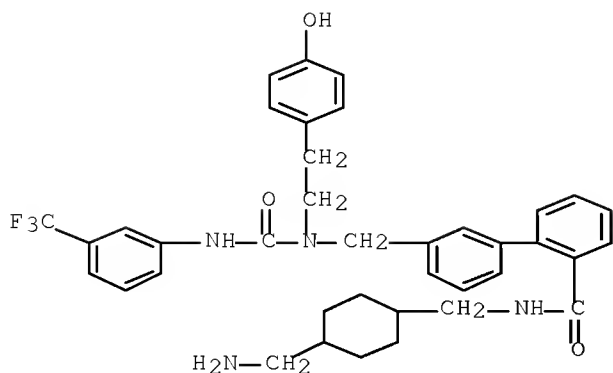
RN 386296-61-3 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[[4-(aminomethyl)cyclohexyl]methyl]-3'-[[[2-(4-hydroxyphenyl)ethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 386296-60-2

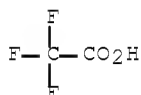
CMF C38 H41 F3 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
RECORD (11 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:526050 HCAPLUS Full-text
DOCUMENT NUMBER: 135:107149
TITLE: Synthesis, antibacterial activity and RNA polymerase
inhibition of phenylamidine derivs.
INVENTOR(S): Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic,
Jeffrey Thomas; Cutler, Serena
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| WO 2001051456 | A2 | 20010719 | WO 2001-US1219 | 20010112 <-- |
| WO 2001051456 | A3 | 20011220 | | |

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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

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HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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|--|----|----------|-----------------|--------------|
| CA 2397575 | A1 | 20010719 | CA 2001-2397575 | 20010112 <-- |
| US 20020045749 | A1 | 20020418 | US 2001-759633 | 20010112 <-- |
| US 6780858 | B2 | 20040824 | | |
| EP 1246795 | A2 | 20021009 | EP 2001-914329 | 20010112 <-- |
| EP 1246795 | B1 | 20071031 | | |
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| JP 2003519676 | T | 20030624 | JP 2001-551838 | 20010112 <-- |
| AT 376996 | T | 20071115 | AT 2001-914329 | 20010112 <-- |
| ES 2293980 | T3 | 20080401 | ES 2001-914329 | 20010112 <-- |
| US 20040235911 | A1 | 20041125 | US 2004-877408 | 20040625 <-- |
| US 7053234 | B2 | 20060530 | | |
| US 20060270651 | A1 | 20061130 | US 2006-344111 | 20060201 <-- |
| US 7148259 | B1 | 20061212 | | |

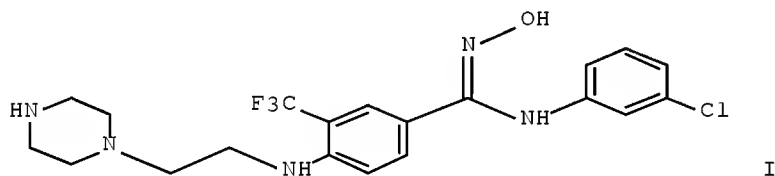
PRIORITY APPLN. INFO.:
US 2000-175892P P 20000113 <--
US 2001-759633 A1 20010112 <--
WO 2001-US1219 W 20010112 <--
US 2004-877408 A3 20040625

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:107149

ED Entered STN: 20 Jul 2001

GI



AB Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against *S. aureus* and *E. coli* are given.

IC ICM C07C259-18

ICS C07C311-37; C07C317-32; C07D295-14; C07D205-04; C07D207-09;
C07D207-14; C07D207-12; C07D211-58; C07D307-22; C07D211-70;
C07C323-42; C07D333-60; C07D213-53; C07D209-18; C07D307-54;
C07D333-38; C07D215-54; C07D317-46; C07D307-85

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

| | | | | |
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| IT 288246-39-9P | 350486-51-0P | 350486-53-2P | 350486-55-4P | 350486-57-6P |
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| <u>350488-23-2P</u> | 350488-24-3P | 350488-25-4P | | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TNU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

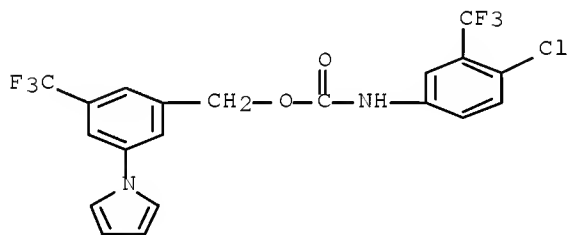
IT 350488-22-1P 350488-23-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TNU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

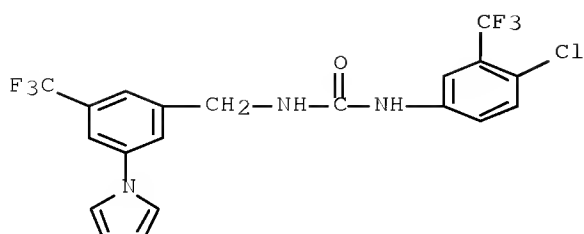
RN 350488-22-1 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(1H-pyrrol-1-yl)-5-(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 350488-23-2 HCAPLUS

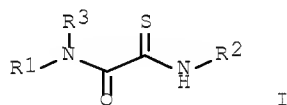
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(1H-pyrrol-1-yl)-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:289940 HCAPLUS Full-text
 DOCUMENT NUMBER: 134:305303
 TITLE: Tyrosine phosphatase inhibitors as antiallergic drugs
 INVENTOR(S): Sato, Masakazu; Kobayashi, Yuiko; Hamaguchi, Takuya
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------|------|----------|-----------------|--------------|
| JP 2001114678 | A | 20010424 | JP 1999-297001 | 19991019 <-- |
| PRIORITY APPLN. INFO.: | | | JP 1999-297001 | 19991019 <-- |
| OTHER SOURCE(S): MARPAT 134:305303 | | | | |
| ED Entered STN: 24 Apr 2001 | | | | |
| GI | | | | |



AB Tyrosine phosphatase inhibitors (I; R1 = Ph, halogen-substituted Ph, C1-5 alkyl and alkoxy, naphthyl, C1-10 alkyl, C3-8 cycloalkyl, etc.; R2 = Ph, halogen, C1-5 alkyl and alkoxy, naphthyl; R3 = H, benzyl) are claimed as antiallergic drugs by inhibiting CD45 antigen-activated T cells and mast cells. I were prepared, and their tyrosine phosphatase inhibiting activities were tested.
 IC ICM A61K031-167
 ICS A61K031-16; A61P037-02; A61P037-08; A61P043-00
 CC 1-7 (Pharmacology)
 Section cross-reference(s): 25
 IT 125983-66-6P 125983-69-9P 328127-18-0P 335318-66-6P 335318-68-8P

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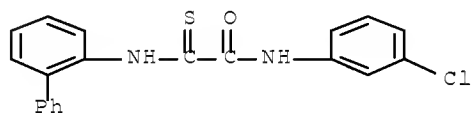
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); ~~TNU (Therapeutic use)~~; BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine phosphatase inhibitors as antiallergic drugs)

IT ~~335318-99-5P~~ ~~335319-07-8P~~ ~~335319-17-0P~~

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); ~~TNU (Therapeutic use)~~; BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine phosphatase inhibitors as antiallergic drugs)

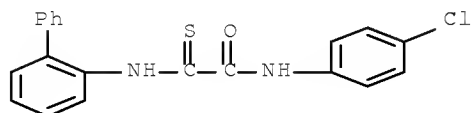
RN 335318-99-5 HCAPLUS

CN Acetamide, 2-([1,1'-biphenyl]-2-ylamino)-N-(3-chlorophenyl)-2-thioxo- (CA INDEX NAME)

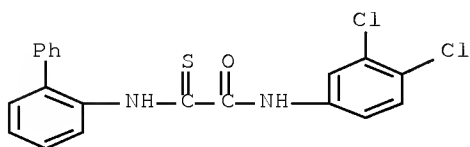


RN 335319-07-8 HCAPLUS

CN Acetamide, 2-([1,1'-biphenyl]-2-ylamino)-N-(4-chlorophenyl)-2-thioxo- (CA INDEX NAME)



RN 335319-17-0 HCAPLUS
 CN Acetamide, 2-([1,1'-biphenyl]-2-ylamino)-N-(3,4-dichlorophenyl)-2-thioxo-
 (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)

L125 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:247177 HCAPLUS Full-text
 DOCUMENT NUMBER: 134:275767
 TITLE: Synergistic anti-hypercholesterolemic drug combination
 using an HMG-CoA reductase inhibitor with an ACAT
 inhibitor
 INVENTOR(S): Chao, Yu-Sheng
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2001022962 | A1 | 20010405 | WO 2000-US26414 | 20000926 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 1999-157184P P 19990930 <--

ED Entered STN: 06 Apr 2001

AB The invention provides a drug combination comprised of an HMG-CoA reductase inhibitor with an ACAT inhibitor in synergistic therapeutically effective amts., which is useful for reducing cholesterol synthesis, lowering plasma LDL cholesterol levels and lowering plasma triglyceride levels. Profound synergy can be achieved only when the ACAT inhibitor is administered in low dosage amts., above which the beneficial synergistic effects diminish and disappear.

IC ICM A61K031-435

ICS A61K031-405; A61K031-40; A61K031-35; A61K031-18; A61K031-16

CC 1-10 (Pharmacology)

IT 75225-51-3 75225-51-3D, esters 75330-75-5 79902-63-9 81093-37-0
 81093-37-0D, esters 85956-22-5 93957-54-1 93957-54-1D, esters
 93957-56-3 121009-77-6 121009-77-6D, esters 125995-03-1
 134523-00-5 134523-00-5D, esters 141750-63-2 144289-00-9
 145599-86-6, Cerivastatin 145599-86-6D, esters 147098-20-2

10/569,873

147098-20-2D, esters 147526-32-7 147526-32-7D, esters 147538-81-6
158878-47-8 162320-85-6 166518-60-1 179054-18-3
182255-50-1 332342-31-1 332342-32-2 332342-33-3 332342-34-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitor-ACAT inhibitor synergistic hypocholesterolemic drug combination)

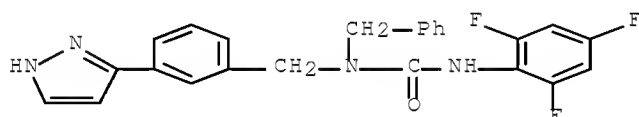
IT 179054-18-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitor-ACAT inhibitor synergistic hypocholesterolemic drug combination)

RN 179054-18-3 HCAPLUS

CN Urea, N-(phenylmethyl)-N-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:861682 HCAPLUS Full-text

DOCUMENT NUMBER: 134:29253

TITLE: Preparation of substituted 8-phenylxanthines as antagonists of A2B adenosine receptors

INVENTOR(S): Linden, Joel M.; Jacobson, Kenneth A.; Kim, Yong-Chul

PATENT ASSIGNEE(S): University of Virginia Patent Foundation, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|--------------|
| WO 2000073307 | A2 | 20001207 | WO 2000-US15233 | 20000601 <-- |
| WO 2000073307 | A3 | 20010531 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| US 6545002 | B1 | 20030408 | US 2000-505504 | 20000217 <-- |
| CA 2370598 | A1 | 20001207 | CA 2000-2370598 | 20000601 <-- |

EP 1192158 A2 20020403 EP 2000-938072 20000601 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 PRIORITY APPLN. INFO.: US 1999-136898P P 19990601 <--
 US 1999-136900P P 19990601 <--
 US 1999-505504 A 19990601 <--
 US 1999-151875P P 19990831 <--
 US 2000-505504 A 20000217 <--
 WO 2000-US15233 W 20000601 <--
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 134:29253
 ED Entered STN: 08 Dec 2000
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R, R1 = H, alkyl, alkenyl, etc.; Z = phenylene, cyclohexylene, cyclopentylene; X = alkylene, alkenylene, alkynylene, etc.; R2 = H, alkyl, alkenyl, etc.; R8 = H, cycloalkyl, aralkyl, etc.; R9 = cycloalkyl, aryl, alkyl, etc.] which are selective antagonists of A2B adenosine receptors (ARs), were prepared (general procedures for their preparation were given). Thus, hydrolysis of the ester II with 1N NaOH afforded the title compound III which showed Ki of 3.34 ± 0.51 nM against hA2B receptor binding.

IC ICM C07D473-00

CC 26-9 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

| | | | | | |
|----|---------------------|---------------------|---------------------|--------------|--------------|
| IT | 106465-54-7P | 249892-27-1P | 249892-29-3P | 249892-30-6P | 249892-31-7P |
| | 249892-32-8P | 249892-33-9P | 249892-34-0P | 249892-35-1P | 249892-38-4P |
| | 249892-39-5P | 249892-40-8P | 249892-41-9P | 249892-42-0P | 249892-43-1P |
| | 249892-44-2P | 249892-46-4P | 249892-47-5P | 249892-48-6P | 249892-49-7P |
| | 249926-37-2P | 264622-43-7P | 264622-45-9P | 264622-46-0P | 264622-47-1P |
| | 264622-48-2P | 264622-49-3P | 264622-50-6P | 264622-51-7P | 264622-52-8P |
| | 264622-53-9P | 264622-55-1P | 264622-56-2P | 264622-57-3P | 264622-58-4P |
| | 264622-59-5P | <u>264622-60-6P</u> | <u>264622-61-9P</u> | | |
| | <u>264622-62-0P</u> | <u>264622-63-1P</u> | <u>264622-64-2P</u> | | |
| | 264622-65-3P | 264622-66-4P | 264622-67-5P | 264622-68-6P | 264622-69-7P |
| | 312311-56-1P | 312311-57-2P | | | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 8-phenylxanthines as antagonists of A2B adenosine receptors)

| | | | |
|----|---------------------|---------------------|---------------------|
| IT | <u>264622-60-6P</u> | <u>264622-61-9P</u> | <u>264622-62-0P</u> |
| | <u>264622-63-1P</u> | <u>264622-64-2P</u> | |

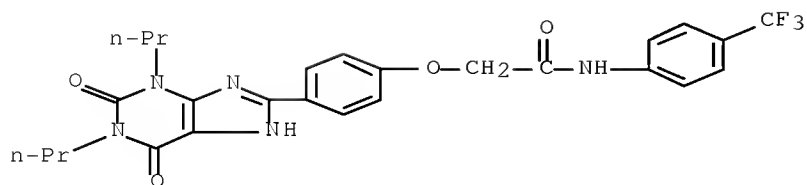
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 8-phenylxanthines as antagonists of A2B adenosine receptors)

RN 264622-60-8 HCAPLUS

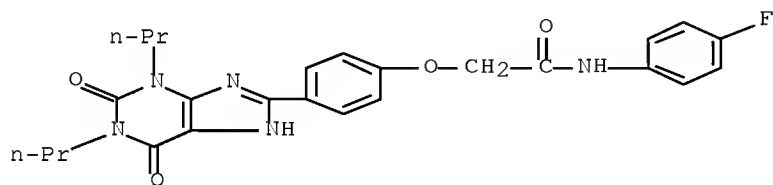
CN Acetamide, 2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

10/569,873



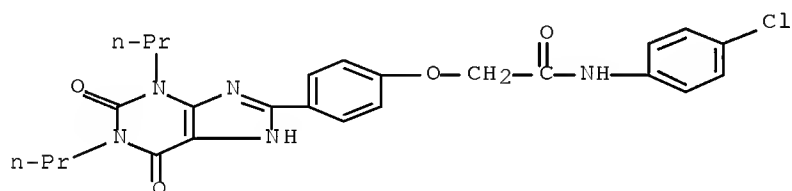
RN 264622-61-9 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



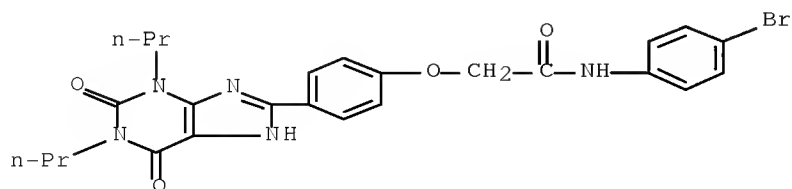
RN 264622-62-0 HCAPLUS

CN Acetamide, N-(4-chlorophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



RN 264622-63-1 HCAPLUS

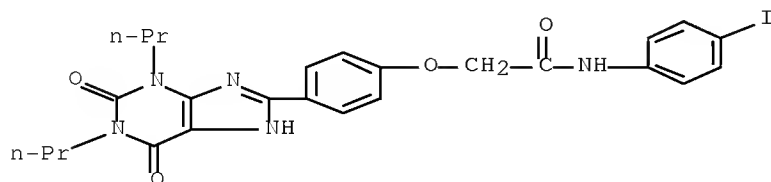
CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



RN 264622-64-2 HCAPLUS

10/569,873

CN Acetamide, N-(4-iodophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib ed abs hitind hitstr 1125 31-36
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L125 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:314682 HCAPLUS [Full-text](#)
DOCUMENT NUMBER: 132:334449
TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme
INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2000026197 | A1 | 20000511 | WO 1999-US24889 | 19991022 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2348267 | A1 | 20000511 | CA 1999-2348267 | 19991022 <-- |
| EP 1127054 | A1 | 20010829 | EP 1999-960145 | 19991022 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002528533 | T | 20020903 | JP 2000-579586 | 19991022 <-- |
| US 6624184 | B1 | 20030923 | US 1999-427953 | 19991027 <-- |
| US 20040082562 | A1 | 20040429 | US 2003-465425 | 20030619 <-- |
| US 7053111 | B2 | 20060530 | | |

10/569,873

| | | | | |
|----------------|----|----------|----------------|--------------|
| US 20060122245 | A1 | 20060608 | US 2003-465427 | 20030619 <-- |
| US 7205324 | B2 | 20070417 | | |
| US 20040102497 | A1 | 20040527 | US 2003-717287 | 20031119 <-- |
| US 7060720 | B2 | 20060613 | | |

PRIORITY APPLN. INFO.:

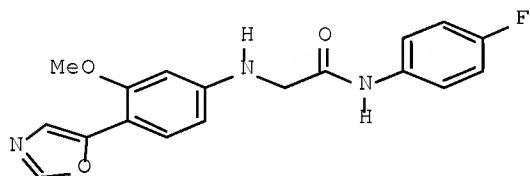
| | | |
|-----------------|----|--------------|
| US 1998-106180P | P | 19981029 <-- |
| WO 1999-US24889 | W | 19991022 <-- |
| US 1999-427953 | A3 | 19991027 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:334449

ED Entered STN: 15 May 2000

GI



AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system containing up to 4 heteroatoms selected from N, O, and S; J = NR7, CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl, etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH associated disorders, such as transplant rejection and autoimmune disease, were prepared E.g., a multi-step synthesis of glycineamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IC ICM C07D263-34

ICS C07D413-10; A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

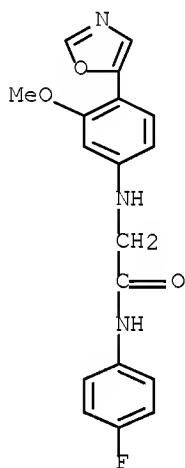
Section cross-reference(s): 1

| | | | | | |
|----|-------------------------|--------------|--------------|--------------|--------------|
| IT | 267405-35-6P | 267405-36-7P | 267405-37-8P | 267405-39-0P | |
| | 267405-40-3P | 267405-41-4P | 267405-42-5P | 267405-43-6P | 267405-44-7P |
| | 267405-45-8P | 267405-46-9P | 267405-47-0P | 267405-48-1P | 267405-49-2P |
| | 267405-50-5P | 267405-51-6P | 267405-52-7P | 267405-53-8P | 267405-54-9P |
| | 267405-55-0P | 267405-56-1P | 267405-57-2P | 267405-58-3P | 267405-59-4P |
| | 267405-60-7P | 267405-61-8P | 267405-62-9P | 267405-63-0P | 267405-64-1P |
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| | 267405-70-9P | 267405-71-0P | 267405-72-1P | 267405-73-2P | 267405-74-3P |
| | 267405-75-4P | 267405-76-5P | 267405-77-6P | 267405-78-7P | 267405-79-8P |
| | 267405-80-1P | 267405-81-2P | 267405-82-3P | 267405-83-4P | 267405-84-5P |
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| | 267405-96-9P | 267405-97-0P | 267405-98-1P | 267405-99-2P | 267406-00-8P |
| | 267406-01-9P | 267406-02-0P | 267406-03-1P | 267406-04-2P | 267406-05-3P |
| | 267406-06-4P | 267406-07-5P | 267406-08-6P | 267406-09-7P | 267406-10-0P |
| | 267406-11-1P | 267406-12-2P | 267406-13-3P | 267406-14-4P | 267406-15-5P |
| | 267406-16-6P | 267406-17-7P | 267406-18-8P | 267406-19-9P | 267406-20-2P |
| | 267406-21-3P | 267406-22-4P | 267406-23-5P | 267406-24-6P | 267406-25-7P |
| | 267406-26-8P | 267406-27-9P | 267406-28-0P | 267406-29-1P | 267406-30-4P |
| | 267406-31-5P | 267406-32-6P | 267406-33-7P | 267406-34-8P | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/569,873

(preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of
IMPDH
enzyme)
IT 267405-35-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of
IMPDH
enzyme)
RN 267405-35-6 HCAPLUS
CN Acetamide, N-(4-fluorophenyl)-2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-
(CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
RECORD (11 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 32 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:277959 HCAPLUS Full-text
DOCUMENT NUMBER: 132:321662
TITLE: Preparation of aromatic amine derivatives and agents
containing the same
INVENTOR(S): Oi, Satoru; Suzuki, Nobuhiro; Aso, Kazuyoshi; Banno,
Yoshihiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2000023420 | A1 | 20000427 | WO 1999-JP5755 | 19991019 <-- |
| W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, | | | | |

10/569,873

LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK,
SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| CA 2348159 | A1 | 20000427 | CA 1999-2348159 | 19991019 <-- |
| AU 9961246 | A | 20000508 | AU 1999-61246 | 19991019 <-- |
| JP 2000191615 | A | 20000711 | JP 1999-297129 | 19991019 <-- |
| EP 1123918 | A1 | 20010816 | EP 1999-947962 | 19991019 <-- |
| EP 1123918 | B1 | 20050309 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

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| AT 290524 | T | 20050315 | AT 1999-947962 | 19991019 <-- |
| US 7160887 | B1 | 20070109 | US 2001-807081 | 20010406 <-- |

PRIORITY APPLN. INFO.:

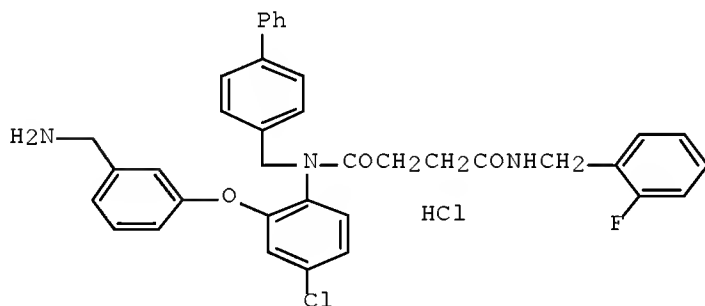
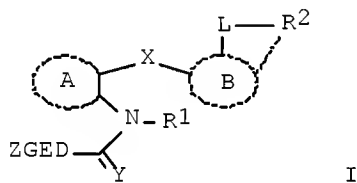
| | | |
|----------------|---|--------------|
| JP 1998-298940 | A | 19981020 <-- |
| WO 1999-JP5755 | W | 19991019 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:321662

ED Entered STN: 28 Apr 2000

GI



AB Title compds. [I; wherein A is an optionally substituted aromatic ring; B is an optionally substituted cyclic hydrocarbon oxy group; Z is an optionally substituted cyclic hydrocarbon group; R1 is hydrogen, optionally substituted hydrocarbyl, an optionally substituted heterocyclic group, or acyl; R2 is optionally substituted amino; D is a free valency or a divalent group; E is CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)SO2, N(Ra), O, S, SO, SO2; G is a free valency or a divalent group; L is a free valency, an optionally substituted divalent hydrocarbon group which may be interrupted by O or S, or the like; X is oxygen, optionally oxidized sulfur, optionally substituted nitrogen, or an optionally substituted divalent hydrocarbon group; Y is two hydrogen atoms, oxygen, or sulfur; and the dotted line indicates that R2 and an atom on ring B may together form a ring] and salts are prepared and tested as somatostatin

receptor regulators. Thus, the title compound II was prepared in treatment or prevention of diabetes and obesity.

| | | | | | |
|-----|-----|---|-------------------------|--------------|--------------|
| IC | ICM | C07C231-12 | | | |
| ICS | | C07C233-01; C07C235-00; C07C237-00; C07C311-00; C07C317-44; C07C323-50; C07D207-26; C07D209-16; C07D211-62; C07D211-96; C07D213-40; C07D213-74; C07D217-22; C07D217-24; C07D235-26; C07D277-30; C07D285-12; C07D295-10; C07D295-18 | | | |
| CC | | 23-4 (Aliphatic Compounds) | | | |
| | | Section cross-reference(s): 1, 63 | | | |
| IT | | 5181-11-3P | 10314-98-4P | 14062-25-0P | 18699-02-0P |
| | | 36925-05-0P | 55311-42-7P | 56205-90-4P | 82340-96-3P |
| | | 109138-28-5P | 129150-68-1P | 158985-25-2P | 198904-53-9P |
| | | 221040-07-9P | 263893-82-9P | 264915-70-0P | 266368-57-4P |
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| | | 266370-44-9P | 266370-45-0P | 266370-46-1P | 266370-47-2P |
| | | 266370-49-4P | 266370-50-7P | 266370-57-4P | 266370-64-3P |

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aromatic amine derivs. and agents containing the same as somatostatin receptor regulators)

| | | | | | |
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| IT | 266364-65-2P | 266364-67-4P | 266364-69-6P | 266364-75-4P | 266364-83-4P |
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| 266367-73-1P | 266367-75-3P | 266367-77-5P | 266367-79-7P | 266367-81-1P |
| 266367-83-3P | 266367-85-5P | 266367-87-7P | 266367-89-9P | 266367-91-3P |
| 266367-93-5P | 266367-95-7P | 266367-96-8P | 266367-97-9P | 266367-98-0P |
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| 266368-39-2P | 266368-40-5P | 266368-41-6P | 266368-42-7P | 266368-43-8P |
| 266368-44-9P | 266368-45-0P | 266368-46-1P | 266368-47-2P | 266368-48-3P |
| 266368-49-4P | 266368-50-7P | 266368-51-8P | 266368-52-9P | |
| 266368-53-0P | 266368-54-1P | 266368-55-2P | 266368-56-3P | |

RL: SPN (Synthetic preparation); ~~TNU (Therapeutic use)~~; BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic amine derivs. and agents containing the same as somatostatin receptor regulators)

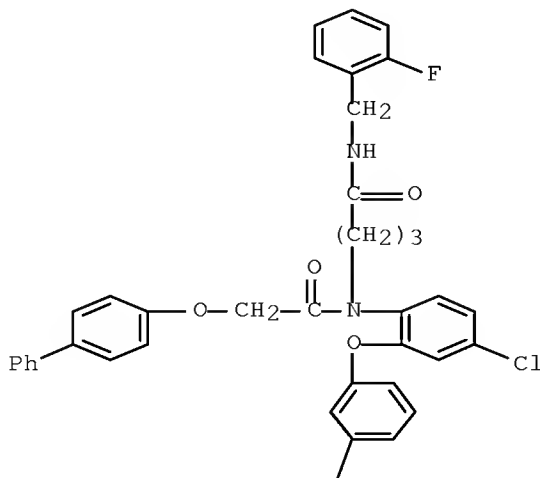
IT ~~266370-36-9P~~

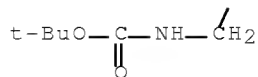
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aromatic amine derivs. and agents containing the same as somatostatin receptor regulators)

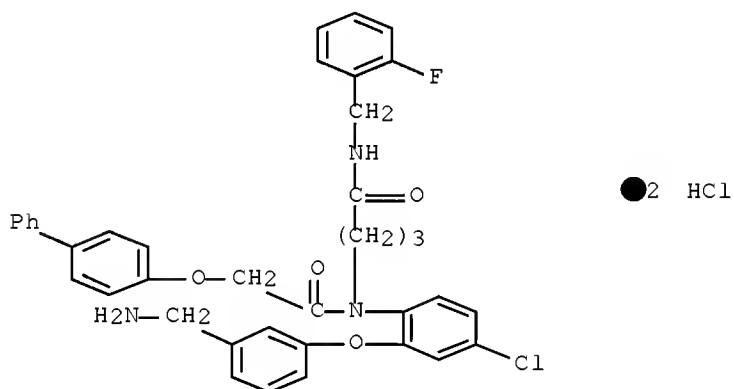
RN 266370-36-9 HCAPLUS

CN Carbamic acid, [[3-[2-[[[1,1'-biphenyl]-4-yloxy)acetyl][4-[[2-fluorophenyl)methyl]amino]-4-oxobutyl]amino]-5-chlorophenoxy]phenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 266368-53-0PRL: SPN (Synthetic preparation); TWU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)(preparation of aromatic amine derivs. and agents containing the same as
somatostatin receptor regulators)

RN 266368-53-0 HCAPLUS

CN Butanamide, 4-[[2-[3-(aminomethyl)phenoxy]-4-chlorophenyl][2-([1,1'-
biphenyl]-4-yloxy)acetyl]amino]-N-[(2-fluorophenyl)methyl]-, hydrochloride
(1:2) (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:193988 HCAPLUS Full-text

DOCUMENT NUMBER: 130:237569

TITLE: Preparation of N-phenylalkylurea and
phenylalkylcarbamate derivatives as peroxisome
proliferator-activated receptor controllers

INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima,
Daikichi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 67 pp.

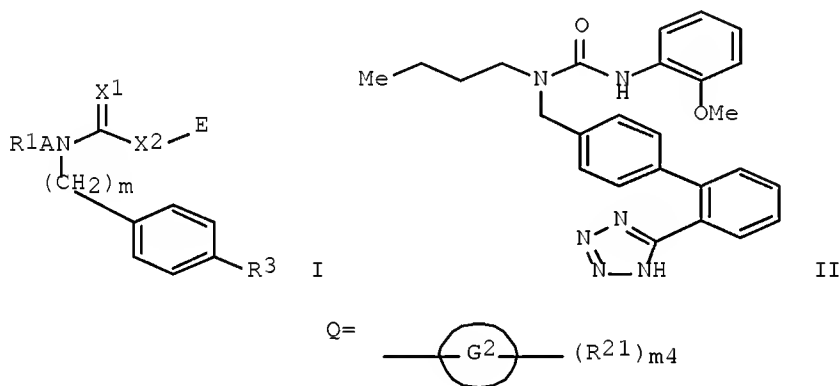
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 9912534 | A1 | 19990318 | WO 1998-JP3930 | 19980902 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9889966 | A | 19990329 | AU 1998-89966 | 19980902 <-- |
| PRIORITY APPLN. INFO.: | | | JP 1997-245101 | A 19970910 <-- |
| | | | WO 1998-JP3930 | W 19980902 <-- |

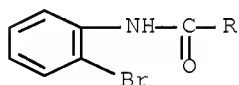
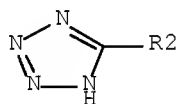
OTHER SOURCE(S): MARPAT 130:237569
 ED Entered STN: 25 Mar 1999
 GI



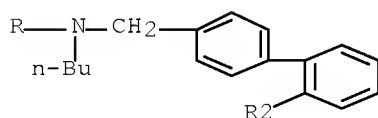
AB Claimed are peroxisome proliferator-activated receptor (PPAR) controllers containing as the active ingredient compds. represented by general formula [I; A = single bond, C1-7 alkylene, C2-6 alkenylene; when A = single bond, then R1 = C1-14 alkyl, C2-6 alkenyl or alkynyl, (un)substituted C3-14 mono- or polycyclic (un)saturated carbocyclic ring, 4- to 7-membered ring monocyclic heterocyclic ring; when A = C1-7 alkylene or C2-6 alkenylene, R1 = halo, OH, C1-4 alkoxy, PhO, C1-4 alkylthio, NH₂, C1-4 alkyl-carbonyloxy, C1-4 alkoxy-carbonyloxy, (un)substituted C3-14 mono- or polycyclic (un)saturated carbocyclic ring, 4- to 7-membered ring monocyclic heterocyclic ring; X1 = O, S; X2 = NR₂, O; wherein R₂ = H, (un)substituted C1-4 alkyl, C2-6 alkenyl, or alkynyl; R₃ = CO₂H, C1-4 alkoxy-carbonyl, carboxyphenyl, C1-4 alkoxy-Ph, 1H-tetrazol-5-ylphenyl; E = Q or substituted alkyl, or E and R₂ of NR₂ are joined together to form an optionally benzene-fused and substituted monocyclic 4- to 7-membered saturated heterocyclic ring containing 1-2 N, one N and one O, or a total of three N and O atoms; ring G = benzene ring, 4- to 7-membered ring monocyclic unsatd. heterocyclic ring containing one N or O; R₂₁ = H, C1-4 alkyl, alkoxy, or alkylthio, OH, hydroxy-C1-4 alkyl, halo, etc.; m₄ = 1-3; m = 0, 1-4; n₁ = 1-4], salts of the same, or hydrates of both. The compds. exhibit

control effects against PPAR and are therefore useful as antihyperglycemic drugs, antihyperlipidemic drugs, HDL-cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, risk factor decreasing agents for diabetes and syndrome X, or preventive and/or therapeutic agents for metabolic diseases such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipo-proteinemia, hyperlipemia, arteriosclerosis, circulatory diseases, polyphagy, and ischemic heart diseases. Thus, the title compound (II).Na at 100 mg/kg/day p.o. for 14 consecutive days lowered the blood lipid (free fatty acid) from 797±201 mg/dL (control) to 575±113 mg/dL and the blood triglyceride level from 79±28 mg/dL (control) to 51±34 mg/dL in mice. A tablet and an ampule formulation containing II were described.

IC ICM A61K031-17
ICS A61K031-41; C07D257-04
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 158695-45-5 160837-87-6 160837-92-3 160837-98-9
160838-00-6 160838-06-2 160838-10-8 160838-11-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of N-phenylalkylurea and phenylalkylcarbamate derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)
IT 160837-98-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of N-phenylalkylurea and phenylalkylcarbamate derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)
RN 160837-98-9 HCAPLUS
CN Urea, N'-(2-bromophenyl)-N-butyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:1) (CA INDEX NAME)



● Na



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:476652 HCAPLUS Full-text

DOCUMENT NUMBER: 125:142578

ORIGINAL REFERENCE NO.: 125:26685a,26688a

TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.

INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 9613485 | A1 | 19960509 | WO 1995-JP2192 | 19951025 <-- |
| W: AU, CA, CN, HU, JP, KR, MX, RU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2203659 | A1 | 19960509 | CA 1995-2203659 | 19951025 <-- |
| AU 9537536 | A | 19960523 | AU 1995-37536 | 19951025 <-- |
| AU 705883 | B2 | 19990603 | | |
| EP 807105 | A1 | 19971119 | EP 1995-935563 | 19951025 <-- |
| EP 807105 | B1 | 20040616 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| CN 1168667 | A | 19971224 | CN 1995-196602 | 19951025 <-- |
| JP 10507764 | T | 19980728 | JP 1996-514166 | 19951025 <-- |
| JP 3697486 | B2 | 20050921 | | |
| AT 269310 | T | 20040715 | AT 1995-935563 | 19951025 <-- |
| ES 2218554 | T3 | 20041116 | ES 1995-935563 | 19951025 <-- |
| US 5994368 | A | 19991130 | US 1997-809416 | 19970425 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 1994-21684 | A 19941027 <-- |
| | | | GB 1995-12339 | A 19950616 <-- |
| | | | WO 1995-JP2192 | W 19951025 <-- |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 125:142578

ED Entered STN: 13 Aug 1996

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-

dichlorobenzyloxy]-2- methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [preps. given] using EDC and HOBT in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10-6 M.

IC ICM C07D215-16

ICS A61K031-47; C07D471-02; A61K031-395; C07D215-26; C07D471-04

ICI C07D471-04, C07D221-00; C07D471-04, C07D221-00, C07D277-00; C07D471-04, C07D221-00, C07D241-00

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28

| | | | | | |
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| IT | 179623-46-2P | 179623-47-3P | 179623-48-4P | 179623-49-5P | 179623-50-8P |
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| | 179625-30-0P | 179626-51-8P | | | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

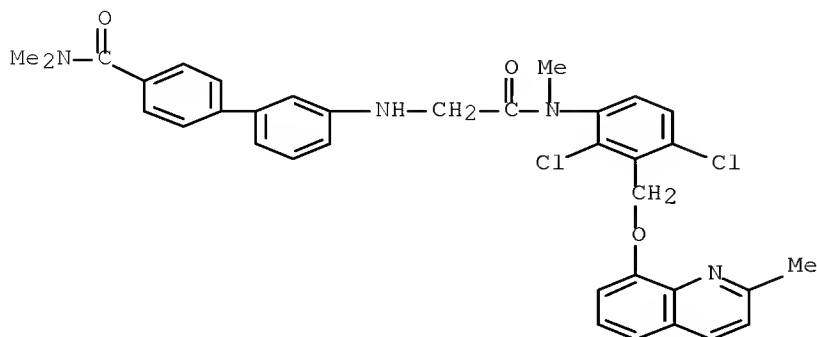
IT ~~179625-12-8P~~

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

10/569,873

RN 179625-12-8 HCAPLUS
 CN [1,1'-Biphenyl]-4-carboxamide, 3'-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-N,N-dimethyl-
 (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS
 RECORD (12 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1996:455768 HCAPLUS Full-text
 DOCUMENT NUMBER: 125:114322
 ORIGINAL REFERENCE NO.: 125:21442h,21443a
 TITLE: Preparation of urea derivatives as cholesterol
 acyltransferase inhibitors
 INVENTOR(S): Terasawa, Takeshi; Tanaka, Akira; Chiba, Toshiyuki;
 Takasugi, Hisashi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 228 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------|-----------------|----------------|
| WO 9610559 | A1 | 19960411 | WO 1995-JP1982 | 19950929 <-- |
| W: AU, CA, CN, HU, JP, KR, MX, RU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| IN 1995MA01229 | A | 20050225 | IN 1995-MA1229 | 19950922 <-- |
| CA 2200981 | A1 | 19960411 | CA 1995-2200981 | 19950929 <-- |
| AU 9535779 | A | 19960426 | AU 1995-35779 | 19950929 <-- |
| EP 784612 | A1 | 19970723 | EP 1995-932934 | 19950929 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 10510512 | T | 19981013 | JP 1995-511616 | 19950929 <-- |
| ZA 9508365 | A | 19960508 | ZA 1995-8365 | 19951004 <-- |
| PRIORITY APPLN. INFO.: | | | GB 1994-19970 | A 19941004 <-- |
| | | | GB 1995-6720 | A 19950331 <-- |
| | | | GB 1995-14021 | A 19950710 <-- |
| | | | WO 1995-JP1982 | W 19950929 <-- |
| OTHER SOURCE(S): | | MARPAT 125:114322 | | |

ED Entered STN: 02 Aug 1996

AB R4YC6H4(CH2)_nNR2CONHR3 [R2 = (ar)alkyl, heterocyclyl(alkyl), alkoxyalkyl, etc.; R3,R4 = (un)substituted aryl, heterocyclyl; Y = bond, alkylene, O, CO, CONH, etc.; n = 0 or 1] were prepared. Thus, 1-cycloheptyl-1-(4-phenoxyphenylmethyl)-3-(2,4,6-trifluorophenyl)urea had IC₅₀ of 1.1x10⁻⁸M against cholesterol acyltransferase in vitro.

IC ICM C07C275-28
ICS C07D213-75; C07D257-04; C07D231-12; C07D401-12; A61K031-17; A61K031-44; A61K031-41; C07D213-40; C07D307-38; C07D277-28; C07D233-54; C07C311-21; C07D333-20

CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of urea derivs. as cholesterol acyltransferase inhibitors)

IT

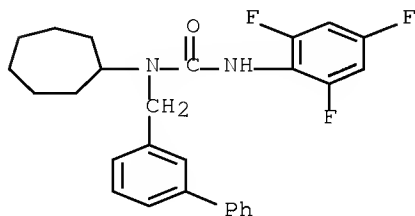
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10/569,873

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of urea derivs. as cholesterol acyltransferase inhibitors)

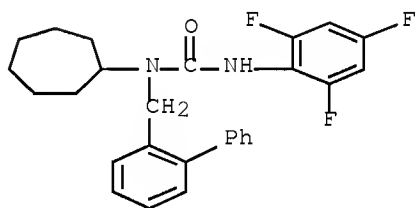
RN 179053-78-2 HCAPLUS

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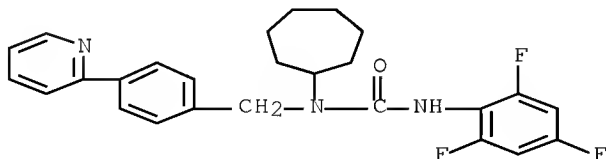
RN 179053-79-3 HCAPLUS

CN Urea, N-([1,1'-biphenyl]-2-ylmethyl)-N-cycloheptyl-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



RN 179053-82-8 HCAPLUS

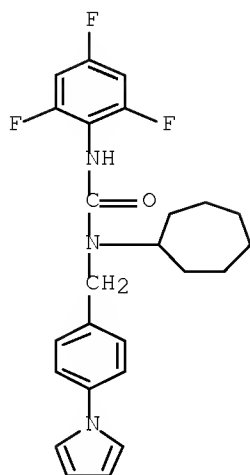
CN Urea, N-cycloheptyl-N-[[4-(2-pyridinyl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



RN 179053-84-0 HCAPLUS

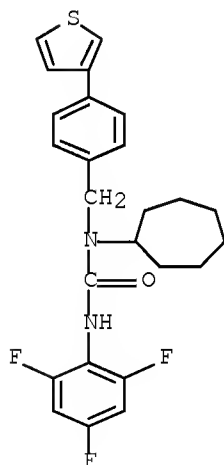
CN Urea, N-cycloheptyl-N-[[4-(1H-pyrrol-1-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

10/569,873



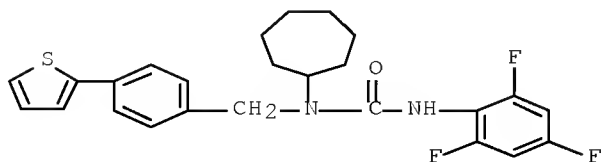
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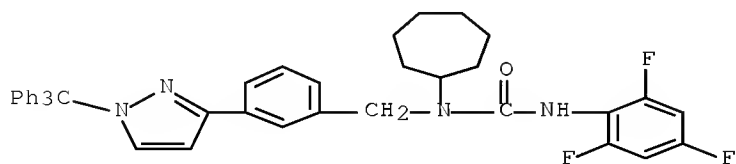
CN Urea, N-cycloheptyl-N-[[4-(2-thienyl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



10/569,873

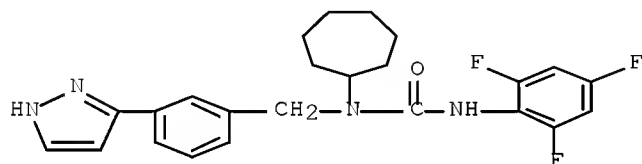
RN 179053-91-9 HCAPLUS

CN Urea, N-cycloheptyl-N'-(2,4,6-trifluorophenyl)-N-[[3-[1-(triphenylmethyl)-1H-pyrazol-3-yl]phenyl]methyl]- (CA INDEX NAME)



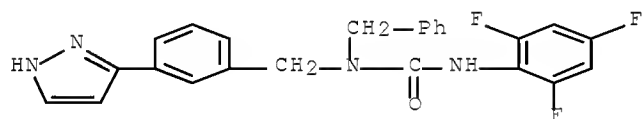
RN 179053-99-7 HCAPLUS

CN Urea, N-cycloheptyl-N-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



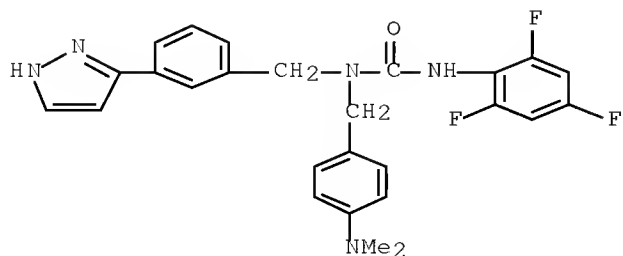
RN 179054-18-3 HCAPLUS

CN Urea, N-(phenylmethyl)-N-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

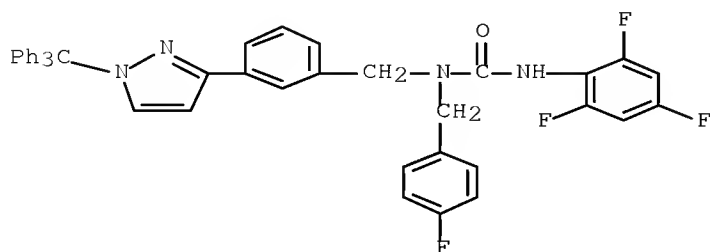


RN 179054-54-7 HCAPLUS

CN Urea, N-[[4-(dimethylamino)phenyl]methyl]-N-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



RN 179054-85-4 HCAPLUS
 CN Urea, N-[(4-fluorophenyl)methyl]-N'-(2,4,6-trifluorophenyl)-N-[[3-[1-(triphenylmethyl)-1H-pyrazol-3-yl]phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:346786 HCAPLUS Full-text

DOCUMENT NUMBER: 122:133193

ORIGINAL REFERENCE NO.: 122:24843a,24846a

TITLE: Preparation of
 N-[[2'-(1H-tetrazol-5-yl)-1,1'-biphenyl-4-yl]methyl]urea derivatives as angiotensin II antagonists

INVENTOR(S): Mori, Tetsuya; Matsui, Toshiaki; Kawamura, Masanori

PATENT ASSIGNEE(S): Ono Pharmaceutical Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| JP 06211814 | A | 19940802 | JP 1993-22099 | 19930114 <-- |
| JP 3116256 | B2 | 20001211 | | |
| PRIORITY APPLN. INFO.: | | | JP 1993-22099 | 19930114 <-- |

OTHER SOURCE(S): MARPAT 122:133193

ED Entered STN: 11 Feb 1995

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; T = O, S; ring A = benzene ring, 4- to 7-membered ring monocyclic unsatd. heterocycle containing 1 S or 1 N atom.; R1 = C1-8 alkyl; R2 = H, C1-4 alkyl,alkoxy, alkylthio, or hydroxyalkyl, halo, trihalomethyl, trihalomethyloxy, NO2, Ph, OCH2Ph, DR4, etc. (wherein D = single bond, C1-4 alkylene or alkyleneoxy, R4 = CO2R5, CH(OH)CO2R5, C(O)CO2R5, COCH2OH; R5 = H, C1-4 alkyl, CH2CONR6R7; R6, R7 = H, C1-4 alkyl); R3 = H, C1-4 alkyl, C2-6 alkenyl, CO2H, C1-4 alkoxy carbonyl, CONR12R13 (wherein R12, R13 = H, C1-3 alkyl or N R12R13 = 4- to 7-membered ring saturated monocyclic heterocyclyl containing 1 or 2 N atoms or 1 N and 1 O atom); Z = 1H-tetrazol-5-yl; m = 1-3;

provided that when $m = 3$, all 3 $R_2 = DR_4$ and all 3 $R_4 = CO_2R_5$], useful for the treatment of hypertension, are prepared. Thus, 77 μ L Et₃N and 105 mg Et 2-isocyanatobenzoate were added to a suspension of N-butyl-N-[[2'-(1-(2-cyanoethyl)tetrazol-5-yl)-1,1'-biphenyl-4-yl]methyl]amine hydrochloride in THF and the resulting mixture was stirred at room temperature for 1 h to give intermediate (II; $R = CH_2CH_2CN$). The latter compound was stirred with DBU in THF at room temperature for 5 h to give title compound II ($R = H$) which (109 mg) was dissolved in 1,4-dioxane-H₂O (2:1), treated with 0.22 mL 1 N aqueous NaOH, and lyophilized to give 260 mg title compound Na salt II ($R = Na$) (III). A tablet formulation containing III was described.

IC ICM C07D257-04

ICS C07D401-12; C07D409-12

ICA A61K031-41; A61K031-44

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TNU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[[[(1H-tetrazolyl)biphenyl]methyl]-N-(Ph or heterocyclyl)urea derivs. as angiotensin II antagonists)

| | | | |
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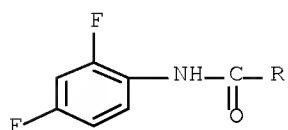
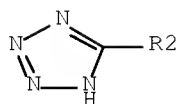
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(preparation of N-[[[(1H-tetrazolyl)biphenyl]methyl]-N-(Ph or heterocyclyl)urea derivs. as angiotensin II antagonists)

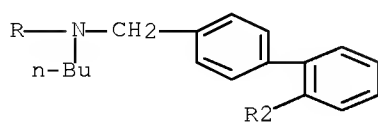
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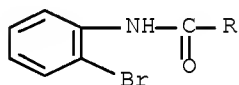
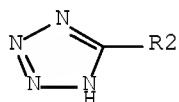


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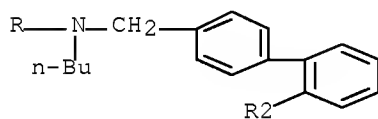


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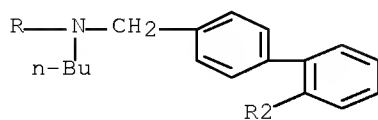
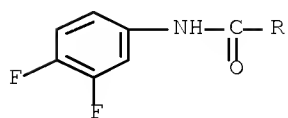
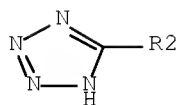


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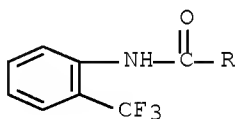
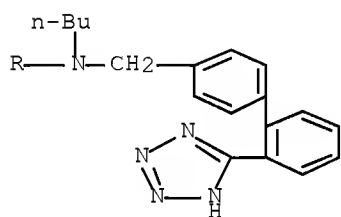
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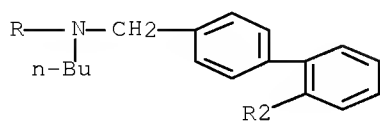
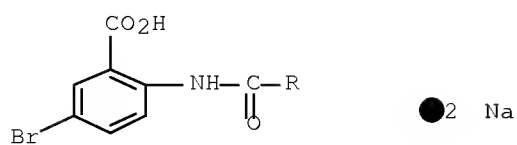
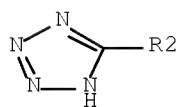
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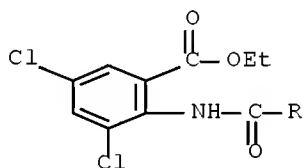
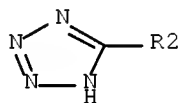
CN Benzoic acid, 5-bromo-2-[[[butyl[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]amino]-, sodium salt (1:2) (CA INDEX NAME)



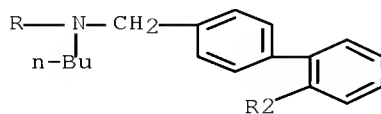
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PAGE 1-A



PAGE 2-A

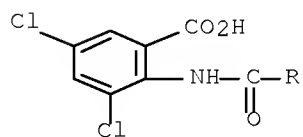
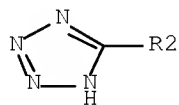


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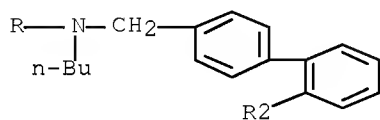
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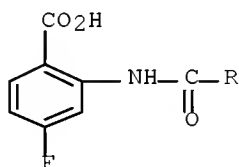
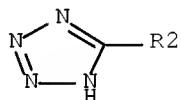
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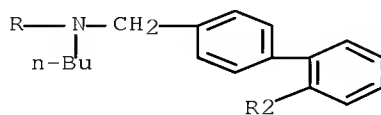
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PAGE 1-A



PAGE 2-A

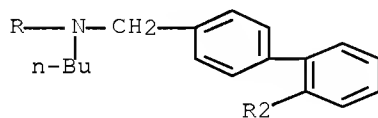
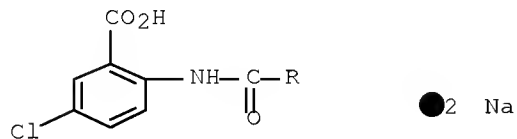
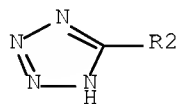


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CN Benzoic acid, 2-[[[butyl[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]amino]-5-chloro-, sodium salt (1:2) (CA INDEX NAME)



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L122 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:216619 HCAPLUS Full-text

DOCUMENT NUMBER: 142:297864

TITLE: Preparation of aniline derivatives and related compounds as c-kit modulators

INVENTOR(S): Cheng, Wei; Co, Erick Wang;
Kim, Moon Hwan; Klein, Rhett Ronald;
Le Donna, T.; Lew, Amy; Nuss,
John M.; Xu, Wei; Bajjalieh,
William

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

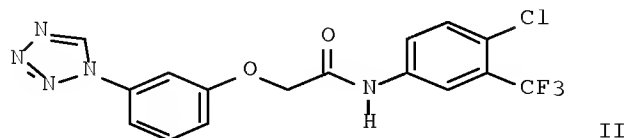
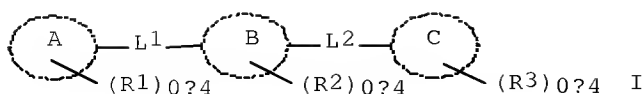
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| | | | WO 2004-US28001 | W 20040827 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:297864; MARPAT 142:297864

ED Entered STN: 11 Mar 2005

GI



AB Compds. I [wherein ring A is a five- to fourteen-membered heteroaryl; R1, R2 and R3 are H, halo, trihalomethyl, cyano, nitro, etc.; L1 is a single bond, (un)substituted alkylene, O, CH2O, etc.; ring B is five- to ten-membered aryl or heterocyclyl; ring C is five- to ten-membered (hetero)aryl; L2 is alkylene, alkylidene, alkylidyne, etc.; with some limitations and exclusions, and pharmaceutically acceptable salts, hydrates or prodrugs thereof], as exemplified by carbonyl compds. of anilines, were prepared as c-Kit kinase modulators. For example, 3-aminophenoxyacetic acid, which was obtained from the corresponding nitro compound in 76% yield via catalytic hydrogenation, was treated with HC(OEt)₃ and NaN₃ in AcOH followed by NaNO₂/HCl to give a tetrazole in 61% yield. This acid was coupled with 5-amino-2-chlorobenzotrifluoride in the presence of HATU to afford acetamide II in 46% yield, which showed inhibition against c-Kit kinase with a IC₅₀ of < 50 nM. Therefore, I and pharmaceutical compns. thereof are useful for modulating c-Kit kinase activity and for treating diseases or disorders associated with uncontrolled, abnormal, and/or unwanted cellular activities.

IC ICM A61K

CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

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| 847608-98-4P | 847609-00-1P | 847609-02-3P | |
| 847609-04-5P | 847609-06-7P | 847609-08-9P | |
| 847609-10-3P | 847609-12-5P | 847609-14-7P | |
| 847609-16-9P | 847609-18-1P | 847609-20-5P | |
| 847609-22-7P | | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulator; preparation of anilines and related compds. as C-kit modulators)

| | | | | |
|----|-------------------------|-------------------------|-------------------------|-------------------------|
| IT | 847609-24-9P | 847609-26-1P | 847609-28-3P | |
| | 847609-30-7P | 847609-32-9P | 847609-33-0P | |
| | 847609-34-1P | 847609-35-2P | 847609-36-3P | |
| | 847609-39-6P | 847609-41-0P | 847609-43-2P | |
| | 847609-46-5P | 847609-48-7P | 847609-50-1P | |
| | 847609-52-3P | 847609-54-5P | 847609-56-7P | |
| | 847609-57-8P | 847609-58-9P | 847609-59-0P | |
| | 847609-60-3P | 847609-61-4P | 847609-62-5P | 847609-63-6P |

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| | | |
|-------------------------|-------------------------|-------------------------|
| 847609-65-8P | 847609-67-0P | 847609-69-2P |
| 847609-71-6P | 847609-73-8P | 847609-75-0P |
| 847609-77-2P | 847609-79-4P | 847609-81-8P |
| 847609-86-3P | 847609-93-2P | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulator; preparation of anilines and related compds. as C-kit modulators)

IT 351-33-7P 6274-24-4P, 3-Aminophenoxyacetic acid 14213-10-6P
 14213-12-8P 85553-54-4P 90084-67-6P 125620-16-8P 198084-13-8P,
 4-(5-Pyrimidinyl)benzyl alcohol 229643-02-1P 352347-24-1P
 462067-31-8P 578748-03-5P 832739-85-2P 847606-68-2P 847606-69-3P
~~847606-70-6P~~ 847606-72-8P 847606-75-1P 847606-79-5P
 847606-82-0P 847606-83-1P 847606-85-3P 847606-86-4P 847606-89-7P
 847606-91-1P 847606-94-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anilines and related compds. as C-kit modulators)

| | | | |
|----|-------------------------|-------------------------|-------------------------|
| IT | 332176-74-6P | 483337-32-2P | 483337-34-4P |
| | 483337-36-6P | 483337-37-7P | 483337-38-8P |
| | 483337-39-9P | 483337-40-2P | 483337-41-3P |
| | 483978-03-6P | 505052-18-6P | 506433-09-6P |
| | 552825-29-3P | 847606-67-1P | 847606-71-7P |
| | 847606-73-9P | 847606-74-0P | 847606-76-2P |
| | 847606-77-3P | 847606-78-4P | 847606-81-9P |
| | 847606-84-2P | 847606-87-5P | 847606-88-6P |
| | 847606-90-0P | 847606-92-2P | 847606-93-3P |
| | 847606-95-5P | 847607-05-0P | 847607-13-0P |
| | 847607-14-1P | 847607-15-2P | 847607-17-4P |
| | 847607-18-5P | 847607-19-6P | 847607-20-9P |
| | 847607-21-0P | 847607-22-1P | 847607-25-4P |
| | 847607-26-5P | 847607-27-6P | 847607-28-7P |
| | 847607-29-8P | 847607-37-8P | 847607-38-9P |
| | 847607-47-0P | 847607-48-1P | 847607-51-6P |
| | 847607-56-1P | 847607-57-2P | 847607-58-3P |
| | 847607-61-8P | 847607-63-0P | 847607-69-5P |
| | 847607-69-6P | 847607-70-9P | 847607-71-0P |
| | 847607-73-2P | 847607-74-3P | 847607-76-5P |
| | 847607-77-6P | 847607-78-7P | 847607-79-8P |
| | 847607-80-1P | 847607-81-2P | 847607-82-3P |
| | 847607-86-7P | 847607-87-8P | 847607-88-9P |
| | 847607-89-0P | 847607-92-5P | 847607-93-6P |
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| | 847607-97-0P | 847607-98-1P | 847607-99-2P |
| | 847608-00-8P | 847608-01-9P | 847608-02-0P |
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| | 847608-13-3P | 847608-14-4P | 847608-15-5P |
| | 847608-16-6P | 847608-17-7P | 847608-18-8P |
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| | 847608-23-5P | 847608-24-6P | 847608-25-7P |
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| | 847608-39-3P | 847608-42-8P | 847608-44-0P |
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| | 847608-51-9P | 847608-53-1P | 847608-55-3P |
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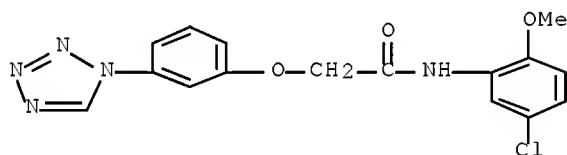
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| 847608-67-7P | 847608-68-8P | 847608-69-9P |
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| 847608-88-2P | 847608-89-3P | 847608-90-6P |
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| 847608-95-1P | 847608-96-2P | 847608-98-4P |
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| 847609-08-9P | 847609-10-3P | 847609-12-5P |
| 847609-14-7P | 847609-16-9P | 847609-18-1P |
| 847609-20-5P | 847609-28-3P | 847609-30-7P |
| 847609-32-9P | 847609-35-2P | 847609-36-3P |
| 847609-39-6P | 847609-41-0P | 847609-43-2P |
| 847609-46-5P | 847609-48-7P | 847609-50-1P |
| 847609-52-3P | 847609-54-5P | 847609-56-7P |
| 847609-57-8P | 847609-58-9P | 847609-59-0P |
| 847609-60-3P | 847609-63-6P | 847609-65-8P |
| 847609-67-0P | 847609-73-8P | 847609-75-0P |
| 847609-79-4P | 847609-81-8P | 847609-86-3P |
| 847609-93-2P | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulator; preparation of anilines and related compds. as C-kit modulators)

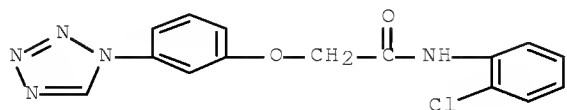
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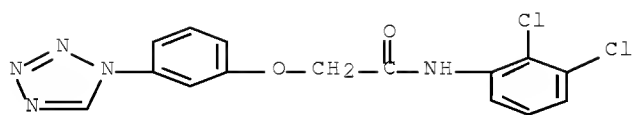
RN 483337-32-2 HCAPLUS

CN Acetamide, N-(2-chlorophenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



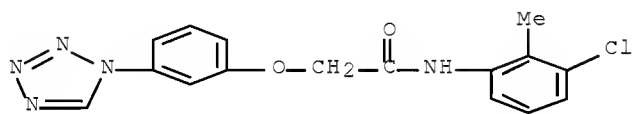
RN 483337-34-4 HCAPLUS

CN Acetamide, N-(2,3-dichlorophenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



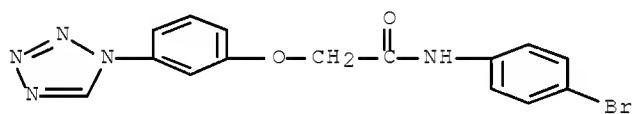
RN 483337-36-6 HCAPLUS

CN Acetamide, N-(3-chloro-2-methylphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]-
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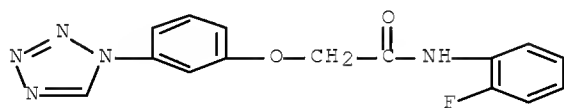
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NAME)



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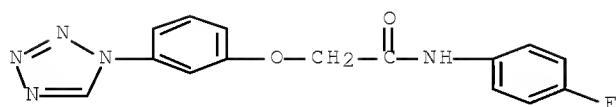
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NAME)



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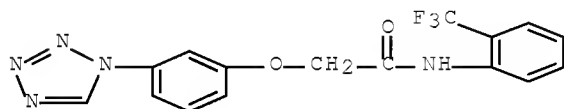
CN Acetamide, N-(4-fluorophenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX
NAME)

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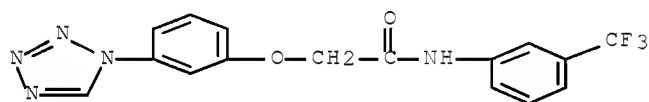
RN 483337-40-2 HCAPLUS

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(CA INDEX NAME)



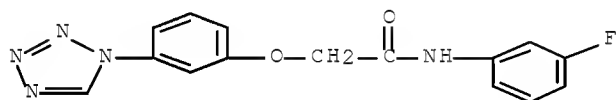
RN 483337-41-3 HCAPLUS

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(CA INDEX NAME)



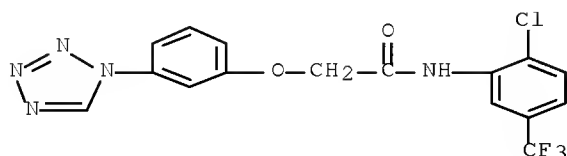
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NAME)



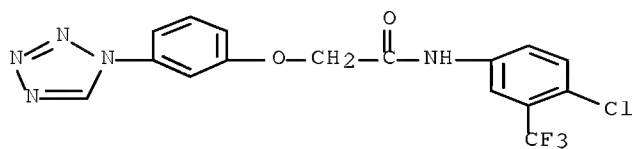
RN 505052-18-6 HCAPLUS

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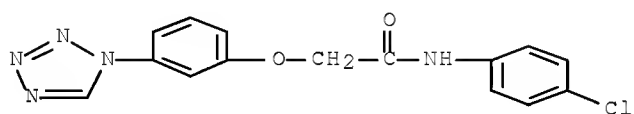
RN 506433-09-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



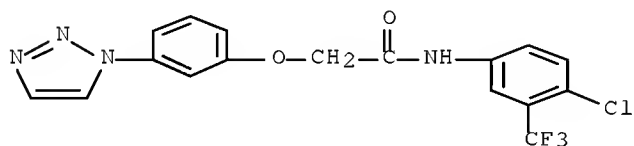
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CN Acetamide, N-(4-chlorophenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



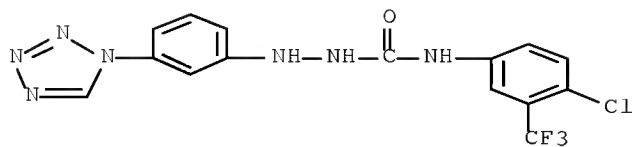
RN 847606-67-1 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-1,2,3-triazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847606-71-7 HCAPLUS

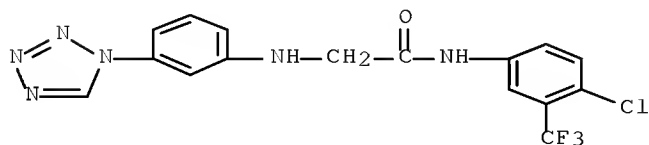
CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenyl]- (CA INDEX NAME)



RN 847606-73-9 HCAPLUS

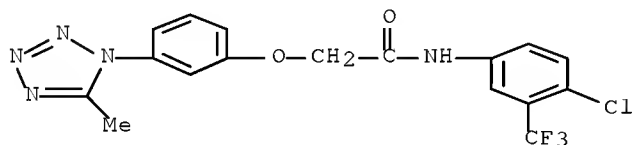
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CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



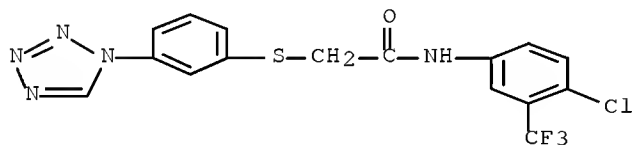
RN 847606-74-0 HCAPLUS

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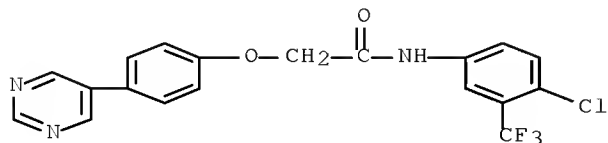
RN 847606-76-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]thio]- (CA INDEX NAME)



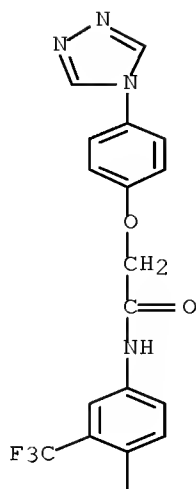
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CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



RN 847606-78-4 HCAPLUS

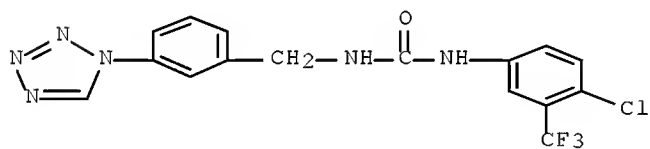
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C1

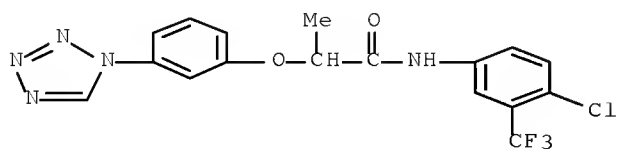
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CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(1H-tetrazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



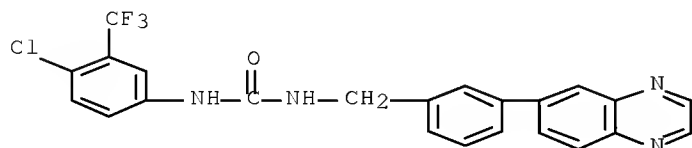
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CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



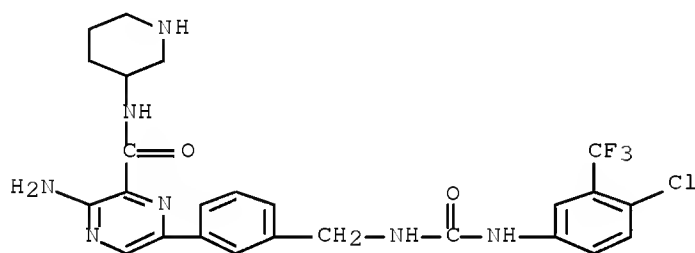
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CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-quinoxaliny)phenyl]methyl]- (CA INDEX NAME)



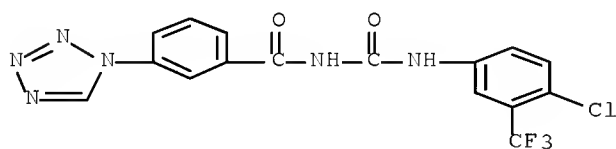
RN 847606-88-6 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-3-piperidiny- (CA INDEX NAME)



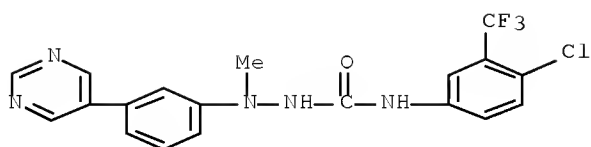
RN 847606-90-0 HCAPLUS

CN Benzamide, N-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-(1H-tetrazol-1-yl)- (CA INDEX NAME)



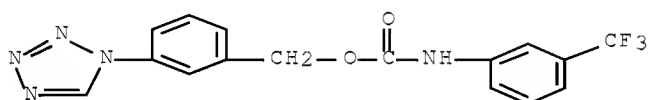
RN 847606-92-2 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-[3-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



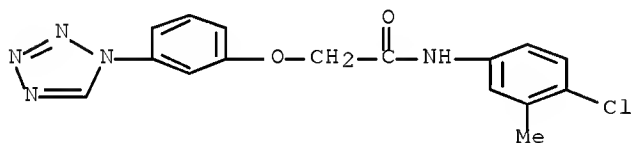
RN 847606-93-3 HCAPLUS

CN Carbamic acid, [3-(trifluoromethyl)phenyl]-,
[3-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



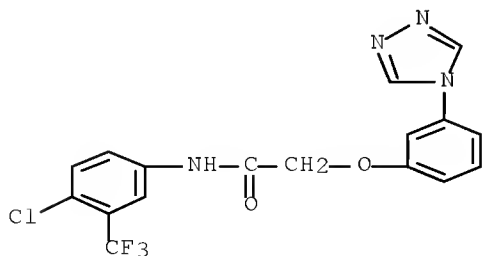
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CN Acetamide, N-(4-chloro-3-methylphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]-
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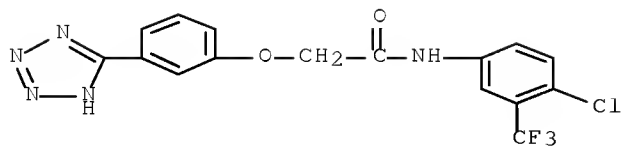
RN 847607-05-0 HCAPLUS

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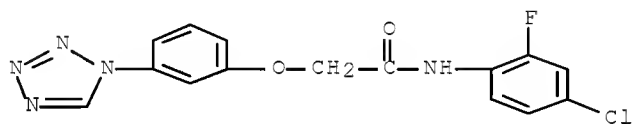
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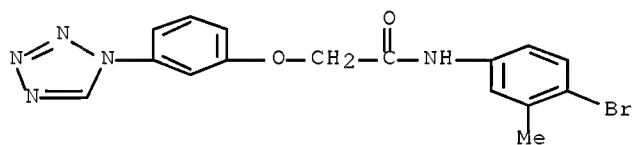
RN 847607-14-1 HCAPLUS

CN Acetamide, N-(4-chloro-2-fluorophenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]-
(CA INDEX NAME)



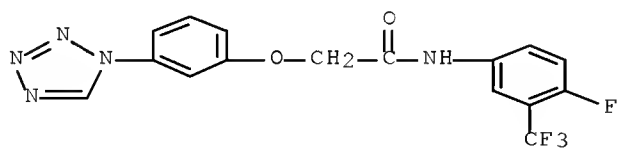
RN 847607-15-2 HCAPLUS

CN Acetamide, N-(4-bromo-3-methylphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]-
(CA INDEX NAME)



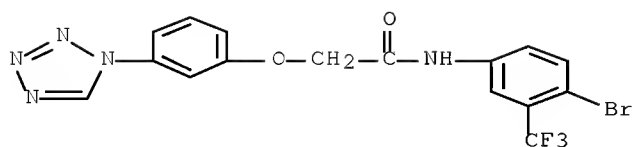
RN 847607-17-4 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]-
(CA INDEX NAME)



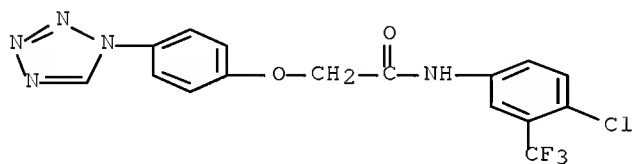
RN 847607-18-5 HCAPLUS

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(CA INDEX NAME)



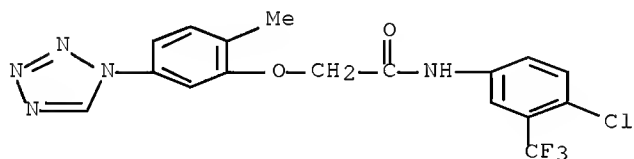
RN 847607-19-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



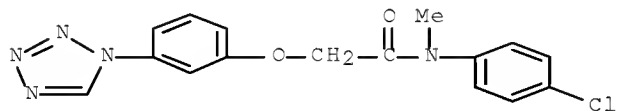
RN 847607-20-9 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2-methyl-5-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-21-0 HCAPLUS

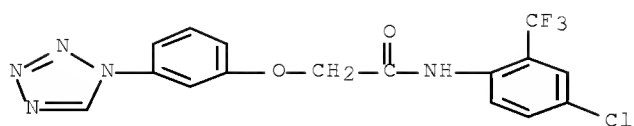
CN Acetamide, N-(4-chlorophenyl)-N-methyl-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-22-1 HCAPLUS

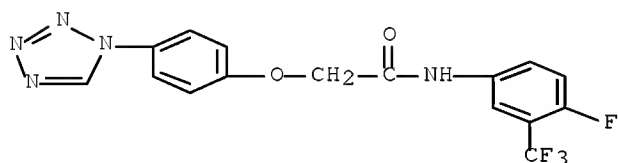
CN Acetamide, N-[4-chloro-2-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)

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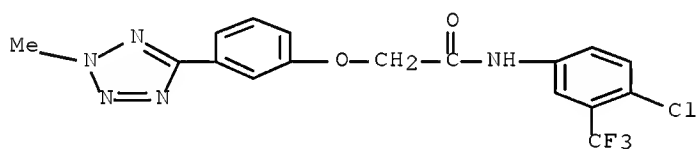
RN 847607-25-4 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



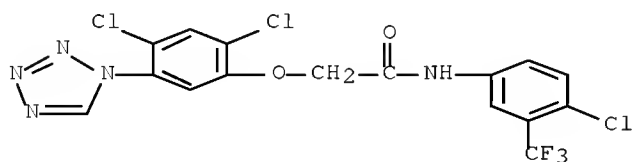
RN 847607-26-5 HCAPLUS

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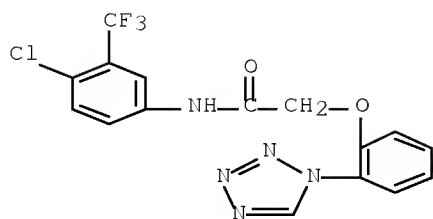
RN 847607-27-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2,4-dichloro-5-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



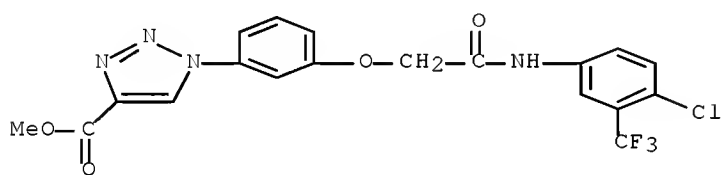
RN 847607-28-7 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



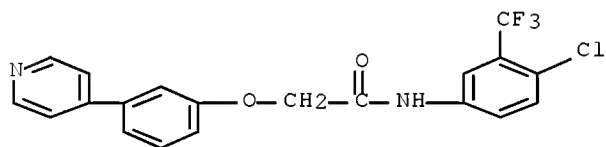
RN 847607-29-8 HCAPLUS

CN 1H-1,2,3-Triazole-4-carboxylic acid,
1-[3-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethoxy]phenyl]-,
methyl ester (CA INDEX NAME)



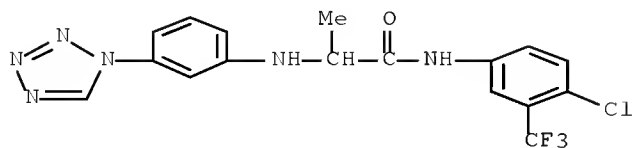
RN 847607-37-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)



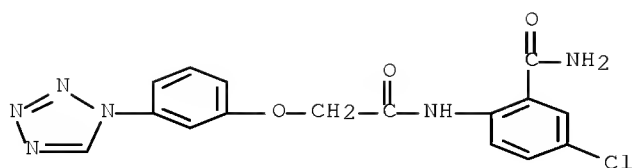
RN 847607-38-9 HCAPLUS

CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



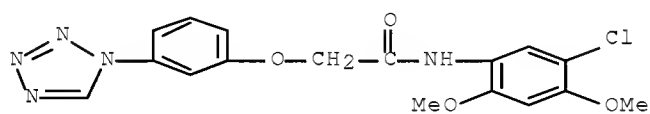
RN 847607-47-0 HCAPLUS

CN Benzamide, 5-chloro-2-[[2-[3-(1H-tetrazol-1-yl)phenoxy]acetyl]amino]- (CA INDEX NAME)



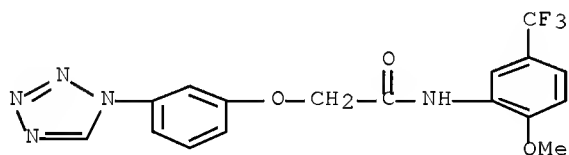
RN 847607-48-1 HCAPLUS

CN Acetamide, N-(5-chloro-2,4-dimethoxyphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



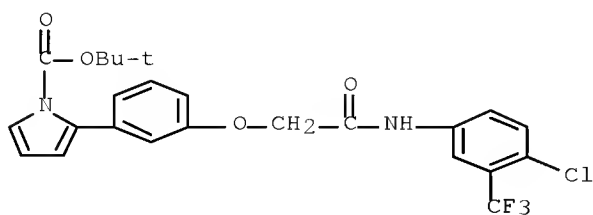
RN 847607-51-6 HCAPLUS

CN Acetamide, N-[2-methoxy-5-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-56-1 HCAPLUS

CN 1H-Pyrrole-1-carboxylic acid, 2-[3-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethoxy]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

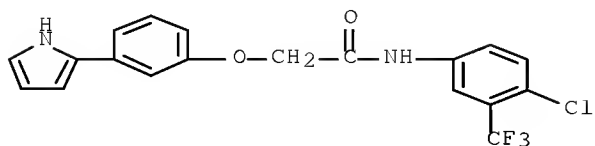


RN 847607-57-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-pyrrol-2-

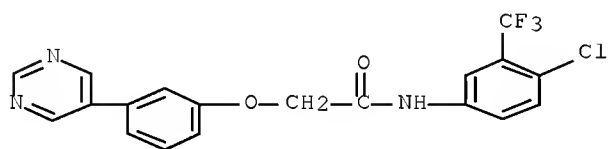
10/569,873

yl)phenoxy]- (CA INDEX NAME)



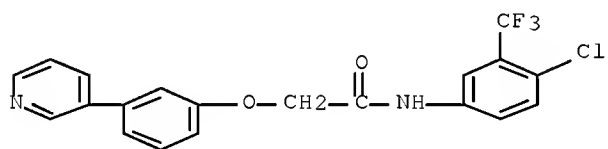
RN 847607-58-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



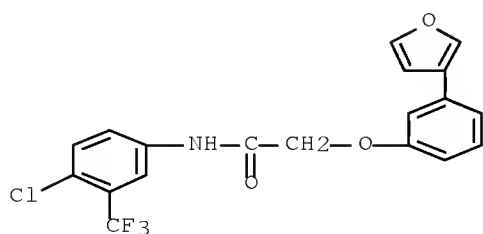
RN 847607-61-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3-pyridinyl)phenoxy]- (CA INDEX NAME)



RN 847607-63-0 HCAPLUS

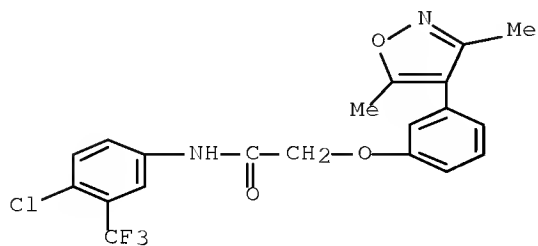
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3-furanyl)phenoxy]- (CA INDEX NAME)



RN 847607-68-5 HCAPLUS

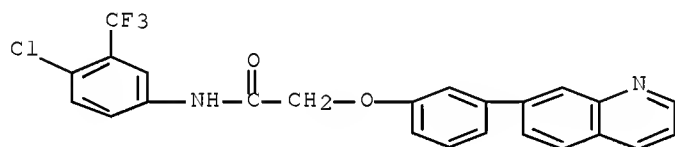
10/569,873

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3,5-dimethyl-4-isoxazolyl)phenoxy]- (CA INDEX NAME)



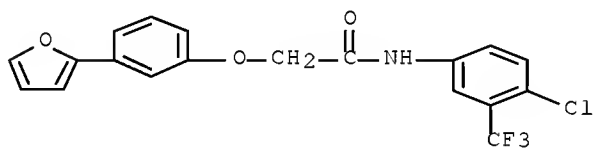
RN 847607-69-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(7-quinolinyl)phenoxy]- (CA INDEX NAME)



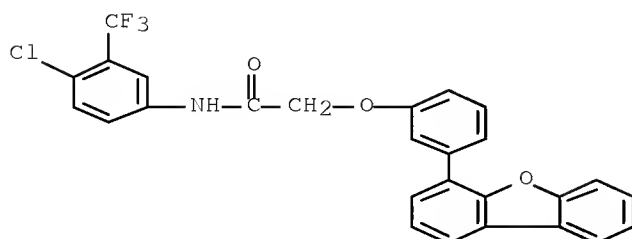
RN 847607-70-9 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(2-furanyl)phenoxy]- (CA INDEX NAME)



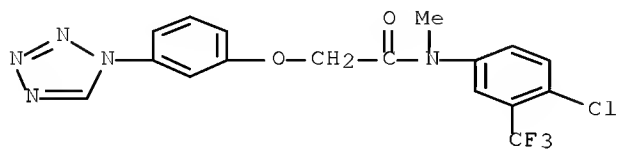
RN 847607-71-0 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4-dibenzofuranyl)phenoxy]- (CA INDEX NAME)



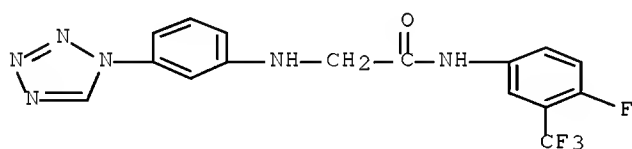
RN 847607-73-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-N-methyl-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



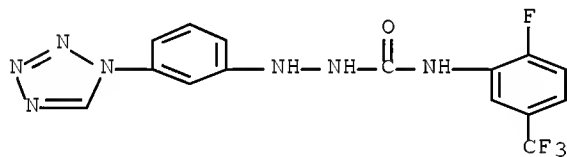
RN 847607-74-3 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



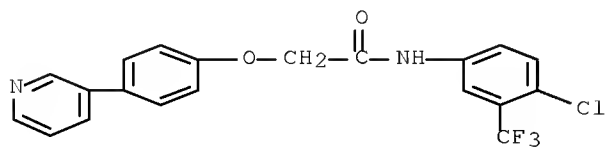
RN 847607-76-5 HCAPLUS

CN Hydrazinecarboxamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenyl]- (CA INDEX NAME)



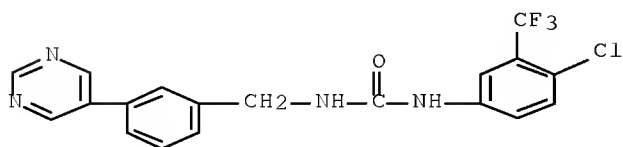
RN 847607-77-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(3-pyridinyl)phenoxy]- (CA INDEX NAME)



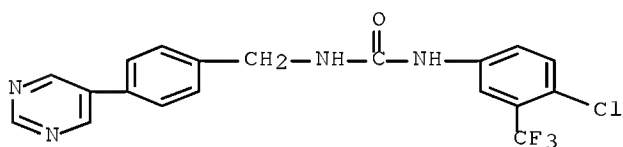
RN 847607-78-7 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



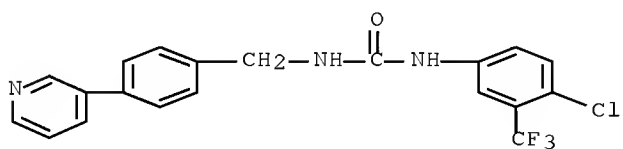
RN 847607-79-8 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



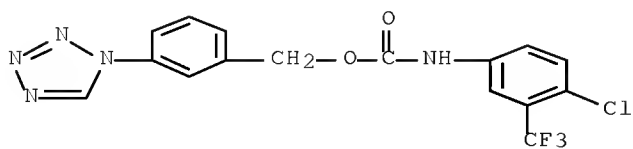
RN 847607-80-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847607-81-2 HCAPLUS

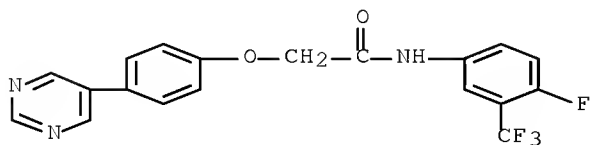
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847607-82-3 HCAPLUS

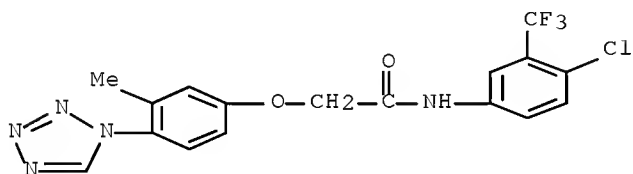
10/569,873

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[4-(5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



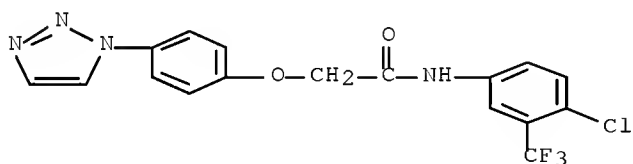
RN 847607-86-7 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-methyl-4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



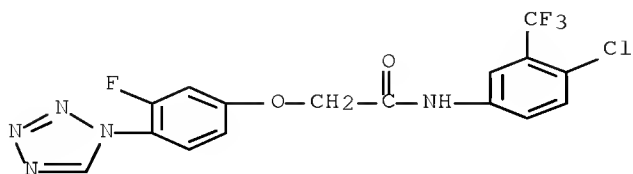
RN 847607-87-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-1,2,3-triazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-88-9 HCAPLUS

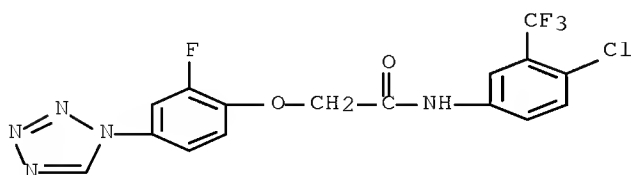
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-fluoro-4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



10/569,873

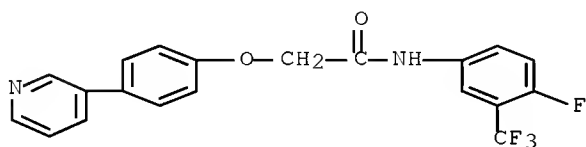
RN 847607-89-0 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2-fluoro-4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



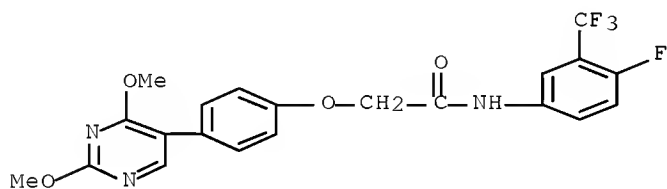
RN 847607-92-5 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[4-(3-pyridinyl)phenoxy]- (CA INDEX NAME)



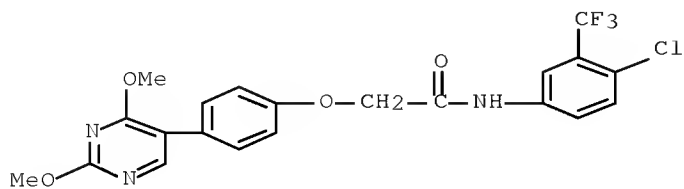
RN 847607-93-6 HCAPLUS

CN Acetamide, 2-[4-(2,4-dimethoxy-5-pyrimidinyl)phenoxy]-N-[4-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847607-94-7 HCAPLUS

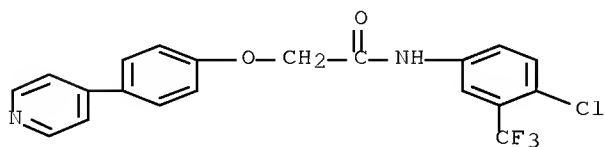
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(2,4-dimethoxy-5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



10/569,873

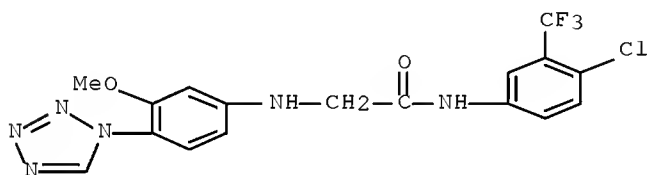
RN 847607-95-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(4-pyridinyl)phenoxy]- (CA INDEX NAME)



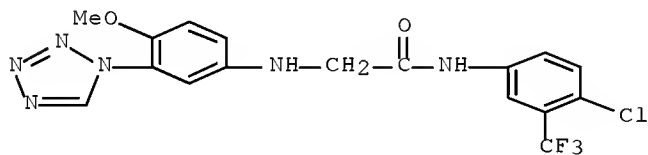
RN 847607-96-9 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-methoxy-4-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



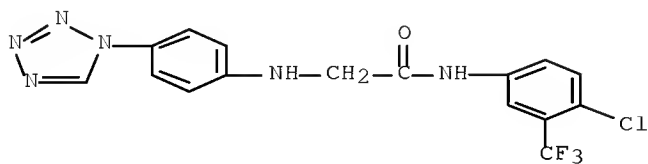
RN 847607-97-0 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-methoxy-3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



RN 847607-98-1 HCAPLUS

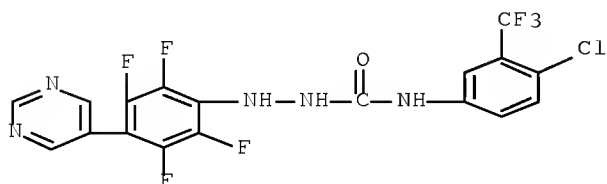
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



10/569,873

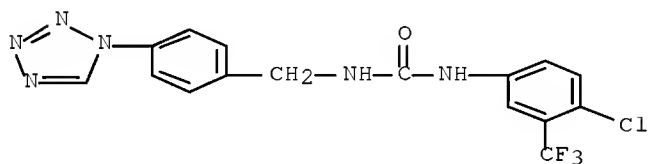
RN 847607-99-2 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2,3,5,6-tetrafluoro-4-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



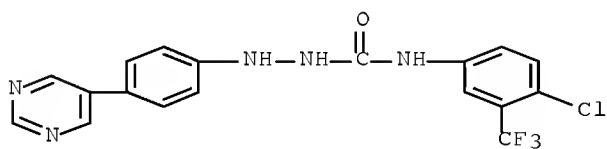
RN 847608-00-8 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(1H-tetrazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



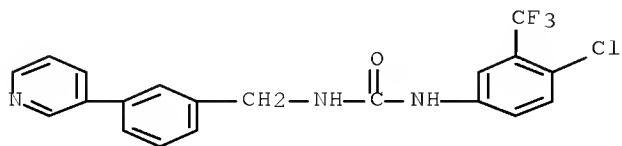
RN 847608-01-9 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



RN 847608-02-0 HCAPLUS

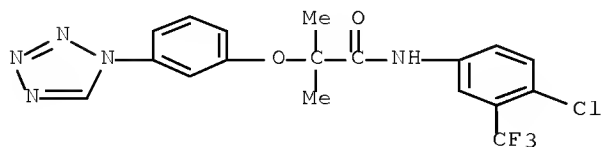
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



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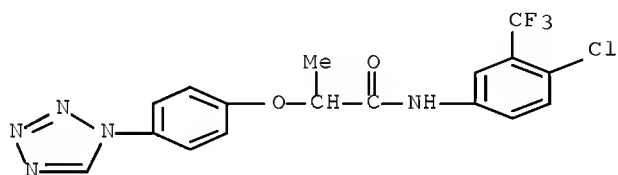
RN 847608-03-1 HCAPLUS

CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



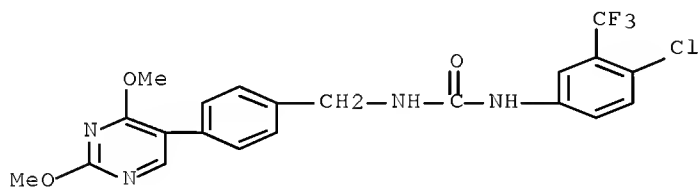
RN 847608-04-2 HCAPLUS

CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



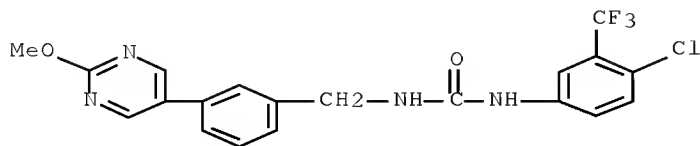
RN 847608-05-3 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(2,4-dimethoxy-5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-06-4 HCAPLUS

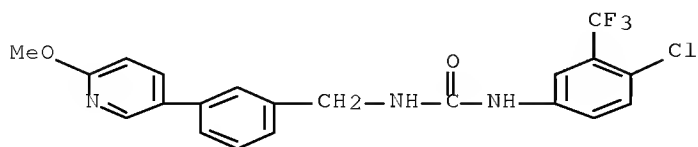
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(2-methoxy-5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



10/569,873

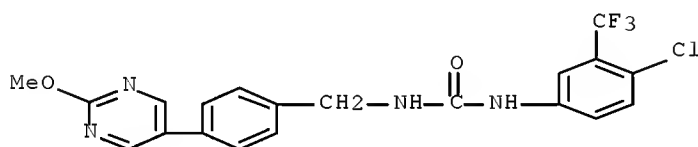
RN 847608-07-5 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



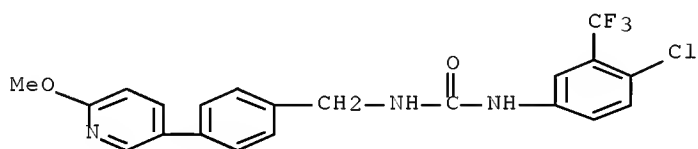
RN 847608-08-6 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(2-methoxy-5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



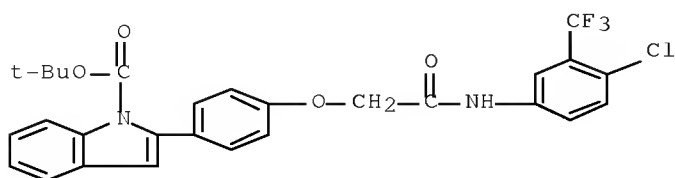
RN 847608-09-7 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



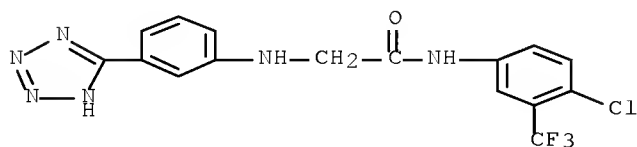
RN 847608-10-0 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[4-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethoxy]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



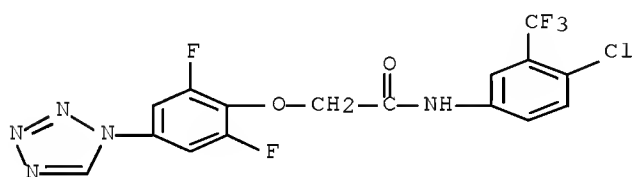
RN 847608-12-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(2H-tetrazol-5-yl)phenyl]amino]- (CA INDEX NAME)



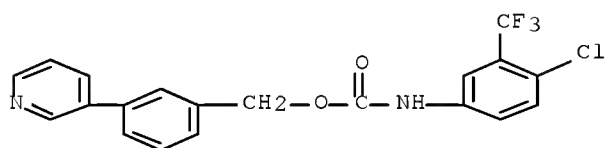
RN 847608-13-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2,6-difluoro-4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



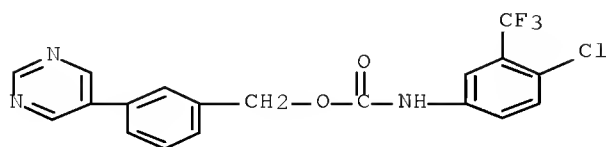
RN 847608-14-4 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-15-5 HCAPLUS

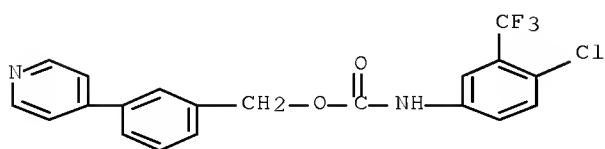
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



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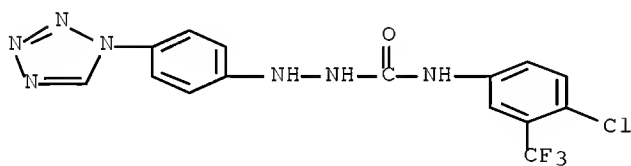
RN 847608-16-6 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
[3-(4-pyridinyl)phenyl)methyl ester (9CI) (CA INDEX NAME)



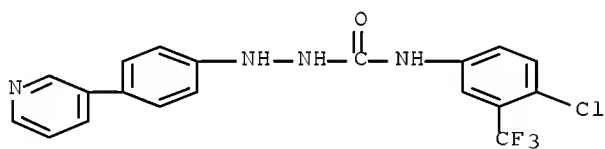
RN 847608-17-7 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenyl]- (CA INDEX NAME)



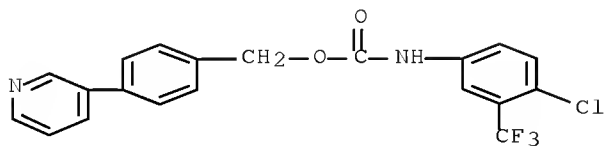
RN 847608-18-8 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(3-pyridinyl)phenyl]- (CA INDEX NAME)



RN 847608-19-9 HCAPLUS

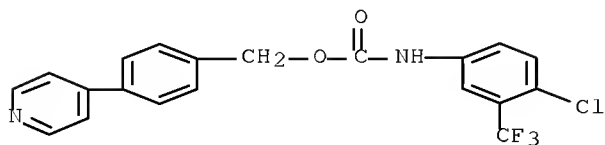
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
[4-(3-pyridinyl)phenyl)methyl ester (9CI) (CA INDEX NAME)



RN 847608-20-2 HCAPLUS

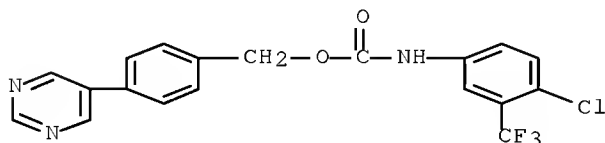
10/569,873

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
[4-(4-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



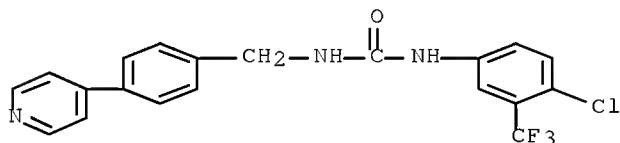
RN 847608-21-3 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
[4-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



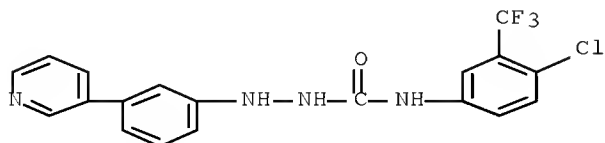
RN 847608-23-5 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(4-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



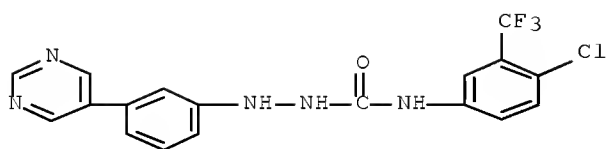
RN 847608-24-6 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3-pyridinyl)phenyl]- (CA INDEX NAME)



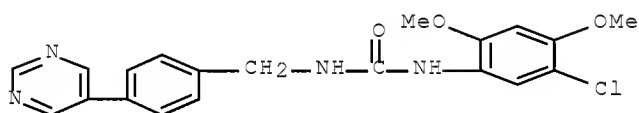
RN 847608-25-7 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



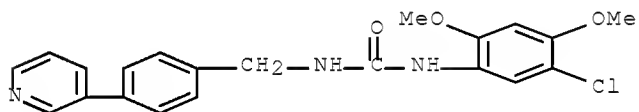
RN 847608-26-8 HCAPLUS

CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[4-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



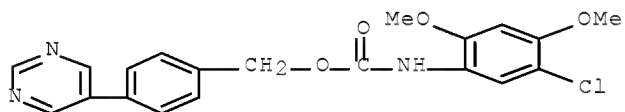
RN 847608-27-9 HCAPLUS

CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-29-1 HCAPLUS

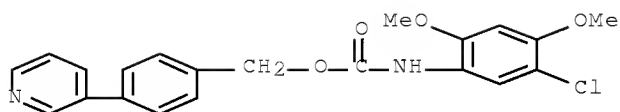
CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-, [4-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-30-4 HCAPLUS

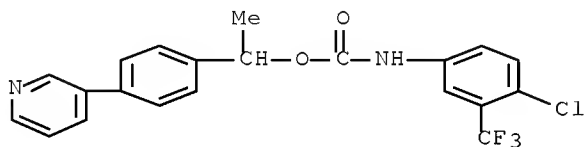
CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-, [4-(3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



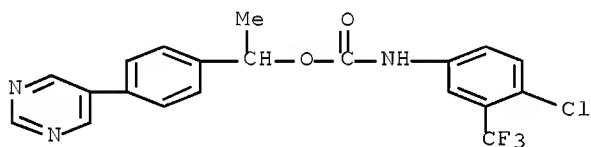
RN 847608-31-5 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
1-[4-(3-pyridinyl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



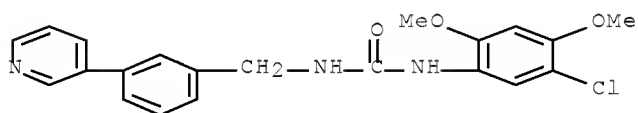
RN 847608-32-6 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
1-[4-(5-pyrimidinyl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



RN 847608-33-7 HCAPLUS

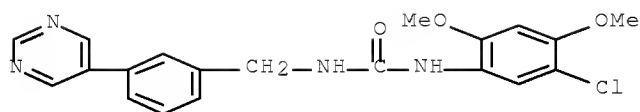
CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[3-(3-pyridinyl)phenyl]methyl]-
(CA INDEX NAME)



RN 847608-35-9 HCAPLUS

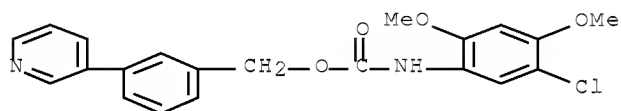
CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[3-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)

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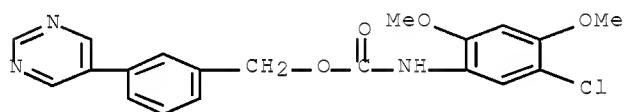
RN 847608-37-1 HCAPLUS

CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-,
[3-(3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



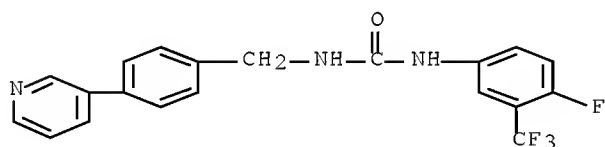
RN 847608-39-3 HCAPLUS

CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-,
[3-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-42-8 HCAPLUS

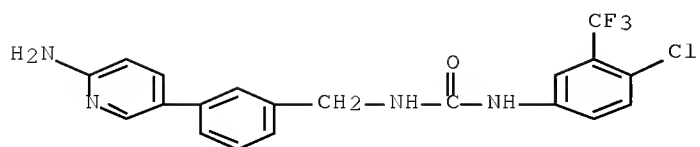
CN Urea, N-[4-fluoro-3-(trifluoromethyl)phenyl]-N'-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-44-0 HCAPLUS

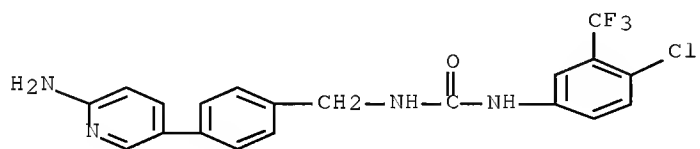
CN Urea, N-[[3-(6-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

10/569,873



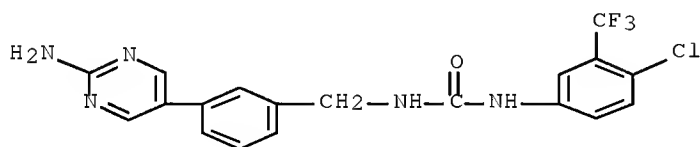
RN 847608-46-2 HCAPLUS

CN Urea, N-[[4-(6-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



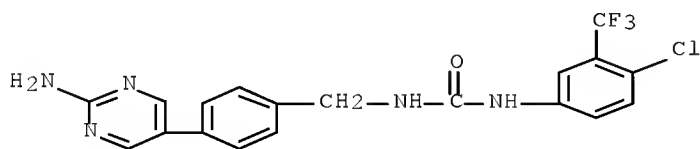
RN 847608-48-4 HCAPLUS

CN Urea, N-[[3-(2-amino-5-pyrimidinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-50-8 HCAPLUS

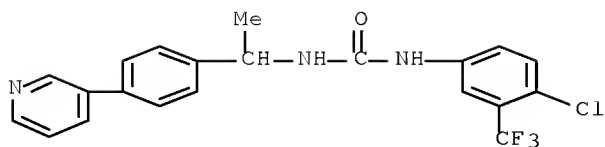
CN Urea, N-[[4-(2-amino-5-pyrimidinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-51-9 HCAPLUS

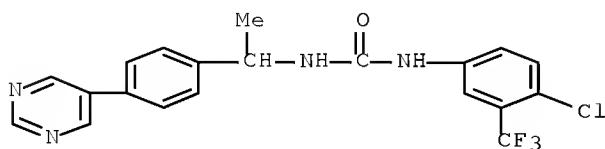
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[1-[4-(3-pyridinyl)phenyl]ethyl]- (CA INDEX NAME)

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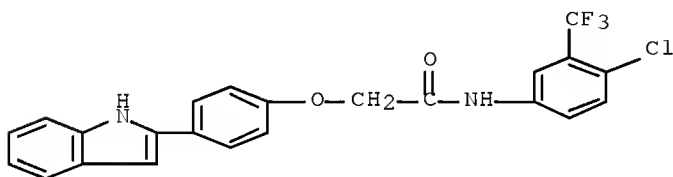
RN 847608-53-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[1-[4-(5-pyrimidinyl)phenyl]ethyl]- (CA INDEX NAME)



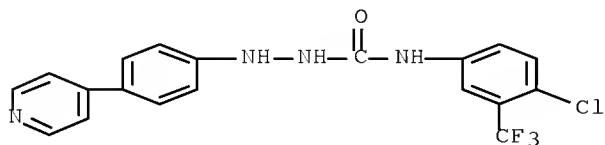
RN 847608-55-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-indol-2-yl)phenoxy]- (CA INDEX NAME)



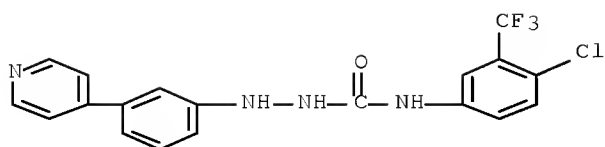
RN 847608-58-6 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



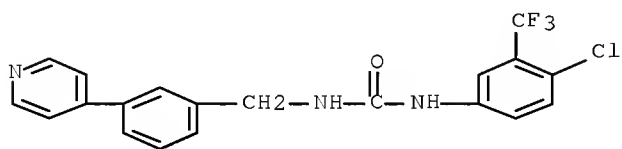
RN 847608-59-7 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4-pyridinyl)phenyl]- (CA INDEX NAME)



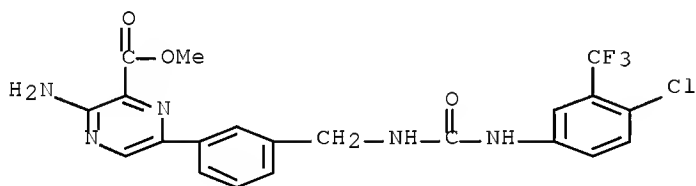
RN 847608-60-0 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(4-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



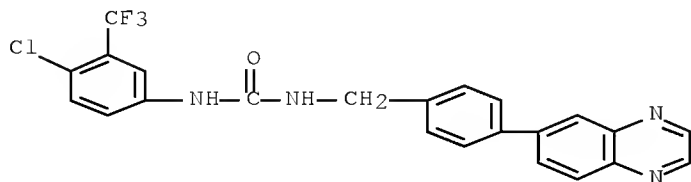
RN 847608-61-1 HCAPLUS

CN 2-Pyrazinecarboxylic acid, 3-amino-6-[3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-, methyl ester (CA INDEX NAME)



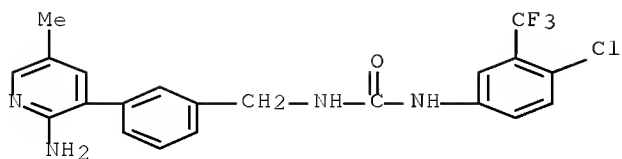
RN 847608-62-2 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-quinoxaliny)phenyl]methyl]- (CA INDEX NAME)



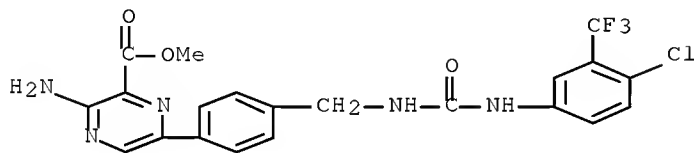
RN 847608-63-3 HCAPLUS

CN Urea, N-[[3-(2-amino-5-methyl-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



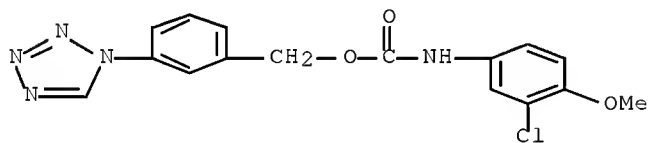
RN 847608-64-4 HCAPLUS

CN 2-Pyrazinecarboxylic acid, 3-amino-6-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-, methyl ester (CA INDEX NAME)



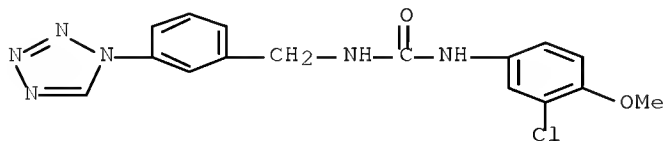
RN 847608-65-5 HCAPLUS

CN Carbamic acid, (3-chloro-4-methoxyphenyl)-, [3-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-66-6 HCAPLUS

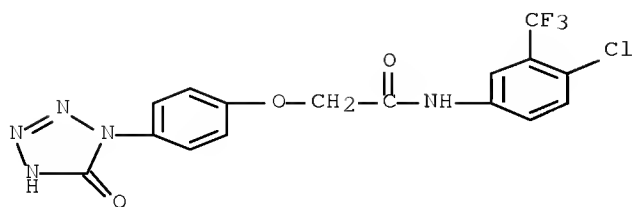
CN Urea, N-(3-chloro-4-methoxyphenyl)-N'-[[3-(1H-tetrazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-67-7 HCAPLUS

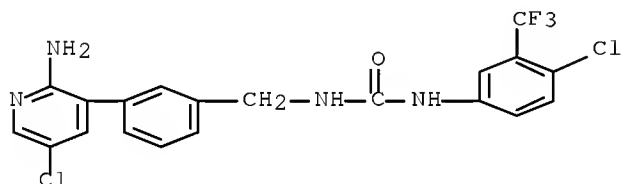
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(2,5-dihydro-5-oxo-1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)

10/569,873



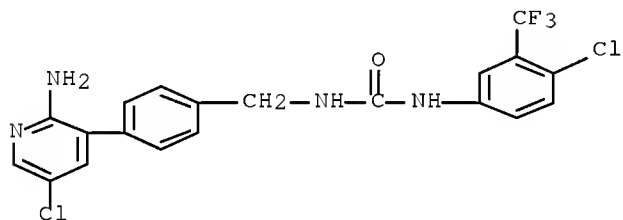
RN 847608-68-8 HCAPLUS

CN Urea, N-[[3-(2-amino-5-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



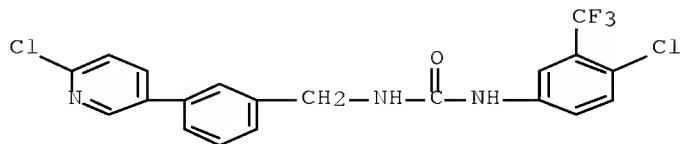
RN 847608-69-9 HCAPLUS

CN Urea, N-[[4-(2-amino-5-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-70-2 HCAPLUS

CN Urea, N-[[3-(6-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

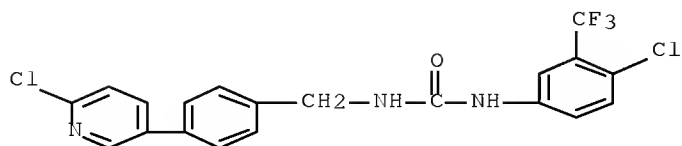


RN 847608-71-3 HCAPLUS

CN Urea, N-[[4-(6-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-

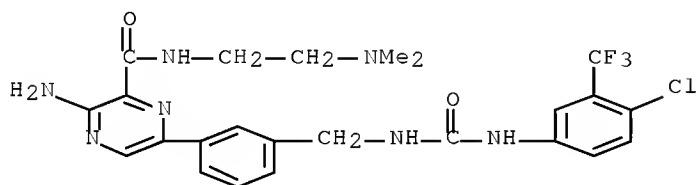
10/569,873

(trifluoromethyl)phenyl]- (CA INDEX NAME)



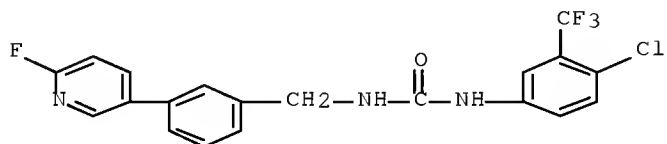
RN 847608-73-5 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)



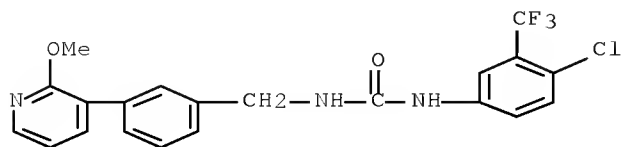
RN 847608-74-6 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-fluoro-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-75-7 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(2-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)

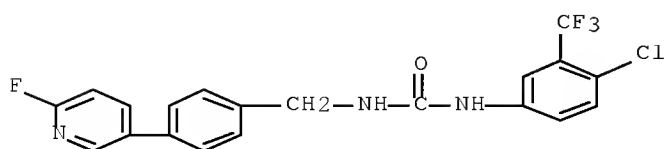


RN 847608-77-9 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-fluoro-3-

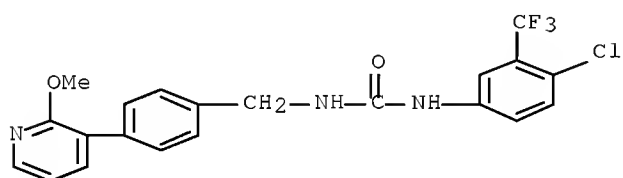
10/569,873

pyridinyl)phenyl)methyl]- (CA INDEX NAME)



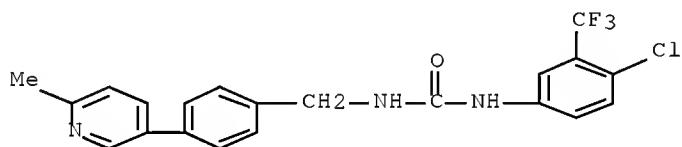
RN 847608-79-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(2-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



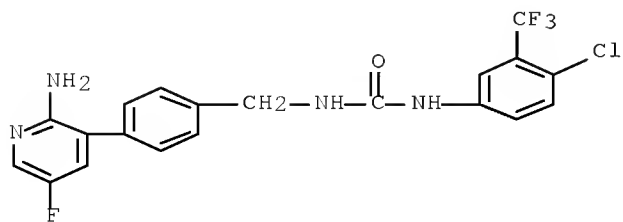
RN 847608-80-4 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-methyl-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-81-5 HCAPLUS

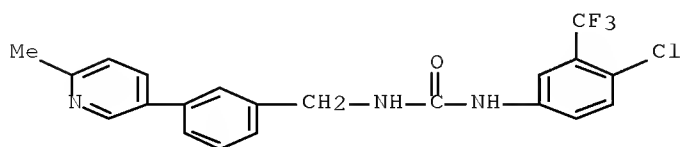
CN Urea, N-[4-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-82-6 HCAPLUS

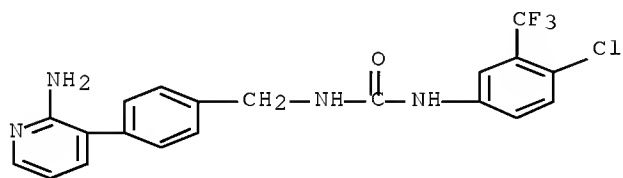
10/569,873

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-methyl-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



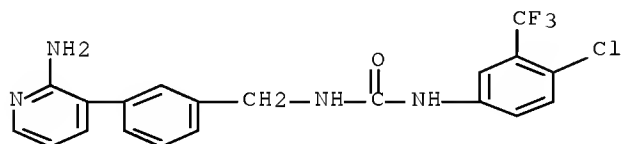
RN 847608-83-7 HCAPLUS

CN Urea, N-[[4-(2-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



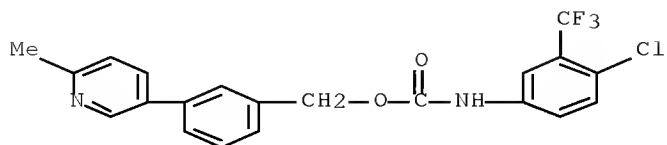
RN 847608-84-8 HCAPLUS

CN Urea, N-[[3-(2-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-85-9 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(6-methyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

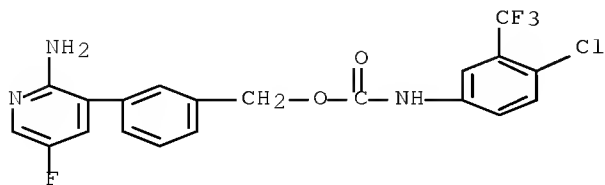


RN 847608-86-0 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,

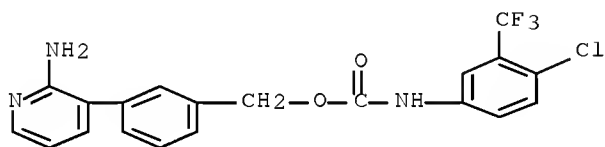
10/569,873

[3-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



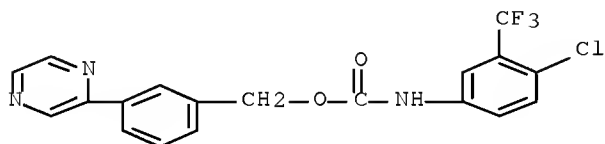
RN 847608-87-1 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(2-amino-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



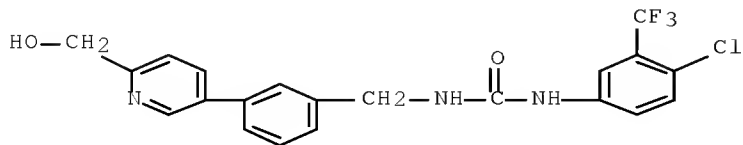
RN 847608-88-2 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, (3-pyrazinylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 847608-89-3 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-[6-(hydroxymethyl)-3-pyridinyl]phenyl]methyl]- (CA INDEX NAME)

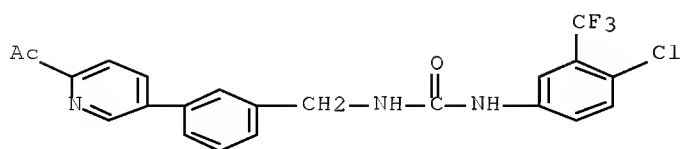


RN 847608-90-6 HCAPLUS

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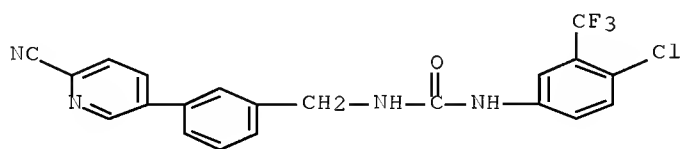
10/569,873

(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-91-7 HCAPLUS

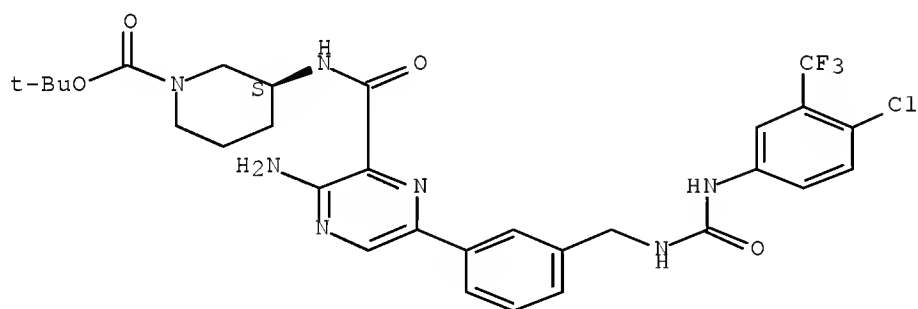
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[3-(6-cyano-3-pyridinyl)phenyl]methanediyl]- (CA INDEX NAME)



RN 847608-93-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

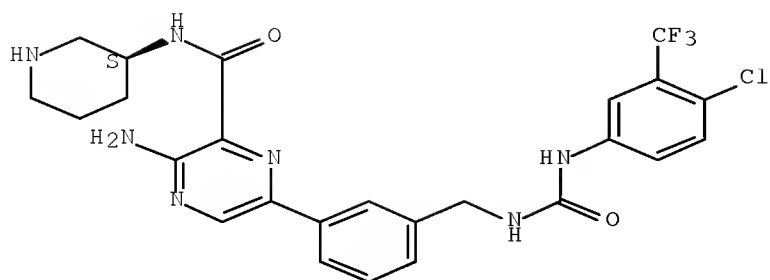


RN 847608-94-0 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

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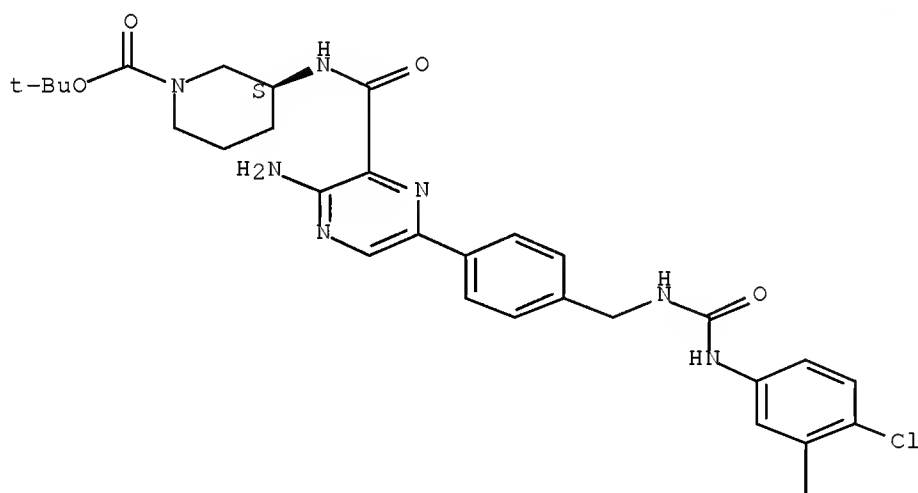


RN 847608-95-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

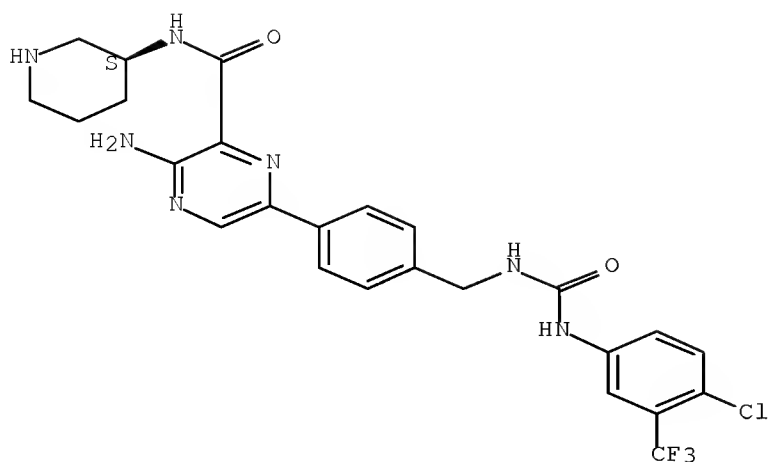
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RN 847608-96-2 HCAPLUS

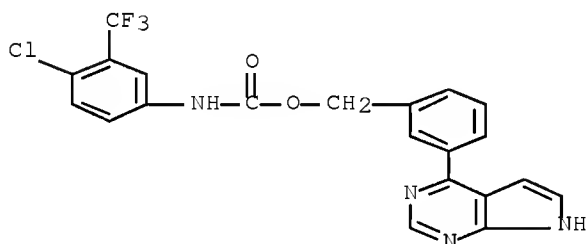
CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidiny]- (CA INDEX NAME)

Absolute stereochemistry.

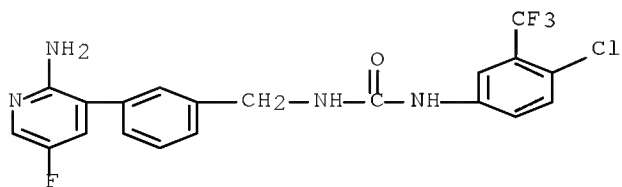
10/569,873



RN 847608-98-4 HCAPLUS
 CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
 [3-(1H-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl]methyl ester (9CI) (CA INDEX
 NAME)

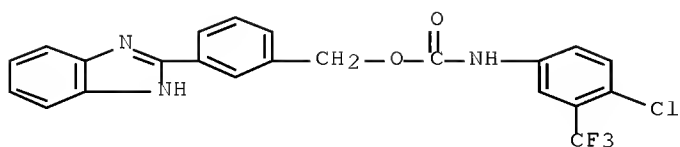


RN 847609-00-1 HCAPLUS
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 (trifluoromethyl)phenyl]- (CA INDEX NAME)



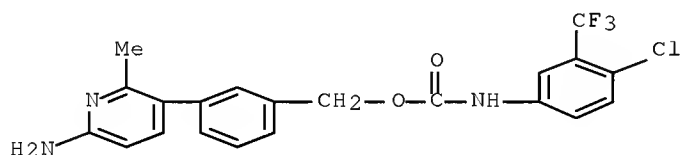
RN 847609-04-5 HCAPLUS
 CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
 [3-(1H-benzimidazol-2-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



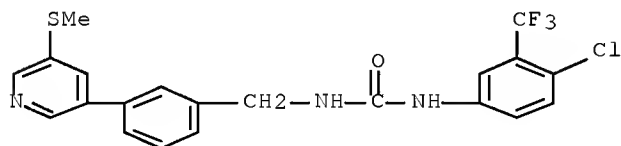
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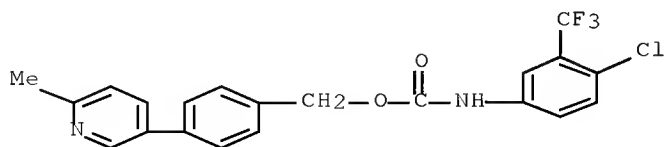
RN 847609-08-9 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-[5-(methylthio)-3-pyridinyl]phenyl]methyl]- (CA INDEX NAME)



RN 847609-10-3 HCAPLUS

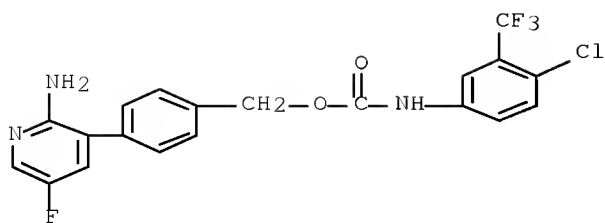
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RN 847609-12-5 HCAPLUS

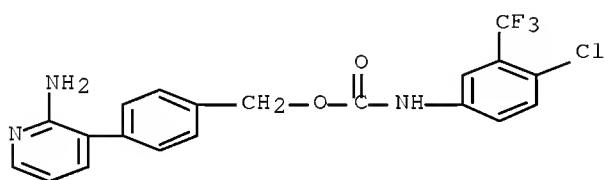
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [4-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



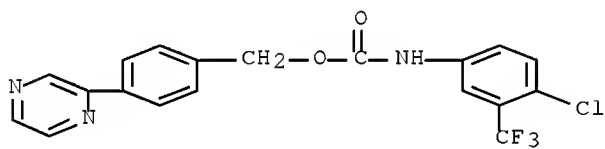
RN 847609-14-7 HCAPLUS

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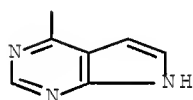
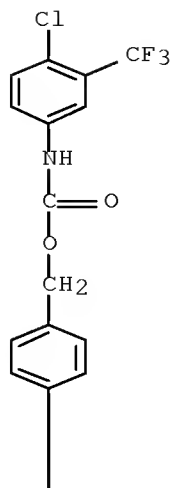
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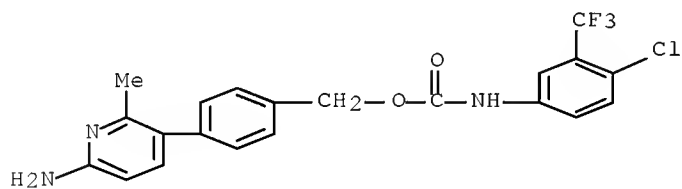


RN 847609-18-1 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
[4-(1H-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl]methyl ester (9CI) (CA INDEX
NAME)

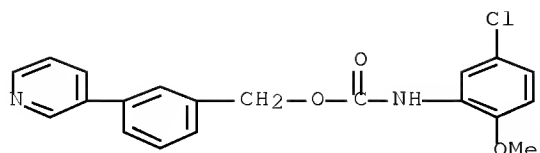


RN 847609-20-5 HCAPLUS
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 NAME)



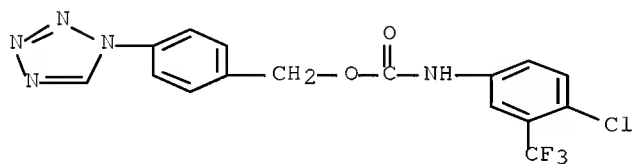
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 CN Carbamic acid, (5-chloro-2-methoxyphenyl)-, [3-(3-pyridinyl)phenyl]methyl
 ester (9CI) (CA INDEX NAME)

10/569,873



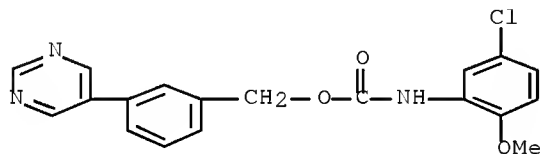
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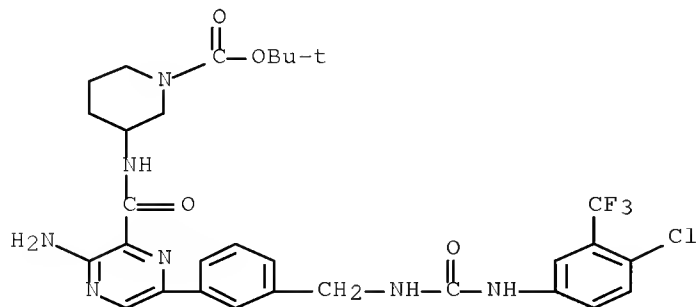
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RN 847609-35-2 HCAPLUS

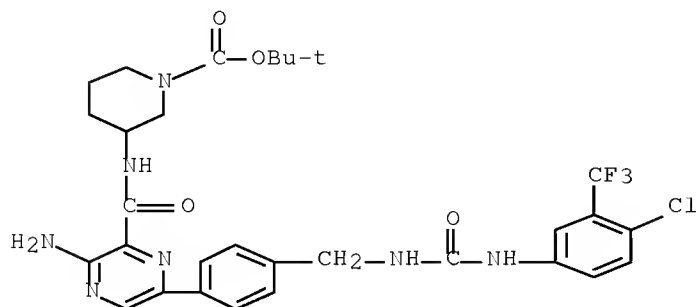
CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



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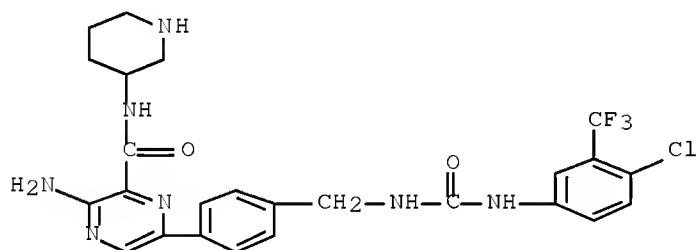
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CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[4-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



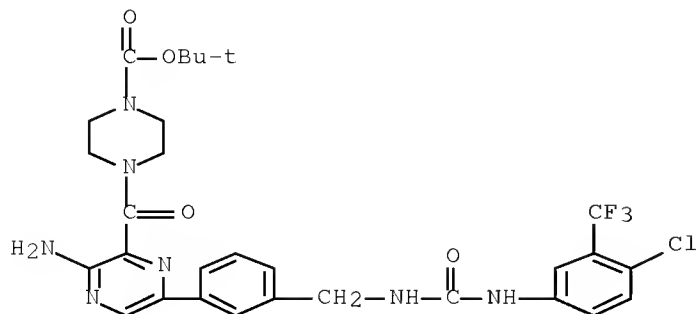
RN 847609-39-6 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-3-piperidinyl- (CA INDEX NAME)



RN 847609-41-0 HCAPLUS

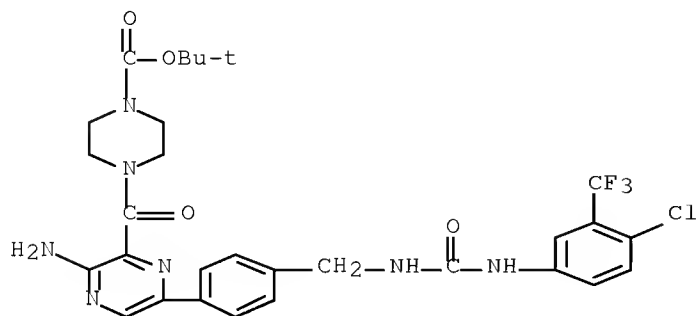
CN 1-Piperazinecarboxylic acid, 4-[[[3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



10/569,873

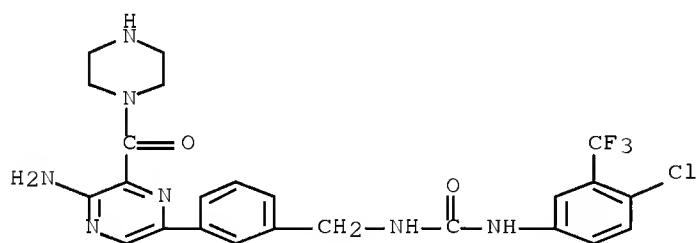
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CN 1-Piperazinecarboxylic acid, 4-[[3-amino-6-[4-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



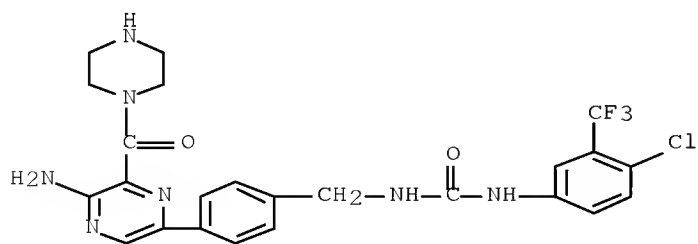
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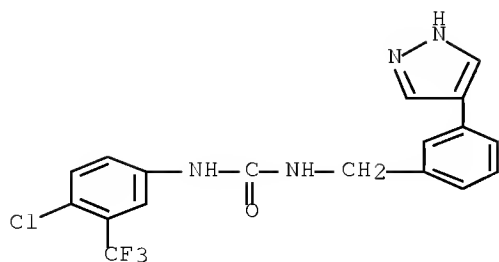
RN 847609-48-7 HCAPLUS

CN Urea, N-[[4-[5-amino-6-(1-piperazinylcarbonyl)-2-pyrazinyl]phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



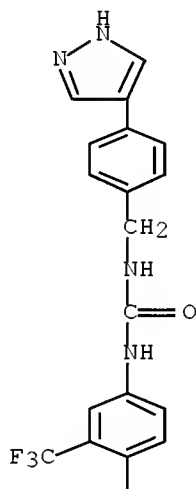
RN 847609-50-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(1H-pyrazol-4-yl)phenyl]methyl]- (CA INDEX NAME)



RN 847609-52-3 HCAPLUS
 CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(1H-pyrazol-4-yl)phenyl]methyl]- (CA INDEX NAME)

PAGE 1-A

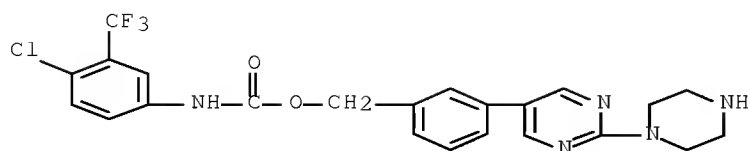


PAGE 2-A

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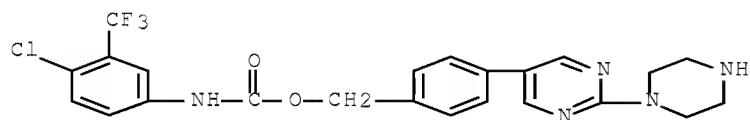
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 CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-[2-(1-piperazinyl)-5-pyrimidinyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



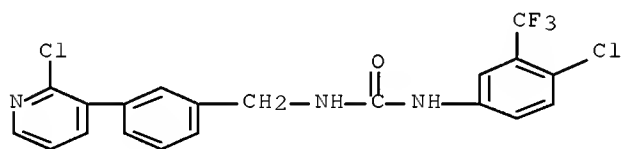
RN 847609-56-7 HCAPLUS

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NAME)



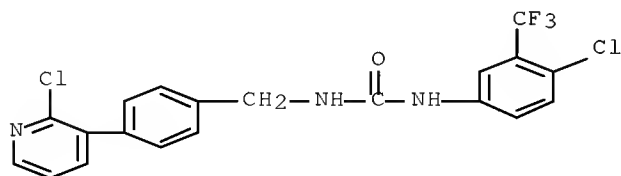
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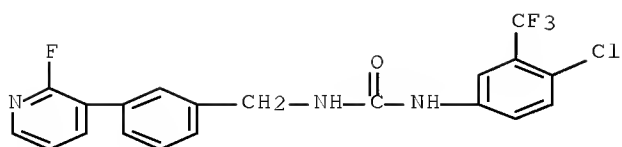
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CN Urea, N-[[4-(2-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



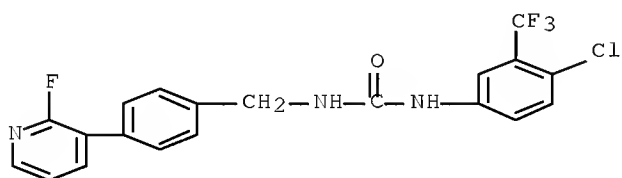
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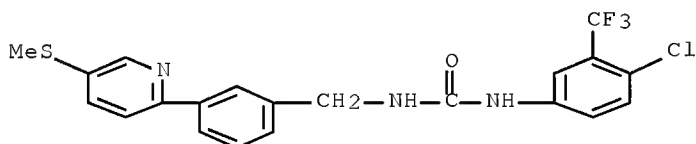
RN 847609-60-3 HCAPLUS

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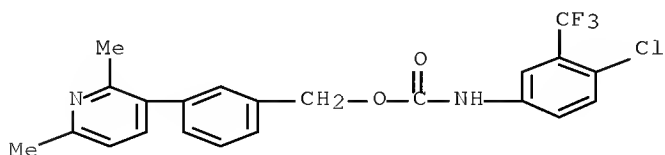
RN 847609-63-6 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-[5-(methylthio)-2-pyridinyl]phenyl]methyl]- (CA INDEX NAME)



RN 847609-65-8 HCAPLUS

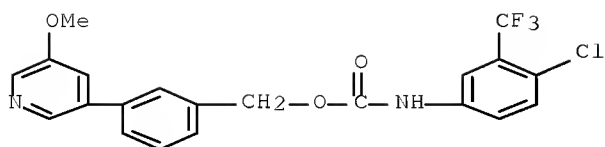
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(2,6-dimethyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847609-67-0 HCAPLUS

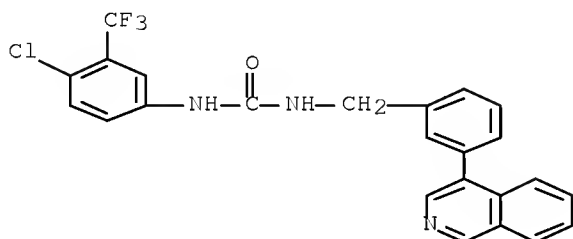
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10/569,873



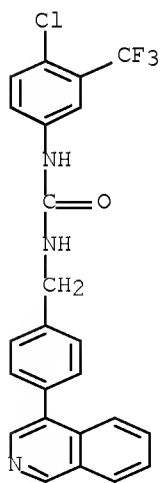
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RN 847609-75-0 HCAPLUS

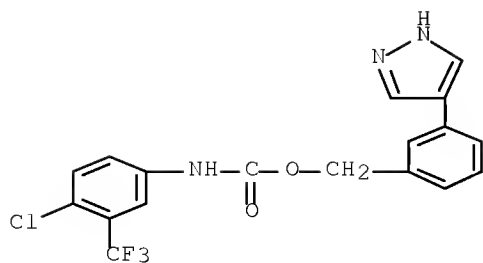
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RN 847609-79-4 HCAPLUS

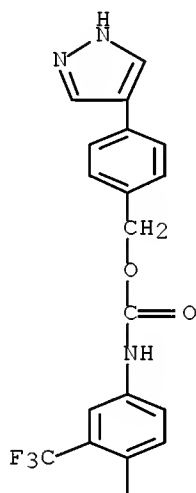
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(1H-pyrazol-4-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



RN 847609-81-8 HCAPLUS
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
[4-(1H-pyrazol-4-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)

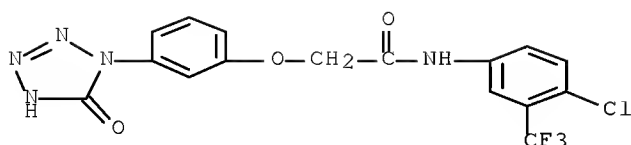
PAGE 1-A



PAGE 2-A

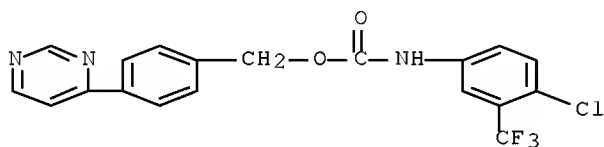
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RN 847609-86-3 HCAPLUS
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(2,5-dihydro-5-oxo-1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847609-93-2 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,
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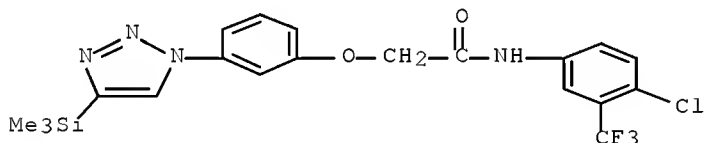


IT 847606-70-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of anilines and related compds. as C-kit modulators)

RN 847606-70-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-[4-(trimethylsilyl)-
1H-1,2,3-triazol-1-yl]phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L122 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:892800 HCAPLUS Full-text

DOCUMENT NUMBER: 139:395950

TITLE: Preparation of substituted pyrazines as protein kinase
modulators

INVENTOR(S): Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai,
Zerom; Wang, Longcheng; Co, Erick Wang;
Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili;
Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.;
Nuss, John M.; Peto, Csaba J.; Rice, Kenneth
D.; Ibrahim, Mohamed Abdulkader; Schnepf, Kevin Luke;
Shi, Xian; Leahy, James William; Chen, Jeff;
Dalrymple, Lisa Esther; Forsyth, Timothy Patrick;

10/569,873

Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne;
 Takeuchi, Craig Stacy
 PATENT ASSIGNEE(S): Exelixis, Inc., USA
 SOURCE: PCT Int. Appl., 468 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

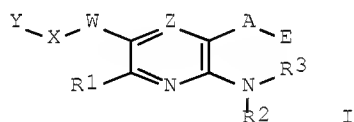
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2003093297 | A2 | 20031113 | WO 2003-US13869 | 20030502 |
| WO 2003093297 | A3 | 20040701 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2484209 | A1 | 20031113 | CA 2003-2484209 | 20030502 |
| AU 2003234464 | A1 | 20031117 | AU 2003-234464 | 20030502 |
| AU 2003234464 | B2 | 20090604 | | |
| EP 1501514 | A2 | 20050202 | EP 2003-728690 | 20030502 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005530760 | T | 20051013 | JP 2004-501436 | 20030502 |
| US 20060211709 | A1 | 20060921 | US 2005-513081 | 20050727 |
| PRIORITY APPLN. INFO.: | | | US 2002-377933P | P 20020503 |
| | | | WO 2003-US13869 | W 20030502 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:395950

ED Entered STN: 14 Nov 2003

GI



AB This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicycyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. containing such compds. Even more specifically, the invention relates to compds. I that

inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chk1. Preparation of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (preparation given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)pyrazine-2-carboxamide which showed IC₅₀ of 10,000 nM or greater against Chk1. Table presenting activity data with respect to Chk1 for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds.

IC ICM C07K

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 625466-66-2P 625466-67-3P 625466-68-4P 625466-69-5P 625466-70-8P
 625466-71-9P 625466-72-0P 625466-73-1P 625466-74-2P 625466-75-3P
 625466-76-4P 625466-77-5P 625466-78-6P 625466-79-7P 625466-80-0P
 625466-81-1P 625466-82-2P 625466-83-3P 625466-84-4P 625466-85-5P
 625466-86-6P 625466-87-7P 625466-88-8P 625466-89-9P 625466-90-2P
 625466-91-3P 625466-92-4P 625466-93-5P 625466-94-6P 625466-95-7P
 625466-96-8P 625466-97-9P 625466-98-0P 625466-99-1P 625467-00-7P
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 625467-41-6P 625467-42-7P 625467-43-8P 625467-44-9P 625467-45-0P
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 625468-53-3P ~~625468-54-4P~~ 625468-55-5P 625468-56-6P
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~~625468-61-3P~~ ~~625468-62-4P~~ 625468-63-5P
 625468-64-6P 625468-65-7P 625468-66-8P 625468-67-9P 625468-68-0P
 625468-69-1P 625468-70-4P ~~625468-71-5P~~ 625468-72-6P
 625468-73-7P 625468-74-8P 625468-75-9P 625468-76-0P 625468-77-1P
 625468-78-2P 625468-79-3P 625468-80-6P 625468-81-7P 625468-82-8P

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625468-83-9P 625468-84-0P 625468-85-1P 625468-86-2P 625468-87-3P
 625468-88-4P 625468-89-5P 625468-90-8P 625468-91-9P 625468-92-0P
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~~625468-97-5P~~ 625468-98-6P 625468-99-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protein kinase modulators)

IT ~~625468-35-1P~~ ~~625468-49-7P~~ ~~625468-54-4P~~
~~625468-61-3P~~ ~~625468-62-4P~~ ~~625468-71-5P~~
~~625468-97-5P~~

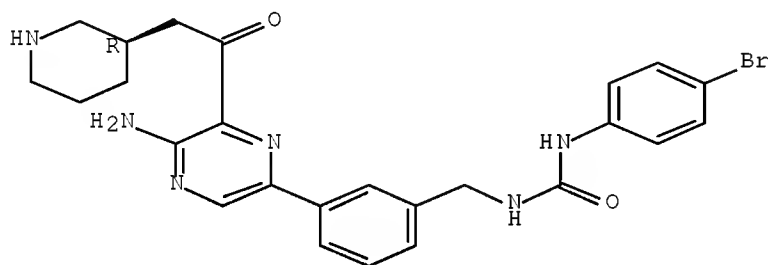
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protein kinase modulators)

RN 625468-35-1 HCAPLUS

CN Urea, N-[[3-[5-amino-6-[2-(3R)-3-piperidinylacetyl]-2-pyrazinyl]phenyl]methyl]-N'-(4-bromophenyl)- (CA INDEX NAME)

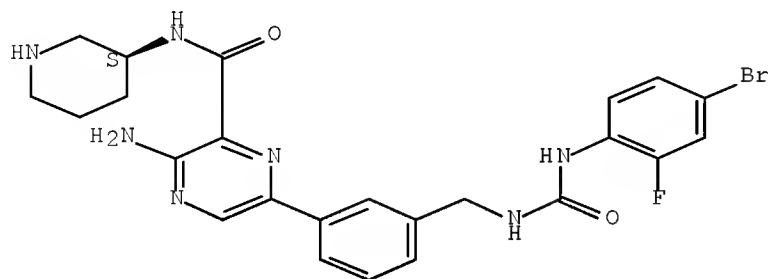
Absolute stereochemistry.



RN 625468-49-7 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(4-bromo-2-fluorophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.

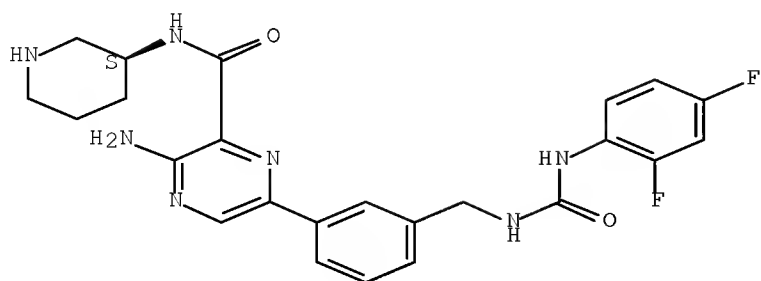


RN 625468-54-4 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

10/569,873

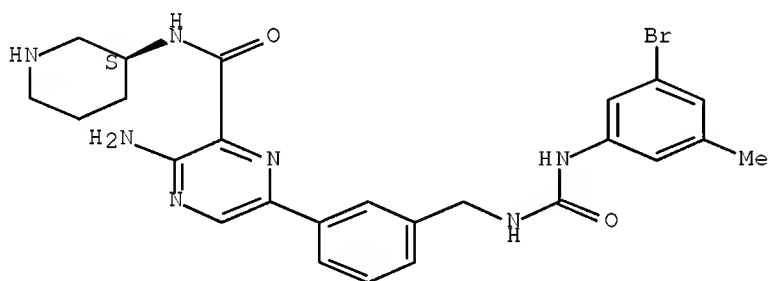
Absolute stereochemistry.



RN 625468-61-3 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(3-bromo-5-methylphenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

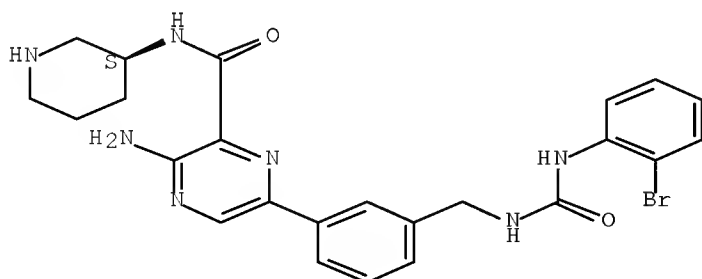
Absolute stereochemistry.



RN 625468-62-4 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(2-bromophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.



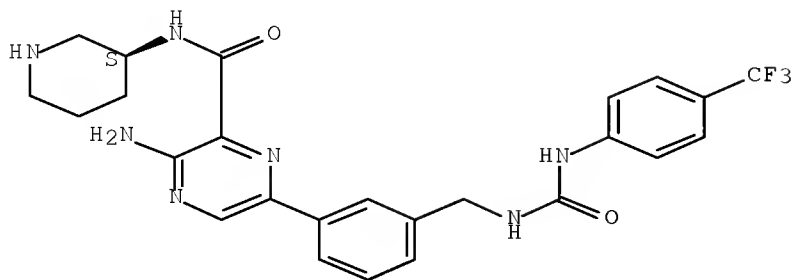
RN 625468-71-5 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3S)-3-piperidinyl-6-[3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]- (CA INDEX NAME)

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NAME)

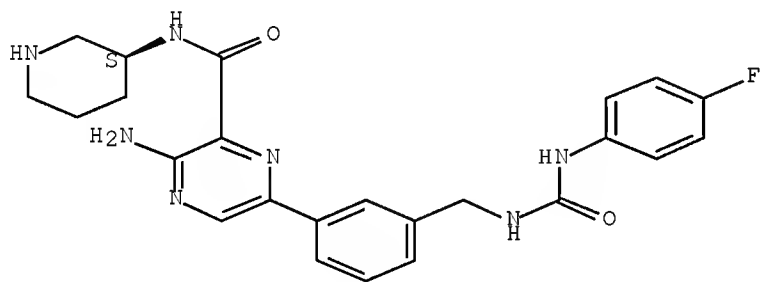
Absolute stereochemistry.



RN 625468-97-5 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(4-fluorophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl-
(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS
RECORD (15 CITINGS)

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 10:44:58 ON 21 APR 2010
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Apr 16, 2010 (20100416/UP).

=> d his ful

(FILE 'HOME' ENTERED AT 09:27:32 ON 21 APR 2010)

FILE 'STNGUIDE' ENTERED AT 09:27:35 ON 21 APR 2010
D SAVED

FILE 'ZCAPLUS' ENTERED AT 09:28:22 ON 21 APR 2010
E US2007-569873/APPS

FILE 'HCAPLUS' ENTERED AT 09:28:40 ON 21 APR 2010
L1 1 SEA SPE=ON ABB=ON PLU=ON US2007-569873/APPS

FILE 'WPIX' ENTERED AT 09:28:57 ON 21 APR 2010
L2 1 SEA SPE=ON ABB=ON PLU=ON US2007-569873/APPS

FILE 'REGISTRY' ENTERED AT 09:29:18 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 09:29:22 ON 21 APR 2010
L3 TRA PLU=ON L1 1- RN : 322 TERMS

FILE 'REGISTRY' ENTERED AT 09:29:22 ON 21 APR 2010
L4 322 SEA SPE=ON ABB=ON PLU=ON L3
ACT BIA873RSET1/A

L5 STR
L6 (402314)SEA SSS FUL L5
L7 STR
L8 STR
L9 33651 SEA SUB=L6 SSS FUL (L7 OR L8)

D QUE
ACT BIA873RSET2/A

L10 STR
L11 (402314)SEA SSS FUL L10
L12 STR
L13 STR
L14 (33651)SEA SUB=L11 SSS FUL (L12 OR L13)
L15 STR
L16 STR
L17 7261 SEA SUB=L14 SSS FUL (L15 OR L16)

D QUE
D QUE L9

FILE 'LREGISTRY' ENTERED AT 09:30:46 ON 21 APR 2010
L18 STR L7
L19 STR L18

FILE 'REGISTRY' ENTERED AT 09:34:37 ON 21 APR 2010
L20 50 SEA SUB=L9 SSS SAM (L18 OR L19)

FILE 'STNGUIDE' ENTERED AT 09:35:21 ON 21 APR 2010
D QUE STAT

FILE 'REGISTRY' ENTERED AT 09:39:51 ON 21 APR 2010
L21 9722 SEA SUB=L9 SSS FUL (L18 OR L19)
SAVE TEMP L21 BIA873RSET1B/A

10/569,873

ACT BIA873CROSS/A

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L22      STR
L23 (    402314)SEA SSS FUL L22
L24      STR
L25      STR
L26 (    33651)SEA SUB=L23 SSS FUL (L24 OR L25)
L27      QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS
L28 (    21400)SEA SPE=ON ABB=ON PLU=ON L26 AND L27
L29      QUE SPE=ON ABB=ON PLU=ON 2 6/SZS
L30 (    3263)SEA SPE=ON ABB=ON PLU=ON L26 AND L29
L31 (    113)SEA SPE=ON ABB=ON PLU=ON L26 AND NCNCNC/ESS
L32      STR
L33      STR
L34 (    7261)SEA SUB=L26 SSS FUL (L32 OR L33)
L35      29198 SEA SPE=ON ABB=ON PLU=ON L28 OR L30 OR L31 OR L34
-----
L36      8396 SEA SPE=ON ABB=ON PLU=ON L21 AND L35
          SAVE TEMP L36 BIS873CROSSB/A
L37      88 SEA SPE=ON ABB=ON PLU=ON L4 AND L36
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FILE 'STNGUIDE' ENTERED AT 09:42:47 ON 21 APR 2010
D SAVED

FILE 'HCAPLUS' ENTERED AT 09:43:18 ON 21 APR 2010
ACT BIA873INV/A

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L38 (    1)SEA SPE=ON ABB=ON PLU=ON US2007-569873/APPS
L39      STR
L40 (    402314)SEA SSS FUL L39
L41      STR
L42      STR
L43 (    33651)SEA SUB=L40 SSS FUL (L41 OR L42)
L44      QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS
L45 (    21400)SEA SPE=ON ABB=ON PLU=ON L43 AND L44
L46      QUE SPE=ON ABB=ON PLU=ON 2 6/SZS
L47 (    3263)SEA SPE=ON ABB=ON PLU=ON L43 AND L46
L48 (    113)SEA SPE=ON ABB=ON PLU=ON L43 AND NCNCNC/ESS
L49      STR
L50      STR
L51 (    7261)SEA SUB=L43 SSS FUL (L49 OR L50)
L52 (    29198)SEA SPE=ON ABB=ON PLU=ON L45 OR L47 OR L48 OR L51
L53      QUE SPE=ON ABB=ON PLU=ON CHENG, W?/AU,AUTH
L54      QUE SPE=ON ABB=ON PLU=ON CO, E?/AU,AUTH
L55      QUE SPE=ON ABB=ON PLU=ON WANG-CO, E?/AU,AUTH
L56      QUE SPE=ON ABB=ON PLU=ON WANG CO, E?/AU,AUTH
L57      QUE SPE=ON ABB=ON PLU=ON WANGCO, E?/AU,AUTH
L58      QUE SPE=ON ABB=ON PLU=ON KIM, M?/AU,AUTH
L59      QUE SPE=ON ABB=ON PLU=ON KLEIN, R?/AU,AUTH
L60      QUE SPE=ON ABB=ON PLU=ON LE, D?/AU,AUTH
L61      QUE SPE=ON ABB=ON PLU=ON TSUHAKE, A?/AU,AUTH
L62      QUE SPE=ON ABB=ON PLU=ON LEW, A?/AU,AUTH
L63      QUE SPE=ON ABB=ON PLU=ON LEW-TSUHAKE, A?/AU,AUTH
L64      QUE SPE=ON ABB=ON PLU=ON LEWTSUHAKE, A?/AU,AUTH
L65      QUE SPE=ON ABB=ON PLU=ON NUSS, J?/AU,AUTH
L66      QUE SPE=ON ABB=ON PLU=ON XU, W?/AU,AUTH
L67      QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, W?/AU,AUTH
L68      QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, B?/AU,AUTH
L69 (    2007)SEA SPE=ON ABB=ON PLU=ON L52
L70 (    3)SEA SPE=ON ABB=ON PLU=ON L69 AND (L53 OR L54 OR L55 OR L56
```


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OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)

L71 (1) SEA SPE=ON ABB=ON PLU=ON L38 AND L70
L72 (0) SEA SPE=ON ABB=ON PLU=ON L38 NOT L70
L73 (3) SEA SPE=ON ABB=ON PLU=ON (L70 OR L71 OR L72)
L74 (1) SEA SPE=ON ABB=ON PLU=ON L52 AND (MEDLINE OR BIOSIS OR
EMBASE) /LC
L75 (0) SEA SPE=ON ABB=ON PLU=ON L74
L76 (12) SEA SPE=ON ABB=ON PLU=ON L74
L77 (0) SEA SPE=ON ABB=ON PLU=ON L74
L78 (12) SEA SPE=ON ABB=ON PLU=ON L74
L79 (0) SEA SPE=ON ABB=ON PLU=ON L75 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)
L80 (0) SEA SPE=ON ABB=ON PLU=ON L76 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)
L81 (0) SEA SPE=ON ABB=ON PLU=ON L77 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)
L82 (0) SEA SPE=ON ABB=ON PLU=ON L78 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)
L83 3 DUP REM L73 L82 (0 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 09:43:30 ON 21 APR 2010

FILE 'ZCAPLUS' ENTERED AT 09:44:13 ON 21 APR 2010

L84 QUE SPE=ON ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR
MY<2004 OR REVIEW/DT

FILE 'STNGUIDE' ENTERED AT 09:44:55 ON 21 APR 2010

FILE 'STNGUIDE' ENTERED AT 10:01:14 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:01:38 ON 21 APR 2010

L85 359 SEA SPE=ON ABB=ON PLU=ON L36
L86 1 SEA SPE=ON ABB=ON PLU=ON L85 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)
L87 1 SEA SPE=ON ABB=ON PLU=ON L1 AND L86
D BIB
L88 0 SEA SPE=ON ABB=ON PLU=ON L1 NOT L86
L89 1 SEA SPE=ON ABB=ON PLU=ON (L86 OR L87 OR L88)
L90 358 SEA SPE=ON ABB=ON PLU=ON L85 NOT L89
L91 229 SEA SPE=ON ABB=ON PLU=ON L90 AND L84

FILE 'STNGUIDE' ENTERED AT 10:03:12 ON 21 APR 2010

FILE 'ZCAPLUS' ENTERED AT 10:03:50 ON 21 APR 2010

L92 QUE SPE=ON ABB=ON PLU=ON C(1W)KIT
L93 QUE SPE=ON ABB=ON PLU=ON STEM(1W)CELL

FILE 'HCAPLUS' ENTERED AT 10:04:28 ON 21 APR 2010

L94 0 SEA SPE=ON ABB=ON PLU=ON L91 AND (L92 OR L93)
L95 197 SEA SPE=ON ABB=ON PLU=ON L85 (L) (THU OR PKT OR PAC OR
DMA) /RL
L96 88 SEA SPE=ON ABB=ON PLU=ON L91 AND L95
L97 88 SEA SPE=ON ABB=ON PLU=ON L94 OR L96

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FILE 'STNGUIDE' ENTERED AT 10:06:22 ON 21 APR 2010

FILE 'ZCAPLUS' ENTERED AT 10:06:28 ON 21 APR 2010

E C-KIT PROTEIN/CT

E C-KIT /CT

L98 QUE SPE=ON ABB=ON PLU=ON "C-KIT (PROTEIN)"+PFT,OLD,NEW,NT/CT

FILE 'HCAPLUS' ENTERED AT 10:07:22 ON 21 APR 2010

L99 0 SEA SPE=ON ABB=ON PLU=ON L91 AND L98

L100 88 SEA SPE=ON ABB=ON PLU=ON L97 OR L99

L101 2 SEA SPE=ON ABB=ON PLU=ON L37

L102 1 SEA SPE=ON ABB=ON PLU=ON L101 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)

L103 1 SEA SPE=ON ABB=ON PLU=ON L89 OR L102

L104 90 SEA SPE=ON ABB=ON PLU=ON (L100 OR L101)

L105 89 SEA SPE=ON ABB=ON PLU=ON L104 NOT L103

L106 88 SEA SPE=ON ABB=ON PLU=ON L105 AND L84

FILE 'STNGUIDE' ENTERED AT 10:09:21 ON 21 APR 2010

FILE 'REGISTRY' ENTERED AT 10:09:53 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:10:01 ON 21 APR 2010

L107 TRA PLU=ON L106 1- RN HIT : 471 TERMS

FILE 'REGISTRY' ENTERED AT 10:10:16 ON 21 APR 2010

L108 471 SEA SPE=ON ABB=ON PLU=ON L107

L109 459 SEA SPE=ON ABB=ON PLU=ON L108 NOT ETHANEDIAMIDE/CNS

FILE 'HCAPLUS' ENTERED AT 10:12:09 ON 21 APR 2010

L110 115 SEA SPE=ON ABB=ON PLU=ON L109

L111 98 SEA SPE=ON ABB=ON PLU=ON L91 AND L110

L112 86 SEA SPE=ON ABB=ON PLU=ON L106 AND L111

L113 0 SEA SPE=ON ABB=ON PLU=ON L112 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)

L114 86 SEA SPE=ON ABB=ON PLU=ON L112 NOT L113

L115 86 SEA SPE=ON ABB=ON PLU=ON L114 AND L84

SAVE TEMP L103 BIA873INVB/A

SAVE TEMP L115 BIA873MAINB2/A

FILE 'STNGUIDE' ENTERED AT 10:14:08 ON 21 APR 2010

D SAVED

D QUE STAT L9

D QUE STAT L21

D QUE STAT L36

D QUE NOS L115

FILE 'HCAPLUS' ENTERED AT 10:17:06 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR 1-30

FILE 'STNGUIDE' ENTERED AT 10:17:43 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:22:04 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR 31-60

FILE 'STNGUIDE' ENTERED AT 10:22:43 ON 21 APR 2010

10/569,873

FILE 'LREGISTRY' ENTERED AT 10:24:36 ON 21 APR 2010

FILE 'REGISTRY' ENTERED AT 10:26:34 ON 21 APR 2010

L116 50 SEA SUB=L21 SSS SAM L18
L117 3003 SEA SUB=L21 SSS FUL L18
SAVE TEMP L117 BIA873RSET2B/A

FILE 'HCAPLUS' ENTERED AT 10:28:30 ON 21 APR 2010

L118 183 SEA SPE=ON ABB=ON PLU=ON L117
L119 2 SEA SPE=ON ABB=ON PLU=ON L118 AND (L53 OR L54 OR L55 OR L56
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65
OR L66 OR L67 OR L68)
L120 1 SEA SPE=ON ABB=ON PLU=ON L1 AND L119
D BIB
L121 0 SEA SPE=ON ABB=ON PLU=ON L1 NOT L119
L122 2 SEA SPE=ON ABB=ON PLU=ON (L119 OR L120 OR L121)
L123 181 SEA SPE=ON ABB=ON PLU=ON L118 NOT L122
L124 117 SEA SPE=ON ABB=ON PLU=ON L123 AND L84
L125 36 SEA SPE=ON ABB=ON PLU=ON L115 AND L124

FILE 'STNGUIDE' ENTERED AT 10:30:40 ON 21 APR 2010

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 10:30:44 ON 21 APR 2010

FILE 'REGISTRY' ENTERED AT 10:30:58 ON 21 APR 2010

L126 0 SEA SPE=ON ABB=ON PLU=ON L117 AND (MEDLINE OR EMBASE OR
BIOSIS)/LC

FILE 'HCAPLUS' ENTERED AT 10:31:19 ON 21 APR 2010

SAVE TEMP L122 BIA873INVB/A
SAVE TEMP L125 BIA873MAINB2/A

FILE 'STNGUIDE' ENTERED AT 10:31:53 ON 21 APR 2010

D SAVED
D QUE STAT L9
D QUE STAT L21
D QUE STAT L36
D QUE STAT L117
D QUE NOS L125

FILE 'HCAPLUS' ENTERED AT 10:34:11 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR L125 1-30

FILE 'STNGUIDE' ENTERED AT 10:34:52 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:41:42 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR L125 31-36

FILE 'STNGUIDE' ENTERED AT 10:41:49 ON 21 APR 2010

D QUE NOS L126
D QUE NOS L122

FILE 'HCAPLUS' ENTERED AT 10:44:40 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR L122 1-2

FILE 'STNGUIDE' ENTERED AT 10:44:48 ON 21 APR 2010

FILE 'STNGUIDE' ENTERED AT 10:44:58 ON 21 APR 2010

FILE HOME

FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Apr 16, 2010 (20100416/UP).

FILE ZCAPLUS

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FILE COVERS 1907 - 21 Apr 2010 VOL 152 ISS 17

FILE LAST UPDATED: 20 Apr 2010 (20100420/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

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FILE WPIX

FILE LAST UPDATED: 14 APR 2010 <20100414/UP>
MOST RECENT UPDATE: 201024 <201024/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
and FI-Terms have been updated with reclassifications to
end of December 2009.

No update date (UP) has been created for the reclassified
documents, but they can be identified by
specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)
STN USER DOCUMENTATION, PLEASE VISIT:
http://www.stn-international.com/stn_dwpi.html <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 APR 2010 HIGHEST RN 1219791-89-5
DICTIONARY FILE UPDATES: 20 APR 2010 HIGHEST RN 1219791-89-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

FILE LREGISTRY

LREGISTRY IS A STATIC LEARNING FILE

CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE MEDLINE

FILE LAST UPDATED: 20 Apr 2010 (20100420/UP). FILE COVERS 1947 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject
Headings (MeSH) vocabulary and tree numbers from the U.S. National Library
of Medicine (NLM). Additional information is available at

http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010.

10/569,873

The Medline file has been reloaded effective January 24, 2010. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 15 April 2010 (20100415/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE

FILE COVERAGE: EMBASE-originated material 1974 to 20 Apr 2010 (20100420/E)
Unique MEDLINE content 1948 to present

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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